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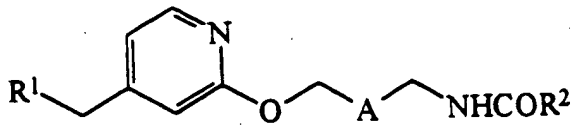
(54) Anti-ulcer pyridyloxy derivatives, their preparation and uses.

(57) Compounds of formula (I) :



(I)

[wherein : R^1 is a cyclic amino group, or a dialkylamino group ; R^2 is a group of formula $-NHCHR^3R^4$, wherein R^3 and R^4 are each alkyl, aryl or aralkyl, or together form a cycloalkyl group, or R^2 is an aromatic heterocyclic group, or a group of formula $-B-S(O)_m-R^5$, wherein R^5 is a substituted alkyl group, or an aromatic heterocyclic group ; B is an alkylene or alkylidene group ; m is 0, 1 or 2 ; A is a group of formula -CH=CH- or $-(CH_2)_n-$, where n is 1, 2 or 3] ; and salts thereof have valuable anti-ulcer activity.

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The present invention relates to a series of new pyridyloxy derivatives which have the ability to inhibit the secretion of gastric juices and which may thus be used for the treatment and prevention of ulcers. The invention also provides methods and compositions using these new compounds for such treatment and prevention and processes for the preparation of these compounds.

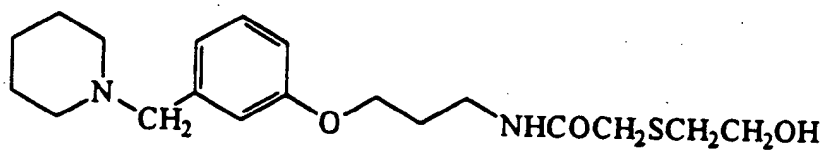
Peptic ulcers are said to occur when there is an imbalance between factors which attack the gastrointestinal mucosa and factors which defend the gastrointestinal mucosa. The gastric juice is among the attacking factors. Accordingly, if its secretion could be inhibited, this would be useful for the prevention and therapy of ulcers.

Among the drugs so far proposed for the inhibition of gastric juice secretion, anticholinergic agents and histamine-H_2 receptor antagonists (such as cimetidine) have been widely used clinically and have had considerable success, although they are not free from disadvantages. For example, anticholinergic agents have exhibited a range of side effects, including inhibition of movement of the gastrointestinal tract, thirst, mydriasis and inhibition of sweating. Some of the histamine-H_2 receptor antagonists also have undesirable side effects on the central nervous system, and may also have an antagonistic effect on androgens. Moreover, it is thought that the histamine-H_2 receptor antagonists may weaken mucosal protecting factors after long-term administration, and recurrence of ulcers after withdrawal of these drugs has also been observed. Since recurrence is thought to be caused by a decrease in the protecting factors, a drug having the ability both to inhibit gastric juice secretion and to potentiate protecting factor activity would be highly desirable.

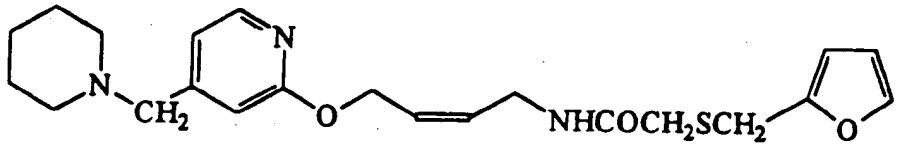
We have now discovered that a series of pyridyloxy derivatives having a certain specific and limited class of substituents has the desired combination of gastric juice secretion inhibitory activity, anti-ulcer activity and defence factor potentiating activity, and may therefore be used in the treatment and prevention of gastric ulcers.

A number of compounds having anti-ulcer activity and similar structures to the pyridyloxy derivatives of the present invention is known. Examples include Compound A (disclosed, for example, in European Patent Publication No. 404 949 or WO90/00544), Compound B (disclosed, for example, in Japanese Patent Kokai Application No. Hei-1-193247, Japanese Patent Kokai Application No. Sho-63-225371 and European Patent Publication No. 282 077) and Compound C (disclosed, for example, in Japanese Patent Kokai Application No. Hei-4-257581):

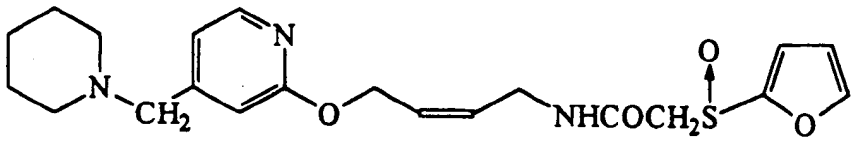
Compound A:



Compound B:

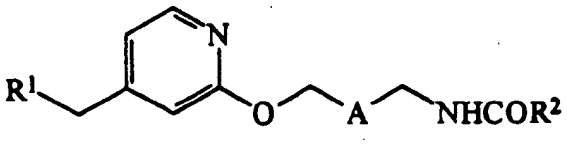


Compound C:



Compound C was disclosed after the priority dates hereof. The compounds of the present invention surprisingly have substantially better activities than these structurally similar compounds and have a combination of gastric juice secretion inhibitory, anti-ulcer and defence factor potentiating activities which these prior compounds do not possess.

The compounds of the present invention are those compounds of formula (I) :



(I)

wherein:

R^1 represents

a cyclic amino group having from 3 to 7 ring atoms, of which from 1 to 3 are nitrogen atoms, 0 or 1 is an oxygen atom or a sulphur atom, and the remainder are carbon atoms, or

a dialkylamino group in which each alkyl group is independently selected from alkyl groups having from 1 to 4 carbon atoms;

R^2 represents

a group of formula $-NHCHR^3R^4$, wherein

R^3 and R^4 are independently selected from alkyl groups having from 1 to 6 carbon atoms, aryl groups as defined below and aralkyl groups as defined below,

or

R^3 and R^4, together with the carbon atom to which they are attached, represent a cycloalkyl group having from 3 to 8 ring carbon atoms, which group is unsubstituted or is substituted by at least one substituent selected from substituents α,

an aromatic heterocyclic group having 5 ring atoms, of which from 1 to 3 are hetero-atoms selected from nitrogen, oxygen and sulphur hetero-atoms, said group being unsubstituted or having at least one substituent selected, in the case of substituents on carbon atoms, from substituents α and, in the case of substituents on nitrogen atoms, from substituents β,

or a group of formula $-B-S(O)_m-R^5$, wherein

R^5 represents: a substituted alkyl group which has from 1 to 4 carbon atoms and which is substituted by at least one substituent selected from substituents γ; or an aromatic heterocyclic group which has 5 or 6 ring atoms of which from 1 to 4 are hetero-atoms selected from nitrogen, oxygen and sulphur hetero-atoms, said group being unsubstituted or having at least one substituent selected, in the case of substituents on carbon atoms, from substituents α and, in the case of substituents on nitrogen atoms, from substituents ε,

B represents an alkylene or alkylidene group having from 1 to 6 carbon atoms,

and m is 0, 1 or 2;

A represents a group of formula -CH=CH- or $-(CH_2)_n-$, where n is 1, 2 or 3;

said aryl groups are carbocyclic aromatic groups having from 6 to 10 ring carbon atoms which are unsubstituted or which are substituted by at least one substituent selected from substituents ζ;

said aralkyl groups are alkyl groups which have from 1 to 4 carbon atoms and which are substituted by from 1 to 3 aryl groups as defined above;

substituents α are selected from: alkyl groups having from 1 to 4 carbon atoms; alkoxy groups having from 1 to 4 carbon atoms; hydroxy groups; halogen atoms; amino groups; monoalkyl- amino groups in which the alkyl part has from 1 to 4 carbon atoms; dialkylamino groups in which each alkyl part is independently selected from alkyl groups having from 1 to 4 carbon atoms; alkanoylamino groups having from 1 to 5 carbon atoms; arylcarbonylamino groups in which the aryl part is as defined above; and aryl groups as defined above;

substituents β are selected from alkyl groups having from 1 to 4 carbon atoms;

substituents γ are selected from: hydroxy groups; alkanoyloxy groups having from 1 to 5 carbon atoms; substituted alkanoyloxy groups which have from 2 to 5 carbon atoms and which are substituted by at least one substituent selected from substituents δ; arylcarbonyloxy groups in which the aryl part is as defined above; and cycloalkylcarbonyloxy groups in which the cycloalkyl part has from 3 to 6 ring carbon atoms and is unsubstituted or is substituted by at least one substituent selected from substituents α;

substituents δ are selected from: carboxy groups; alkoxycarbonyl groups in which the alkoxy part has from 1 to 4 carbon atoms; aryloxycarbonyl groups in which the aryl part is as defined above; and aryl groups as defined above;

substituents ε are selected from: alkyl groups having from 1 to 4 carbon atoms; and hydroxyalkyl groups having from 2 to 4 carbon atoms;

substituents ζ are selected from substituents α, provided that any aryl group in substituents α is not further substituted by an aryl group;

PROVIDED THAT, when m is 1, R^5 represents: said substituted alkyl group having from 1 to 4 carbon atoms;

an aromatic heterocyclic group which has 5 ring atoms of which from 2 to 4 are hetero-atoms selected from nitrogen, oxygen and sulphur hetero-atoms, said group being unsubstituted as defined above or an aromatic heterocyclic group which has 6 ring atoms of which from 1 to 4 are hetero-atoms selected from nitrogen, oxygen and sulphur hetero-atoms, said group being unsubstituted as defined above;

and pharmaceutically acceptable salts thereof.

The invention also provides a pharmaceutical composition for the treatment and prophylaxis of ulcerous conditions, which comprises an anti-ulcer compound in admixture with a pharmaceutically acceptable carrier or diluent, wherein the anti-ulcer compound is selected from compounds of formula (I) and pharmaceutically acceptable salts thereof.

The invention still further provides the use of a compound of formula (I) or a pharmaceutically acceptable salt thereof as a pharmaceutical.

The present invention also provides processes for preparing these compounds, which are described in greater detail hereafter.

In the compounds of the present invention, where R^1 represents a cyclic amino group, this has from 3 to 7 ring atoms, including at least one nitrogen atom. In addition, there may be another 1 or 2 nitrogen atoms and/or an oxygen or sulphur atom. The group is attached to the methylene group forming part of the remainder of the molecule by means of a nitrogen atom. The group preferably has a single nitrogen atom, the remainder of the ring atoms being carbon. Examples of such groups include the 1-aziridinyl, 1-azetidinyl, 1-pyrrolidinyl, piperidino and 1-hexahydroazepinyl groups. Of these we prefer the 1-pyrrolidinyl and piperidino groups, more preferably the piperidino group.

Where R^1 represents a dialkylamino group or substituent α, β, ε or ζ represents an alkyl group, this alkyl group may be a straight or branched chain alkyl group having from 1 to 4 carbon atoms, and examples include the methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl and t-butyl groups, preferably the methyl, ethyl, propyl, isopropyl, butyl and sec-butyl groups, and most preferably the methyl or ethyl group.

In the case of the dialkylamino group represented by R^1, the two alkyl groups may be the same or different, although they are preferably the same. Specific examples of dialkylamino groups include the dimethylamino, diethylamino, dipropylamino, diisopropylamino, dibutylamino, dipentylamino, dihexylamino, methylethylamino and methylpropylamino, of which we prefer the dimethylamino, diethylamino and dipropylamino groups, especially the dimethylamino group.

Where R^2 represents a group of formula $-NHCHR^3R^4$, and R^3 and/or R^4 represents an alkyl group having from 1 to 6 carbon atoms, this may be a straight or branched chain group having from 1 to 6, preferably from 1 to 4, carbon atoms, and examples include the methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, t-butyl, pentyl, isopentyl, neopentyl, 2-methylbutyl, 1-ethylpropyl, 4-methylpentyl, 3-methylpentyl, 2-methylpentyl, 1-methylpentyl, 3,3-dimethylbutyl, 2,2-dimethylbutyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,3-dimethylbutyl, 2-ethylbutyl, hexyl and isohexyl groups. Of these, we prefer the methyl, ethyl, propyl, isopropyl, butyl, sec-butyl, pentyl and hexyl groups, and most prefer the methyl and ethyl groups.

Where R^2 represents a group of formula $-NHCHR^3R^4$, and R^3 and/or R^4 represents an aryl group, this has from 6 to 10, preferably 6 or 10, ring carbon atoms and may be unsubstituted or it may be substituted by one or more of substituents ζ, defined above and exemplified below. Specific examples of the unsubstituted aryl groups include the phenyl and naphthyl (1- or 2- naphthyl) groups, of which the phenyl group is preferred. The aryl ring may optionally have one or more substituents (preferably from 1 to 3 substituents, and more preferably 1 substituent). Examples of such substituents are given in more detail below, but the preferred substituents are alkyl groups having from 1 to 4 carbon atoms, alkoxy groups having from 1 to 4 carbon atoms, and halogen atoms (such as the fluorine, chlorine, bromine or iodine atoms). Preferred substituents are the methyl group, the methoxy group, the fluorine atom and the chlorine atom. The substituents are, in the case of substituted phenyl groups, preferably on the 4-position. Examples of preferred substituted phenyl groups include the 4-methylphenyl, 4-methoxyphenyl, 4-chlorophenyl and 4-fluorophenyl groups.

Where R^2 represents a group of formula $-NHCHR^3R^4$, and R^3 and/or R^4 represents an aralkyl group, the aryl part may be as exemplified above and the alkyl part may be any one of those alkyl groups having from 1 to 4 carbon atoms exemplified above. Preferably the aryl and alkyl parts of the aralkyl group together have from 7 to 11 carbon atoms. The aryl part of the aralkyl group may be substituted or unsubstituted, and, if substituted, the substituents are selected from substituents ζ defined above and exemplified below. However, the group is preferably unsubstituted. Examples of such aralkyl groups include the benzyl, phenethyl, 1-phenylethyl, 2-phenylpropyl, 3-phenylpropyl, 4-phenylbutyl and 1- and 2- naphthylmethyl groups, of which the benzyl, phenethyl and 1- and 2- naphthylmethyl groups are preferred, the benzyl group being most preferred.

Where R^2 represents a group of formula $-NHCHR^3R^4$, and R^3 and R^4, together with the carbon atom to which they are attached, represent a cycloalkyl group, this has from 3 to 8 ring carbon atoms, and examples include the cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl groups, of which the

cyclopropyl, cyclobutyl and cyclopentyl groups are preferred, and the cyclopropyl and cyclobutyl groups are most preferred. The cycloalkyl ring may be substituted or unsubstituted, and, if substituted, it preferably has from 1 to 3, more preferably 1, substituents selected from substituents α. Examples of such substituents are given in more detail below, but the preferred substituents are alkyl groups having from 1 to 4 carbon atoms and alkoxy groups having from 1 to 4 carbon atoms. Of these, we prefer the methyl or ethyl group, but the cycloalkyl group is preferably unsubstituted.

Where R^2 represents an aromatic heterocyclic group, this has 5 ring atoms, of which from 1 to 3 are hetero-atoms selected from oxygen, nitrogen and sulphur atoms. Where there is one hetero-atom, this may be any of the oxygen, nitrogen and sulphur atoms. Where there are two or three hetero-atoms, we prefer that all three or two should be nitrogen atoms and none or one should be an oxygen or sulphur atom. Examples of such groups include the furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,2,3-, 1,2,4-, 1,2,5-, or 1,3,4-oxadiazolyl, 1,2,3-, 1,2,4-, 1,2,5- or 1,3,4-thiadiazolyl, and 1,2,3- or 1,2,4-triazolyl groups. Of these, the furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, imidazolyl and 1,2,3-thiadiazolyl groups are preferred, and the thienyl, thiazolyl, pyrrolyl, pyrazolyl and 1,2,3-thiadiazolyl groups are more preferred. We particularly prefer the thienyl, pyrrolyl and pyrazolyl groups. These groups may be unsubstituted or they may be substituted by one or more substituents. Where the substituent is on a carbon atom, it may be selected from substituents α, defined above and exemplified below. Where the substituent is on a nitrogen atom, it may be selected from substituents β, defined above and exemplified below. There is no particular limitation on the number of such substituents, except that the number of substitutable positions on 5-membered aromatic heterocyclic groups is 4, and from 1 to 4 such substituents are possible, from 1 to 3 being preferred and 1 or 2 being most preferred.

Examples of substituents α include:

alkyl groups having from 1 to 4 carbon atoms, as exemplified above;

alkoxy groups having from 1 to 4 carbon atoms, such as the methoxy, ethoxy, propoxy, isopropoxy, butoxy and isobutoxy groups, of which the methoxy and ethoxy groups are preferred;

hydroxy groups;

halogen atoms, such as the fluorine, chlorine, bromine and iodine atoms, of which the fluorine and chlorine atoms are preferred;

amino groups;

monoalkylamino groups in which the alkyl part has from 1 to 4 carbon atoms, such as the methylamino, ethylamino, propylamino, isopropylamino, butylamino and isobutylamino groups, preferably the methylamino and ethylamino groups;

dialkylamino groups in which each alkyl part is independently selected from alkyl groups having from 1 to 4 carbon atoms, such as those exemplified above in relation to the dialkylamino groups which may be represented by R^1;

alkanoylamino groups having from 1 to 5 carbon atoms, such as the formamido, acetamido, propionamido, butyramido, valerylamino and isovalerylamino groups, preferably the acetamido or propionamido group;

arylcarbonylamino groups in which the aryl part is as defined and exemplified above in relation to the aryl groups which may be represented by R^3 and R^4, particularly the benzamido group;

and aryl groups as defined and exemplified above in relation to the aryl groups which may be represented by R^3 and R^4, particularly the phenyl group.

Examples of substituents β are straight or branched chain alkyl groups having from 1 to 4 carbon atoms, and examples include the methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl and t-butyl groups, preferably the methyl, ethyl, propyl, isopropyl, butyl and sec-butyl groups, and most preferably the methyl or ethyl group.

Specific examples of such substituted and unsubstituted groups which may be represented by R^2 are given hereafter.

Where R^5 represents a substituted alkyl group, the alkyl moiety may be a straight or branched chain alkyl group having from 1 to 4 carbon atoms, and examples include the methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl and t-butyl groups, preferably the methyl, ethyl, propyl, isopropyl, butyl and sec-butyl groups, more preferably the ethyl or propyl group having a substituent at the 2-position, and most preferably the ethyl group having a substituent at the 2-position. The group is substituted by at least one, and preferably from 1 to 3, more preferably 1, substituent selected from substituents γ.

Examples of substituents γ include:

hydroxy groups;

alkanoyloxy groups having from 1 to 5 carbon atoms, such as the formoxy, acetoxy, propionyloxy, butyryloxy, valeryloxy and isovaleryloxy groups, preferably the acetoxy or propionyloxy group;

substituted alkanoyloxy groups which have from 2 to 5 carbon atoms and which are substituted by at

least one substituent selected from substituents δ, such as the acetoxy, propionyl- oxy, butyryloxy, valeryloxy and isovaleryloxy groups, preferably the acetoxy or propionyloxy group; examples of substituents δ are:

carboxy groups;

alkoxycarbonyl groups in which the alkoxy part has from 1 to 4 carbon atoms, such as the methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl and isobutoxycarbonyl groups, of which the methoxycarbonyl and ethoxycarbonyl groups are preferred;

aryloxycarbonyl groups in which the aryl part is as defined and exemplified above in relation to the aryl groups which may be represented by R^3 and R^4, particularly the phenoxycarbonyl group; and

aryl groups as defined and exemplified above in relation to the aryl groups which may be represented by R^3 and R^4, particularly the phenyl group;

especially, propionyloxy groups substituted at the 3-position by a carboxy, alkoxycarbonyl or aryloxycarbonyl group and acetoxy groups substituted by an aryl group;

arylcarbonyloxy groups in which the aryl part is as defined and exemplified above in relation to the aryl groups which may be represented by R^3 and R^4, particularly the benzoyloxy group;

and cycloalkylcarbonyloxy groups in which the cycloalkyl part has from 3 to 6 ring carbon atoms,, such as the cyclopropylcarbonyloxy, cyclobutylcarbonyloxy, cyclopentylcarbonyloxy and cyclohexylcarbonyloxy groups, which may be substituted or unsubstituted (preferably unsubstituted) and, if substituted, have one or more substituents selected from substituents α, preferably alkyl groups or alkoxy groups, as exemplified above, and more preferably methyl or ethyl groups; the cycloalkylcarbonyloxy group is preferably a cyclopentylcarbonyloxy or cyclohexylcarbonyloxy group.

Where R^5 represents an aromatic heterocyclic group, this has 5 or 6 ring atoms, of which from 1 to 4 are hetero-atoms selected from oxygen, nitrogen and sulphur atoms. Where there is only one hetero-atom, this may be any of the oxygen, nitrogen and sulphur atoms. However, where there are two, three or four hetero-atoms, it is preferred that 0 or 1 is an oxygen or sulphur atom and, where there are no oxygen or sulphur atoms, 1, 2, 3 or 4 are nitrogen atoms, or, where there is 1 oxygen or sulphur atom, 0, 1, 2 or 3 are nitrogen atoms. Examples of such groups include the furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, 1,2,3-, 1,2,4-, 1,2,5- or 1,3,4-oxadiazolyl, 1,2,3-, 1,2,4-, 1,2,5- or 1,3,4-thiadiazolyl, 1,2,3- or 1,2,4-triazolyl, tetrazolyl, pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl and 1,2,3-, 1,2,4- or 1,3,5-triazinyl groups. Of these, we prefer the imidazolyl, 1,3,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-triazolyl, tetrazolyl, pyridyl and pyrimidinyl groups, more preferably the 1,3,4-oxadiazolyl, 1,2,4-triazolyl, tetrazolyl and pyrimidinyl groups and most preferably the 1,3,4-oxadiazolyl, 1,2,4-triazolyl and pyrimidinyl groups. Such groups may be unsubstituted or they may have one or more (preferably from 1 to 3) substituents selected from substituents α, in the case of substituents on carbon atoms, or substituents ε, in the case of substituents on nitrogen atoms. Examples of substituents α have been given above. Examples of substituents ε are as follows:

alkyl groups having from 1 to 4 carbon atoms, such as those exemplified above in relation to substituents β; and

hydroxyalkyl groups having from 2 to 4 carbon atoms, such as the 2-hydroxyethyl, 2-hydroxypropyl, 3-hydroxypropyl, 2-hydroxybutyl, 3-hydroxybutyl and 4-hydroxybutyl groups; preferably a 2-hydroxyethyl or 3-hydroxypropyl group.

Specific examples of such substituted and unsubstituted groups which may be represented by R^5 are given hereafter.

B can represent an alkylene or alkylidene group having from 1 to 6 carbon atoms. Examples include the methylene, ethylene, trimethylene, propylene, tetramethylene, 2-methyltrimethylene, pentamethylene and hexamethylene groups. Of these, we prefer the methylene, ethylene or trimethylene group, more preferably a methylene or trimethylene group.

Preferably m is 0 or 1, and most preferably m is 0.

Preferably A is a group of formula -CH=CH- or $-CH_2CH_2-$, and most preferably A is a group of formula -CH=CH-.

Specific examples of preferred optionally substituted 5-membered aromatic heterocyclic groups containing from 1 to 3 hetero-atoms selected from oxygen, nitrogen and sulphur atoms, which may be represented by R^2 include the 2-furyl, 3-furyl, 3-methyl-2-furyl, 4-methyl-2-furyl, 5-methyl- 2-furyl, 2-methyl-3-furyl, 4-methyl-3-furyl, 5-methyl- 3-furyl, 5-chloro-2-furyl, 5-chloro-3-furyl, 3-amino-2- furyl, 5-amino-2-furyl, 3-acetamido-2-furyl, 5-acetamido-2-furyl, 5-phenyl-2-furyl, 5-(4-methylphenyl)-2-furyl, 5-(4-chlorophenyl)-2-furyl, 2,4-dimethyl-3-furyl, 2,5-dimethyl-3-furyl, 3-methyl-5-amino-2-furyl, 2-thienyl, 3-thienyl, 3-methyl-2-thienyl, 4-methyl-2-thienyl, 5-methyl-2-thienyl, 2-methyl-3-thienyl, 4-methyl-3-thienyl, 5-methyl-3-thienyl, 5-ethyl-2-thienyl, 4-methoxy-2-thienyl, 4-methoxy-3-thienyl, 4-hydroxy-2-thienyl, 4-hydroxy-3-thienyl, 5-chloro-2-thienyl, 5-chloro-3-thienyl, 5-bromo-3-thienyl, 3-amino-2-thie nyl, 5-amino-2-thienyl, 2-amino-3-thienyl, 4-amino-3-thienyl, 3-acetamido-2-thienyl, 5-acetamido-2-thienyl, 2-acetamido-3-thienyl, 4-acetamido-3-thienyl, 5-phenyl-2-thienyl, 5-(4-methylphenyl)-2-thienyl, 5-(4-chlorophenyl)-2-thienyl, 3,4-dimethyl-2-thienyl, 3,5-dime-

thyl-2-thienyl, 4,5-dimethyl-2-thienyl, 2,4-dimethyl-3-thienyl, 2,5-dimethyl-3-thienyl, 4,5-dimethyl-3-thienyl, 5-methyl-2-amino-3-thienyl, 4-methyl-5-chloro-3-thienyl, 4,5-dichloro-2-thienyl, 2-amino-5-phenyl-3-thienyl, 2,4,5-trimethyl-3-thienyl, 2,5-dimethyl-4-amino-3-thienyl, 2-pyrrolyl, 3-pyrrolyl, 1-methyl-2-pyrrolyl, 3-methyl-2-pyrrolyl, 4-methyl-2-pyrrolyl, 5-methyl-2-pyrrolyl, 1-methyl-3-pyrrolyl, 2-methyl-3-pyrrolyl, 4-methyl-3-pyrrolyl, 5-methyl-3-pyrrolyl, 4-methoxy-3-pyrrolyl, 4-hydroxy-3-pyrrolyl, 5-chloro-2-pyrrolyl, 5-chloro-3-pyrrolyl, 3-amino-2-pyrrolyl, 4-amino-2-pyrrolyl, 3-acetamido-2-pyrrolyl, 4-acetamido-2-pyrrolyl, 4-phenyl-2-pyrrolyl, 5-phenyl-2-pyrrolyl, 5-phenyl-3-pyrrolyl, 4-(4-methylphenyl)-2-pyrrolyl, 5-(4-methylphenyl)-2-pyrrolyl, 4-(4-methoxyphenyl)-2-pyrrolyl, 5-(4-methoxyphenyl)-2-pyrrolyl, 4-(4-fluorophenyl)-2-pyrrolyl, 5-(4-fluorophenyl)-2-pyrrolyl, 4-(4-chlorophenyl)-2-pyrrolyl, 5-(4-chlorophenyl)-2-pyrrolyl, 5-(4-methylphenyl)-3-pyrrolyl, 5-(4-methoxyphenyl)-3-pyrrolyl, 5-(4-fluorophenyl)-3-pyrrolyl, 5-(4-chlorophenyl)-3-pyrrolyl, 1,3-dimethyl-2-pyrrolyl, 1,4-dimethyl-2-pyrrolyl, 1,5-dimethyl-2-pyrrolyl, 3,4-dimethyl-2-pyrrolyl, 3,5-dimethyl-2-pyrrolyl, 4,5-dimethyl-2-pyrrolyl, 1,5-dimethyl-3-pyrrolyl, 2,4-dimethyl-3-pyrrolyl, 2,5-dimethyl-3-pyrrolyl, 1-methyl-4-hydroxy-3-pyrrolyl, 1-methyl-4-methoxy-3-pyrrolyl, 1-methyl-2-chloro-3-pyrrolyl, 4-methyl-5-chloro-3-pyrrolyl, 1-methyl-5-amino-2-pyrrolyl, 3,4,5-trimethyl-2-pyrrolyl, 1,2,4-trimethyl-3-pyrrolyl, 1,4-dimethyl-5-chloro-3-pyrrolyl, 1,4-dimethyl-5-bromo-3-pyrrolyl, 3,5-dimethyl-4-amino-2-pyrrolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-methyl-4-oxazolyl, 5-methyl-2-oxazolyl, 2-methoxy-4-oxazolyl, 2-hydroxy-4-oxazolyl, 2-phenyl-4-oxazolyl, 5-phenyl-2-oxazolyl, 2,5-dimethyl-4-oxazolyl, 2,4-dimethyl-5-oxazolyl, 5-methyl-2-phenyl-4-oxazolyl, 4-methyl-2-phenyl-5-oxazolyl, 3-isoxazolyl, 4-isoxazolyl, 3-methyl-4-isoxazolyl, 4-methyl-3-isoxazolyl, 5-methyl-3-isoxazolyl, 3-methoxy-4-isoxazolyl, 4-methoxy-3-isoxazolyl, 3-hydroxy-4-isoxazolyl, 3-hydroxy-5-isoxazolyl, 4-hydroxy-3-isoxazolyl, 5-amino-4-isoxazolyl, 4-amino-3-isoxazolyl, 4-phenyl-3-isoxazolyl, 5-phenyl-3-isoxazolyl, 4-(4-methylphenyl)-3-isoxazolyl, 5-(4-methylphenyl)-3-isoxazolyl, 4,5-dimethyl-3-isoxazolyl, 5-methyl-4-hydroxy-3-isoxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 4-methyl-2-thiazolyl, 5-methyl-2-thiazolyl, 2-methyl-4-thiazolyl, 5-methyl-4-thiazolyl, 2-methyl-5-thiazolyl, 4-methyl-5-thiazolyl, 2-methoxy-4-thiazolyl, 2-methoxy-5-thiazolyl, 2-hydroxy-4-thiazolyl, 2-hydroxy-5-thiazolyl, 5-chloro-2-thiazolyl, 2-chloro-4-thiazolyl, 5-chloro-4-thiazolyl, 2-chloro-5-thiazolyl, 4-chloro-5-thiazolyl, 2-amino-4-thiazolyl, 5-amino-4-thiazolyl, 2-amino-5-thiazolyl, 2-acetamido-4-thiazolyl, 5-acetamido-4-thiazolyl, 2-acetamido-5-thiazolyl, 2-phenyl-4-thiazolyl, 2-phenyl-5-thiazolyl, 4,5-dimethyl-2-thiazolyl, 2,5-dimethyl-4-thiazolyl, 2,4-dimethyl-5-thiazolyl, 5-methyl-2-hydroxy-4-thiazolyl, 4-methyl-2-hydroxy-5-thiazolyl, 5-methyl-2-chloro-4-thiazolyl, 4-methyl-2-chloro-5-thiazolyl, 2-methyl-4-chloro-5-thiazolyl, 5-methyl-2-amino-4-thiazolyl, 2-methyl-5-amino-4-thiazolyl, 4-methyl-2-amino-5-thiazolyl, 3-isothiazolyl, 4-isothiazolyl, 3-pyrazolyl, 4-pyrazolyl, 1-methyl-4-pyrazolyl, 3-methyl-4-pyrazolyl, 1-methyl-3-pyrazolyl, 4-methyl-3-pyrazolyl, 5-methyl-3-pyrazolyl, 1-methyl-5-pyrazolyl, 1-ethyl-4-pyrazolyl, 1-ethyl-3-pyrazolyl, 5-ethyl-3-pyrazolyl, 1-propyl-4-pyrazolyl, 1-propyl-3-pyrazolyl, 5-propyl-3-pyrazolyl, 1-butyl-4-pyrazolyl, 4-methoxy-3-pyrazolyl, 4-propoxy-3-pyrazolyl, 4-hydroxy-3-pyrazolyl, 4-chloro-3-pyrazolyl, 3-chloro-4-pyrazolyl, 4-bromo-3-pyrazolyl, 4-amino-3-pyrazolyl, 5-amino-3-pyrazolyl, 3-amino-4-pyrazolyl, 3-acetamido-4-pyrazolyl, 3-propionylamino-4-pyrazolyl, 4-acetamido-3-pyrazolyl, 5-acetamido-3-pyrazolyl, 5-phenyl-3-pyrazolyl, 1,3-dimethyl-4-pyrazolyl, 1,5-dimethyl-4-pyrazolyl, 3,5-dimethyl-4-pyrazolyl, 1,4-dimethyl-3-pyrazolyl, 1,5-dimethyl-3-pyrazolyl, 4,5-dimethyl-3-pyrazolyl, 1,3-dimethyl-5-pyrazolyl, 1,4-dimethyl-5-pyrazolyl, 1-methyl-4-methoxy-3-pyrazolyl, 5-methyl-4-hydroxy-3-pyrazolyl, 1-methyl-3-chloro-4-pyrazolyl, 1-methyl-5-chloro-4-pyrazolyl, 5-methyl-3-chloro-4-pyrazolyl, 1-methyl-4-chloro-3-pyrazolyl, 5-methyl-4-chloro-3-pyrazolyl, 1-methyl-4-chloro-5-pyrazolyl, 1-methyl-3-amino-4-pyrazolyl, 1-methyl-5-amino-4-pyrazolyl, 5-methyl-3-amino-4-pyrazolyl, 1-methyl-3-acetamido-4-pyrazolyl, 1-methyl-5-acetamido-4-pyrazolyl, 3-methyl-5-acetamido-4-pyrazolyl, 1-methyl-5-amino-3-pyrazolyl, 5-methyl-4-amino-3-pyrazolyl, 4-methyl-5-amino-3-pyrazolyl, 1,3,5-trimethyl-4-pyrazolyl, 1,4,5-trimethyl-3-pyrazolyl, 1,3,4-trimethyl-5-pyrazolyl, 1,3-dimethyl-4-chloro-5-pyrazolyl, 2-imidazolyl, 4-imidazolyl, 1-methyl-2-imidazolyl, 5-methyl-2-imidazolyl, 1-methyl-4-imidazolyl, 2-methyl-4-imidazolyl, 5-methyl-4-imidazolyl, 1,2,3-oxadiazol-4-yl, 1,2,3-oxadiazol-5-yl, 5-methyl-1,2,3-oxadiazol-4-yl, 4-methyl-1,2,3-oxadiazol-5-yl, 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,2,5-oxodiazol-3-yl, 4-methyl-1,2,5-oxadiazol-3-yl, 4-phenyl-1,2,5-oxadiazol-3-yl, 4-(4-methylphenyl)-1,2,5-oxadiazol-3-yl, 1,3,4-oxadiazol-2-yl, 1,2,3-thiadiazol-4-yl, 1,2,3-thiadiazol-5-yl, 5-methyl-1,2,3-thiadiazol-4-yl, 5-phenyl-1,2,3-thiadiazol-4-yl, 5-(4-methylphenyl)-1,2,3-thiadiazol-4-yl, 4-methyl-1,2,3-thiadiazol-5-yl, 1,2,4-thiadiazol-3-yl, 1,2,4-thiadiazol-5-yl, 1,2,5-thiadiazol-3-yl, 4-methyl-1,2,5-thiadiazol-3-yl, 1,3,4-thiadiazol-2-yl, 1,2,3-triazol-4-yl, 1-methyl-1,2,3-triazol-4-yl, 5-methyl-1,2,3-triazol-4-yl, 1,5-dimethyl-1,2,3-triazol-4-yl, 1,2,4-triazol-5-yl, 1-methyl-1,2,4-triazol-3-yl and 2-ethyl-4-methyl-1,2,3-triazol-5-yl groups.

Examples of more preferred such groups include: the 2-furyl, 3-furyl, 3-methyl-2-furyl, 4-methyl-2-furyl, 5-methyl-2-furyl, 2-methyl-3-furyl, 4-methyl-3-furyl, 5-methyl-3-furyl, 2-thienyl, 3-thienyl, 3-methyl-2-thienyl, 4-methyl-2-thienyl, 5-methyl-2-thienyl, 2-methyl-3-thienyl, 4-methyl-3-thienyl, 5-methyl-3-thienyl, 5-chloro-2-thienyl, 5-chloro-3-thienyl, 3-amino-2-thienyl, 5-amino-2-thienyl, 2-amino-3-thienyl, 4-amino-3-thienyl, 3-acetamido-2-thienyl, 5-acetamido-2-thienyl, 2-acetamido-3-thienyl, 4-acetamido-3-thienyl, 2-pyrrolyl, 3-pyr-

rolyl, 1-methyl-2-pyrrolyl, 3-methyl-2-pyrrolyl, 4-methyl-2-pyrrolyl, 5-methyl-2-pyrrolyl, 1-methyl-3-pyrrolyl, 2-methyl-3-pyrrolyl, 4-methyl-3-pyrrolyl, 5-methyl-3-pyrrolyl, 4-methoxy-3-pyrrolyl, 5-chloro-2-pyrrolyl, 5-chloro-3-pyrrolyl, 3-amino-2-pyrrolyl, 4-amino-2-pyrrolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-methyl-4-oxazolyl, 3-isoxazolyl, 4-isoxazolyl, 3-methyl-4-isoxazolyl, 4-methyl-3-isoxazolyl, 5-methyl-3-isoxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 4-methyl-2-thiazolyl, 5-methyl-2-thiazolyl, 2-methyl-4-thiazolyl, 5-methyl-4-thiazolyl, 2-methyl-5-thiazolyl, 4-methyl-5-thiazolyl, 2-chloro-4-thiazolyl, 5-chloro-4-thiazolyl, 2-chloro-5-thiazolyl, 4-chloro-5-thiazolyl, 2-amino-4-thiazolyl, 5-amino-4-thiazolyl, 3-pyrazolyl, 4-pyrazolyl, 1-methyl-4-pyrazolyl, 3-methyl-4-pyrazolyl, 1-methyl-3-pyrazolyl, 4-methyl-3-pyrazolyl, 5-methyl-3-pyrazolyl, 1-methyl-5-pyrazolyl, 1-ethyl-4-pyrazolyl, 4-methoxy-3-pyrazolyl, 4-chloro-3-pyrazolyl, 3-chloro-4-pyrazolyl, 4-amino-3-pyrazolyl, 5-amino-3-pyrazolyl, 3-amino-4-pyrazolyl, 3-acetamido-4-pyrazolyl, 2-imidazolyl, 4-imidazolyl, 1-methyl-2-imidazolyl, 5-methyl-2-imidazolyl, 1-methyl-4-imidazolyl, 2-methyl-4-imidazolyl, 5-methyl-4-imidazolyl, 1,2,3-thiadiazol-4-yl, 1,2,3-thiadiazol-5-yl, 5-methyl-1,2,3-thiadiazol-4-yl, 4-methyl-1,2,3-thiadiazol-5-yl, 1,2,4-thiadiazol-3-yl, 1,2,4-thiadiazol-5-yl, 1,2,5-thiadiazol-3-yl, 4-methyl-1,2,5-thiadiazol-3-yl and 1,3,4-thiadiazol-2-yl groups.

Examples of still more preferred such groups include: the 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 3-methyl-2-thienyl, 4-methyl-2-thienyl, 5-methyl-2-thienyl, 2-methyl-3-thienyl, 4-methyl-3-thienyl, 5-methyl-3-thienyl, 5-chloro-2-thienyl, 5-chloro-3-thienyl, 2-pyrrolyl, 3-pyrrolyl, 1-methyl-2-pyrrolyl, 3-methyl-2-pyrrolyl, 4-methyl-2-pyrrolyl, 5-methyl-2-pyrrolyl, 1-methyl-3-pyrrolyl, 2-methyl-3-pyrrolyl, 4-methyl-3-pyrrolyl, 5-methyl-3-pyrrolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 3-isoxazolyl, 4-isoxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-methyl-4-thiazolyl, 5-methyl-4-thiazolyl, 2-methyl-5-thiazolyl, 4-methyl-5-thiazolyl, 3-pyrazolyl, 4-pyrazolyl, 1-methyl-4-pyrazolyl, 3-methyl-4-pyrazolyl, 1-methyl-3-pyrazolyl, 4-methyl-3-pyrazolyl, 5-methyl-3-pyrazolyl, 1-methyl-5-pyrazolyl, 3-chloro-4-pyrazolyl, 4-amino-3-pyrazolyl, 5-amino-3-pyrazolyl, 3-amino-4-pyrazolyl, 2-imidazolyl, 4-imidazolyl, 1,2,3-thiadiazol-4-yl 1,2,3-thiadiazol-5-yl, 1,2,4-thiadiazol-3-yl and 1,2,4-thiadiazol-5-yl.

Examples of the most preferred such groups which may be represented by R^2 include: the 2-thienyl, 3-thienyl, 5-methyl-2-thienyl, 5-chloro-3-thienyl, 2-pyrrolyl, 3-pyrrolyl, 1-methyl-2-pyrrolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 3-pyrazolyl, 4-pyrazolyl, 1-methyl-4-pyrazolyl, 3-methyl-4-pyrazolyl, 5-methyl-3-pyrazolyl, 3-amino-4-pyrazolyl, 1,2,3-thiadiazol-4-yl groups and 1,2,3-thiadiazol-5-yl groups.

Specific examples of optionally substituted 5- or 6-membered aromatic heterocyclic groups having from 1 to 4 hetero-atoms selected from oxygen, nitrogen and sulphur atoms, which may be represented by R^5 include: the 2-furyl, 3-furyl, 3-methyl-2-furyl, 4-methyl-2-furyl, 5-methyl-2-furyl, 2-methyl-3-furyl, 4-methyl-3-furyl, 5-methyl-3-furyl, 5-chloro-2-furyl, 5-chloro-3-furyl, 3-amino-2-furyl, 5-amino-2-furyl, 3-acetamido-2-furyl, 5-acetamido-2- furyl, 5-phenyl-2-furyl, 5-(4-methylphenyl)-2-furyl, 5-(4-chlorophenyl)-2-furyl, 2,4-dimethyl-3-furyl, 2,5-dimethyl-3-furyl, 3-methyl-5-amino-2-furyl, 2-thienyl, 3-thienyl, 3-methyl-2-thienyl, 4-methyl-2-thienyl, 5-methyl-2-thienyl, 2-methyl-3-thienyl, 4-methyl-3-thienyl, 5-methyl-3-thienyl, 5-ethyl-2-thienyl, 4-methoxy-2-thienyl, 4-methoxy-3-thienyl, 4-hydroxy-2-thienyl, 4-hydroxy-3-thienyl, 5-chloro-2-thienyl, 5-chloro-3-thienyl, 5-bromo-3-thienyl, 3-amino-2-thienyl, 5-amino-2-thienyl, 2-amino-3-thienyl, 4-amino-3-thienyl, 3-acetamido-2-thienyl, 5-acetamido-2-thienyl, 2-acetamido-3-thienyl, 4-acetamido-3-thienyl, 5-phenyl-2-thienyl, 5-(4-methylphenyl)-2-thienyl, 5-(4-chlorophenyl)-2-thienyl, 3,4-dimethyl-2-thienyl, 3,5-dimethyl-2-thienyl, 4,5-dimethyl-2-thienyl, 2,4-dimethyl-3-thienyl, 2,5-dimethyl-3-thienyl, 4,5-dimethyl-3-thienyl, 5-methyl-2-amino-3-thienyl, 4-methyl-5-chloro-3-thienyl, 4,5-dichloro-2-thienyl, 2-amino-5-phenyl-3-thienyl, 2,4,5-trimethyl-3-thienyl, 2,5-dimethyl-4-amino-3-thienyl, 2-pyrrolyl, 3-pyrrolyl, 1-methyl-2-pyrrolyl, 3-methyl-2-pyrrolyl, 4-methyl-2-pyrrolyl, 5-methyl-2-pyrrolyl, 1-methyl-3-pyrrolyl, 2-methyl-3-pyrrolyl, 4-methyl-3-pyrrolyl, 5-methyl-3-pyrrolyl, 4-methoxy-3-pyrrolyl, 4-hydroxy-3-pyrrolyl, 5-chloro-2-pyrrolyl, 5-chloro-3-pyrrolyl, 3-amino-2-pyrrolyl, 4-amino-2-pyrrolyl, 3-acetamido-2-pyrrolyl, 4-acetamido-2-pyrrolyl, 4-phenyl-2-pyrrolyl, 5-phenyl-2-pyrrolyl, 5-phenyl-3-pyrrolyl, 4-(4-methylphenyl)-2-pyrrolyl, 5-(4-methylphenyl)-2-pyrrolyl, 4-(4-methoxyphenyl)-2-pyrrolyl, 5-(4-methoxyphenyl)-2-pyrrolyl, 4-(4-fluorophenyl)-2-pyrrolyl, 5-(4-fluorophenyl)-2-pyrrolyl, 4-(4-chlorophenyl)-2-pyrrolyl, 5-(4-chlorophenyl)-2-pyrrolyl, 5-(4-methylphenyl)-3-pyrrolyl, 5-(4-methoxyphenyl)-3-pyrrolyl, 5-(4-fluorophenyl)-3-pyrrolyl, 5-(4-chlorophenyl)-3-pyrrolyl, 1-(2-hydroxyethyl)-2-pyrrolyl, 1-(3-hydroxypropyl)-2-pyrrolyl, 1-(2-hydroxyethyl)-3-pyrrolyl, 1-(3-hydroxypropyl)-3-pyrrolyl, 1,3-dimethyl-2-pyrrolyl, 1,4-dimethyl-2-pyrrolyl, 1,5-dimethyl-2-pyrrolyl, 3,4-dimethyl-2-pyrrolyl, 3,5-dimethyl-2-pyrrolyl, 4,5-dimethyl-2-pyrrolyl, 1,5-dimethyl-3-pyrrolyl, 2,4-dimethyl-3-pyrrolyl, 2,5-dimethyl-3-pyrrolyl, 1-methyl-4-hydroxy-3-pyrrolyl, 1-methyl-4-methoxy-3-pyrrolyl, 1-methyl-2-chloro-3-pyrrolyl, 4-methyl-5-chloro-3-pyrrolyl, 1-methyl-5-amino-2-pyrrolyl, 3,4,5-trimethyl-2-pyrrolyl, 1,2,4-trimethyl-3-pyrrolyl, 1,4-dimethyl-5-chloro-3-pyrrolyl, 1,4-dimethyl-5-bromo-3-pyrrolyl, 3,5-dimethyl-4-amino-2-pyrrolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-methyl-4-oxazolyl, 5-methyl-2-oxazolyl, 2-methoxy-4-oxazolyl, 2-hydroxy-4-oxazolyl, 2-phenyl-4-oxazolyl, 5-phenyl-2-oxazolyl, 2,5-dimethyl-4-oxazolyl, 2,4-dimethyl-5-oxazolyl, 5-methyl-2-phenyl-4-oxazolyl, 4-methyl-2-phenyl-5-oxazolyl, 3-isoxazolyl, 4-isoxazolyl, 3-methyl-4-isoxazolyl, 4-me-

thyl-3-isoxazolyl, 5-methyl-3-isoxazolyl, 3-methoxy-4-isoxazolyl, 4-methoxy-3-isoxazolyl, 3-hydroxy-4-isoxazolyl, 3-hydroxy-5-isoxazolyl, 4-hydroxy-3-isoxazolyl, 5-amino-4-isoxazolyl, 4-amino-3-isoxazolyl, 4-phenyl-3-isoxazolyl, 5-phenyl-3-isoxazolyl, 4-(4-methylphenyl)-3-isoxazolyl, 5-(4-methylphenyl)-3-isoxazolyl, 4,5-dimethyl-3-isoxazolyl, 5-methyl-4-hydroxy-3-isoxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 4-methyl-2-thiazolyl, 5-methyl-2-thiazolyl, 2-methyl-4-thiazolyl, 5-methyl-4-thiazolyl, 2-methyl-5-thiazolyl, 4-methyl-5-thiazolyl, 2-methoxy-4-thiazolyl, 2-methoxy-5-thiazolyl, 2-hydroxy-4-thiazolyl, 2-hydroxy-5-thiazolyl, 5-chloro-2-thiazolyl, 2-chloro-4-thiazolyl, 5-chloro-4-thiazolyl, 2-chloro-5-thiazolyl, 4-chloro-5-thiazolyl, 2-amino-4-thiazolyl, 5-amino-4-thiazolyl, 2-amino-5-thiazolyl, 2-acetamido-4-thiazolyl, 5-acetamido-4-thiazolyl, 2-acetamido-5-thiazolyl, 2-phenyl-4-thiazolyl, 2-phenyl-5-thiazolyl, 4,5-dimethyl-2-thiazolyl, 2,5-dimethyl-4-thiazolyl, 2,4-dimethyl-5-thiazolyl, 5-methyl-2-hydroxy-4-thiazolyl, 4-methyl-2-hydroxy-5-thiazolyl, 5-methyl-2-chloro-4-thiazolyl, 4-methyl-2-chloro-5-thiazolyl, 2-methyl-4-chloro-5-thiazolyl, 5-methyl-2-amino-4-thiazolyl, 2-methyl-5-amino-4-thiazolyl, 4-methyl-2-amino-5-thiazolyl, 3-isothiazolyl, 4-isothiazolyl, 3-pyrazolyl, 4-pyrazolyl, 1-methyl-4-pyrazolyl, 3-methyl-4-pyrazolyl, 1-methyl-3-pyrazolyl, 4-methyl-3-pyrazolyl, 5-methyl-3-pyrazolyl, 1-methyl-5-pyrazolyl, 1-ethyl-4-pyrazolyl, 1-ethyl-3-pyrazolyl, 5-ethyl-3-pyrazolyl, 1-propyl-4-pyrazolyl, 1-propyl-3-pyrazolyl, 5-propyl-3-pyrazolyl, 1-butyl-4-pyrazolyl, 4-methoxy-3-pyrazolyl, 4-propoxy-3-pyrazolyl, 4-hydroxy-3-pyrazolyl, 4-chloro-3-pyrazolyl, 3-chloro-4-pyrazolyl, 4-bromo-3-pyrazolyl, 4-amino-3-pyrazolyl, 5-amino-3-pyrazolyl, 3-amino-4-pyrazolyl, 3-acetamido-4-pyrazolyl, 3-propionylamino-4-pyrazolyl, 4-acetamido-3-pyrazolyl, 5-acetamido-3-pyrazolyl, 5-phenyl-3-pyrazolyl, 1-(2-hydroxyethyl)-3-pyrazolyl, 1-(3-hydroxypropyl)-3-pyrazolyl, 1-(2-hydroxyethyl)-4-pyrazolyl, 1-(3-hydroxypropyl)-4-pyrazolyl, 1-(2-hydroxyethyl)-5-pyrazolyl, 1-(3-hydroxypropyl)-5-pyrazolyl, 1,3-dimethyl-4-pyrazolyl, 1,5-dimethyl-4-pyrazolyl, 3,5-dimethyl-4-pyrazolyl, 1,4-dimethyl-3-pyrazolyl, 1,5-dimethyl-3-pyrazolyl, 4,5-dimethyl-3-pyrazolyl, 1,3-dimethyl-5-pyrazolyl, 1,4-dimethyl-5-pyrazolyl, 1-methyl-4-methoxy-3-pyrazolyl, 5-methyl-4-hydroxy-3-pyrazolyl, 1-methyl-3-chloro-4-pyrazolyl, 1-methyl-5-chloro-4-pyrazolyl, 5-methyl-3-chloro-4-pyrazolyl, 1-methyl-4-chloro-3-pyrazolyl, 5-methyl-4-chloro-3-pyrazolyl, 1-methyl-4-chloro-5-pyrazolyl, 1-methyl-3-amino-4-pyrazolyl, 1-methyl-5-amino-4-pyrazolyl, 5-methyl-3-amino-4-pyrazolyl, 1-methyl-3-acetamido-4-pyrazolyl, 1-methyl-5-acetamido-4-pyrazolyl, 3-methyl-5-acetamido-4-pyrazolyl, 1-methyl-5-amino-3-pyrazolyl, 5-methyl-4-amino-3-pyrazolyl, 4-methyl-5-amino-3-pyrazolyl, 1,3,5-trimethyl-4-pyrazolyl, 1,4,5-trimethyl-3-pyrazolyl, 1,3,4-trimethyl-5-pyrazolyl, 1,3-dimethyl-4-chloro-5-pyrazolyl, 2-imidazolyl, 4-imidazolyl, 1-methyl-2-imidazolyl, 5-methyl-2-imidazolyl, 1-methyl-4-imidazolyl, 2-methyl-4-imidazolyl, 5-methyl-4-imidazolyl, 1-ethyl-2-imidazolyl, 4-ethyl-2-imidazolyl, 1-(2-hydroxyethyl)-2-imidazolyl, 1-(3-hydroxypropyl)-2-imidazolyl, 4-amino-2-imidazolyl, 2-amino-4-imidazolyl, 5-amino-4-imidazolyl, 4-chloro-2-imidazolyl, 2-chloro-4-imidazolyl, 5-chloro-4-imidazolyl, 1,2,3-oxadiazol-4-yl, 1,2,3-oxadiazol-5-yl, 5-methyl-1,2,3-oxadiazol-4-yl, 4-methyl-1,2,3-oxadiazol-5-yl, 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,2,5-oxadiazol-3-yl, 4-methyl-1,2,5-oxadiazol-3-yl, 4-phenyl-1,2,5-oxadiazol-3-yl, 4-(4-methylphenyl)-1,2,5-oxadiazol-3-yl, 1,3,4-oxadiazol-2-yl, 5-methyl-1,3,4-oxadiazol-2-yl, 5-ethyl-1,3,4-oxadiazol-2-yl, 5-phenyl-1,3,4-oxadiazol-2-yl, 5-chloro-1,3,4-oxadiazol-2-yl, 5-amino-1,3,4-oxadiazol-2-yl, 5-acetamido-1,3,4-oxadiazol-2-yl, 1,2,3-thiadiazol-4-yl, 1,2,3-thiadiazol-5-yl, 5-methyl-1,2,3-thiadiazol-4-yl, 5-phenyl-1,2,3-thiadiazol-4-yl, 5-(4-methylphenyl)-1,2,3-thiadiazol-4-yl, 4-methyl-1,2,3-thiadiazol-5-yl, 1,2,4-thiadiazol-3-yl, 1,2,4-thiadiazol-5-yl, 1,2,5-thiadiazol-3-yl, 4-methyl-1,2,5-thiadiazol-3-yl, 1,3,4-thiadiazol-2-yl, 5-methyl-1,3,4-thiadiazol-2-yl, 5-ethyl-1,3,4-thiadiazol-2-yl, 5-phenyl-1,3,4-thiadiazol-2-yl, 5-chloro-1,3,4-thiadiazol-2-yl, 5-amino-1,3,4-thiadiazol-2-yl, 5-acetamido-1,3,4-thiadiazol-2-yl, 1,2,3-triazol-4-yl, 1-methyl-1,2,3-triazol-4-yl, 5-methyl-1,2,3-triazol-4-yl, 1,5-dimethyl-1,2,3-triazol-4-yl, 1,2,4-triazol-3-yl, 1,2,4-triazol-5-yl, 1-methyl-1,2,4-triazol-3-yl, 1-methyl-1,2,4-triazol-5-yl, 5-methyl-1,2,4-triazol-3-yl, 5-ethyl-1,2,4-triazol-3-yl, 5-phenyl-1,2,4-triazol-3-yl, 1-(2-hydroxyethyl)-1,2,4-triazol-3-yl, 1-(3-hydroxypropyl)-1,2,4-triazol-3-yl, 1-(2-hydroxyethyl)-1,2,4-triazol-5-yl, 1-(3-hydroxypropyl)-1,2,4-triazol-5-yl, 5-chloro-1,2,4-triazol-3-yl, 5-amino-1,2,4-triazol-3-yl, 5-acetamido-1,2,4-triazol-3-yl, 1,3-dimethyl-1,2,4-triazol-5-yl, 1,5-dimethyl-1,2,4-triazol-3-yl, 2-ethyl-4-methyl-1,2,3-triazol-5-yl, tetrazol-5-yl, 1-methyltetrazol-5-yl, 2-methyltetrazol-5-yl, 1-ethyltetrazol-5-yl, 2-ethyltetrazol-5-yl, 1-phenyltetrazol-5-yl, 2-phenyltetrazol-5-yl, 1-(2-hydroxyethyl)tetrazol-5-yl, 2-(2-hydroxyethyl)tetrazol-5-yl, 1-(2-hydroxypropyl)tetrazol-5-yl, 2-(2-hydroxypropyl)tetrazol-5-yl, 1-(3-hydroxypropyl)tetrazol-5-yl, 2-(3-hydroxypropyl)tetrazol-5-yl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 3-methyl-2-pyridyl, 4-methyl-2-pyridyl, 5-methyl-2-pyridyl, 6-methyl-2-pyridyl, 2-methyl-4-pyridyl, 3-methyl-4-pyridyl, 3-chloro-2-pyridyl, 4-chloro-2-pyridyl, 5-chloro-2-pyridyl, 6-chloro-2-pyridyl, 2-chloro-3-pyridyl, 4-chloro-3-pyridyl, 5-chloro-3-pyridyl, 6-chloro-3-pyridyl, 2-chloro-4-pyridyl, 3-chloro-4-pyridyl, 3-amino-2-pyridyl, 4-amino-2-pyridyl, 5-amino-2-pyridyl, 6-amino-2-pyridyl, 2-amino-3-pyridyl, 4-amino-3-pyridyl, 5-amino-3-pyridyl, 6-amino-3-pyridyl, 2-amino-4-pyridyl, 3-amino-4-pyridyl, 3-hydroxy-2-pyridyl, 4-hydroxy-2-pyridyl, 5-hydroxy-2-pyridyl, 6-hydroxy-2-pyridyl, 2-hydroxy-4-pyridyl, 3-hydroxy-[illegible]pyridyl, 3-phenyl-2-pyridyl, 4-phenyl-2-pyridyl, 5-phenyl-2-pyridyl, 6-phenyl-2-pyridyl, 2-phenyl-4-pyridyl, 3-phenyl-4-pyridyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 4-methyl-2-pyrimidinyl, 5-methyl-2-pyrimidinyl, 2-methyl-4-pyrimidinyl, 5-methyl-4-pyrimidinyl, 6-methyl-4-pyrimidinyl, 2-methyl-5-pyrimidinyl, 4-methyl-5-pyrimidinyl, 4-phenyl-2-pyrimidinyl, 5-phenyl-2-

pyrimidinyl, 2-phenyl-4-pyrimidinyl, 5-phenyl-4-pyrimidinyl, 6-phenyl-4-pyrimidinyl, 2-phenyl-5-pyrimidinyl, 4-phenyl-5-pyrimidinyl, 4-chloro-2-pyrimidinyl, 5-chloro-2-pyrimidinyl, 2-chloro-4-pyrimidinyl, 5-chloro-4-pyrimidinyl, 6-chloro-4-pyrimidinyl, 2-chloro-5-pyrimidinyl, 4-chloro-5-pyrimidinyl, 4-amino-2-pyrimidinyl, 5-amino-2-pyrimidinyl, 2-amino-4-pyrimidinyl, 5-amino-4-pyrimidinyl, 6-amino-4-pyrimidinyl, 2-amino-5-pyrimidinyl, 4-amino-5-pyrimidinyl, 4-acetamido-2-pyrimidinyl, 5-acetamido-2-pyrimidinyl, 2-acetamido-4-pyrimidinyl, 5-acetamido-4-pyrimidinyl, 6-acetamido-4-pyrimidinyl, 2-acetamido-5-pyrimidinyl, 4-acetamido-5-pyrimidinyl, 4,5-dimethyl-2-pyrimidinyl, 4,6-dimethyl-2-pyrimidinyl, 2,5-dimethyl-4-pyrimidinyl, 2,6-dimethyl-4-pyrimidinyl, 2,4-dimethyl-5-pyrimidinyl, 2,6-dimethyl-5-pyrimidinyl, 4-amino-5-hydroxy-2-pyrimidinyl, 4-amino-6-hydroxy-2-pyrimidinyl, 2-amino-5-hydroxy-4-pyrimidinyl, 2-amino-6-hydroxy-4-pyrimidinyl, 2-amino-4-hydroxy-5-pyrimidinyl, 5-amino-2-hydroxy-4-pyrimidinyl, 6-amino-2-hydroxy-4-pyrimidinyl, 4-amino-2-hydroxy-5-pyrimidinyl, 4,5-diamino-2-pyrimidinyl, 4,6-diamino-2-pyrimidinyl, 2,5-diamino-4-pyrimidinyl, 2,6-diamino-4-pyrimidinyl, 2,4-diamino-5-pyrimidinyl, 2,6-diamino-5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, 4-methyl-3-pyridazinyl, 5-methyl-3-pyridazinyl, 6-methyl-3-pyridazinyl, 3-methyl-4-pyridazinyl, 5-methyl-4-pyridazinyl, 6-methyl-4-pyridazinyl, 4-chloro-3-pyridazinyl, 5-chloro-3-pyridazinyl, 6-chloro-3-pyridazinyl, 3-chloro-4-pyridazinyl, 5-chloro-4-pyridazinyl, 6-chloro-4-pyridazinyl, 4-hydroxy-3-pyridazinyl, 5-hydroxy-3-pyridazinyl, 6-hydroxy-3-pyridazinyl, 3-hydroxy-4-pyridazinyl, 5-hydroxy-4-pyridazinyl, 6-hydroxy-4-pyridazinyl, 4-amino-3-pyridazinyl, 5-amino-3-pyridazinyl, 6-amino-3-pyridazinyl, 3-amino-4-pyridazinyl, 5-amino-4-pyridazinyl, 6-amino-4-pyridazinyl, 2-pyrazinyl, 3-amino-2-pyrazinyl, 5-amino-2-pyrazinyl, 6-amino-2-pyrazinyl, 3-hydroxy-2-pyrazinyl, 5-hydroxy-2-pyrazinyl, 6-hydroxy-2-pyrazinyl, 3,5-dihydroxy-2-pyrazinyl, 3,6-dihydroxy-2-pyrazinyl, 1,2,3-triazin-4-yl, 1,2,3-triazin-5-yl, 5-methyl-1,2,3-triazin-4-yl, 6-methyl-1,2,3-triazin-4-yl, 4-methyl-1,2,3-triazin-5-yl, 1,2,4-triazin-3-yl, 1,2,4-triazin-5-yl, 1,2,4-triazin-6-yl, 5-methyl-1,2,4-triazin-3-yl, 6-methyl-1,2,4-triazin-3-yl, 3-methyl-1,2,4-triazin-5-yl, 6-methyl-1,2,4-triazin-5-yl, 3-methyl-1,2,4-triazin-6-yl, 5-methyl-1,2,4-triazin-6-yl, 1,3,5-triazin-2-yl and 4-methyl-1,3,5-triazin-2-yl groups.

Examples of preferred such groups include: the 2-furyl, 3-furyl, 3-methyl-2-furyl, 4-methyl-2-furyl, 5-methyl-2-furyl, 2-methyl-3-furyl, 4-methyl-3-furyl, 5-methyl-3-furyl, 2-thienyl, 3-thienyl, 3-methyl-2-thienyl, 4-methyl-2-thienyl, 5-methyl-2-thienyl, 2-methyl-3-thienyl, 4-methyl-3-thienyl, 5-methyl-3-thienyl, 5-ethyl-2-thienyl, 4-methoxy-2-thienyl, 4-methoxy-3-thienyl, 3-amino-2-thienyl, 5-amino-2-thienyl, 2-amino-3-thienyl, 4-amino-3-thienyl, 2-pyrrolyl, 3-pyrrolyl, 1-methyl-2-pyrrolyl, 3-methyl-2-pyrrolyl, 4-methyl-2-pyrrolyl, 5-methyl-2-pyrrolyl, 1-methyl-3-pyrrolyl, 2-methyl-3-pyrrolyl, 4-methyl-3-pyrrolyl, 5-methyl-3-pyrrolyl, 4-methoxy-3-pyrrolyl, 4-hydroxy-3-pyrrolyl, 5-chloro-2-pyrrolyl, 5-chloro-3-pyrrolyl, 3-amino-2-pyrrolyl, 4-amino-2-pyrrolyl, 3-acetamido-2-pyrrolyl, 4-acetamido-2-pyrrolyl, 4-phenyl-2-pyrrolyl, 5-phenyl-2-pyrrolyl, 5-phenyl-3-pyrrolyl, 4-(4-methylphenyl)-2-pyrrolyl, 5-(4-methylphenyl)-2-pyrrolyl, 4-(4-methoxyphenyl)-2-pyrrolyl, 5-(4-methoxyphenyl)-2-pyrrolyl, 4-(4-chlorophenyl)-2-pyrrolyl, 5-(4-chlorophenyl)-2-pyrrolyl, 5-(4-methylphenyl)-3-pyrrolyl, 1-(2-hydroxyethyl)-2-pyrrolyl, 1-(3-hydroxypropyl)-2-pyrrolyl, 1-(2-hydroxyethyl)-3-pyrrolyl, 1-(3-hydroxypropyl)-3-pyrrolyl, 1,3-dimethyl-2-pyrrolyl, 1,4-dimethyl-2-pyrrolyl, 1,5-dimethyl-2-pyrrolyl, 3,4-dimethyl-2-pyrrolyl, 4,5-dimethyl-2-pyrrolyl, 1,5-dimethyl-3-pyrrolyl, 2,4-dimethyl-3-pyrrolyl, 2,5-dimethyl-3-pyrrolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-methyl-4-oxazolyl, 5-methyl-2-oxazolyl, 2-methoxy-4-oxazolyl, 2-hydroxy-4-oxazolyl, 3-isoxazolyl, 4-isoxazolyl, 3-methyl-4-isoxazolyl, 4-methyl-3-isoxazolyl, 5-methyl-3-isoxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 4-methyl-2-thiazolyl, 5-methyl-2-thiazolyl, 2-methyl-4-thiazolyl, 5-methyl-4-thiazolyl, 2-methyl-5-thiazolyl, 4-methyl-5-thiazolyl, 2-methoxy-4-thiazolyl, 2-methoxy-5-thiazolyl, 2-hydroxy-4-thiazolyl, 2-hydroxy-5-thiazolyl, 5-chloro-2-thiazolyl, 2-chloro-4-thiazolyl, 5-chloro-4-thiazolyl, 2-chloro-5-thiazolyl, 4-chloro-5-thiazolyl, 2-amino-4-thiazolyl, 5-amino-4-thiazolyl, 2-amino-5-thiazolyl, 2-acetamido-4-thiazolyl, 5-acetamido-4-thiazolyl, 2-acetamido-5-thiazolyl, 3-isothiazolyl, 4-isothiazolyl, 3-pyrazolyl, 4-pyrazolyl, 1-methyl-4-pyrazolyl, 3-methyl-4-pyrazolyl, 1-methyl-3-pyrazolyl, 4-methyl-3-pyrazolyl, 5-methyl-3-pyrazolyl, 1-methyl-5-pyrazolyl, 1-ethyl-4-pyrazolyl, 1-ethyl-3-pyrazolyl, 5-ethyl-3-pyrazolyl, 1-propyl-4-pyrazolyl, 1-propyl-3-pyrazolyl, 5-propyl-3-pyrazolyl, 1-butyl-4-pyrazolyl, 4-methoxy-3-pyrazolyl, 4-chloro-3-pyrazolyl, 3-chloro-4-pyrazolyl, 4-bromo-3-pyrazolyl, 4-amino-3-pyrazolyl, 5-amino-3-pyrazolyl, 3-amino-4-pyrazolyl, 3-acetamido-4-pyrazolyl, 3-propionylamino-4-pyrazolyl, 4-acetamido-3-pyrazolyl, 5-acetamido-3-pyrazolyl, 5-phenyl-3-pyrazolyl, 1-(2-hydroxyethyl)-3-pyrazolyl, 1-(3-hydroxypropyl)-3-pyrazolyl, 1-(2-hydroxyethyl)-4-pyrazolyl, 1-(3-hydroxypropyl)-4-pyrazolyl, 1-(2-hydroxyethyl)-5-pyrazolyl, 1-(3-hydroxypropyl)-5-pyrazolyl, 1,3-dimethyl-4-pyrazolyl, 1,5-dimethyl-4-pyrazolyl, 3,5-dimethyl-4-pyrazolyl, 1,4-dimethyl-3-pyrazolyl, 1,5-dimethyl-3-pyrazolyl, 4,5-dimethyl-3-pyrazolyl, 1,3-dimethyl-5-pyrazolyl, 1,4-dimethyl-5-pyrazolyl, 1-methyl-3-amino-4-pyrazolyl, 1-methyl-5-amino-4-pyrazolyl, 5-methyl-3-amino-4-pyrazolyl, 1-methyl-3-acetamido-4-pyrazolyl, 1-methyl-5-acetamido-4-pyrazolyl, 3-methyl-5-acetamido-4-pyrazolyl, 1-methyl-5-amino-3-pyrazolyl, 5-methyl-4-amino-3-pyrazolyl, 4-methyl-5-amino-3-pyrazolyl, 2-imidazolyl, 4-imidazolyl, 1-methyl-2-imidazolyl, 5-methyl-2-imidazolyl, 1-methyl-4-imidazolyl, 2-methyl-4-imidazolyl, 5-methyl-4-imidazolyl, 1-ethyl-2-imidazolyl, 4-ethyl-2-imidazolyl, 1-(2-hydroxyethyl)-2-imidazolyl, 1-(3-hydroxypropyl)-2-imidazolyl, 4-amino-2-imidazolyl, 2-amino-4-imidazolyl, 5-amino-4-imidazolyl, 4-chloro-2-imidazoly, 2-chloro-4-

imidazolyl, 5-chloro-4-imidazolyl, 1,2,3-oxadiazol-4-yl, 1,2,3-oxadiazol-5-yl, 5-methyl-1,2,3-oxadiazol-4-yl, 4-methyl-1,2,3-oxadiazol-5-yl, 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,2,5-oxadiazol-3-yl, 4-methyl-1,2,5-oxadiazol-3-yl, 4-phenyl-1,2,5-oxadiazol-3-yl, 1,3,4-oxadiazol-2-yl, 5-methyl-1,3,4-oxadiazol-2-yl, 5-ethyl-1,3,4-oxadiazol-2-yl, 5-phenyl-1,3,4-oxadiazol-2-yl, 5-chloro-1,3,4-oxadiazol-2-yl, 5-amino-1,3,4-oxadiazol-2-yl, 5-acetamido-1,3,4-oxadiazol-2-yl, 1,2,3-thiadiazol-4-yl, 1,2,3-thiadiazol-5-yl, 5-methyl-1,2,3-thiadiazol-4-yl, 5-phenyl-1,2,3-thiadiazol-4-yl, 4-methyl-1,2,3-thiadiazol-5-yl, 1,2,4-thiadiazol-3-yl, 1,2,4-thiadiazol-5-yl, 1,2,5-thiadiazol-3-yl, 4-methyl-1,2,5-thiadiazol-3-yl, 1,3,4-thiadiazol-2-yl, 5-methyl-1,3,4-thiadiazol-2-yl, 5-ethyl-1,3,4-thiadiazol-2-yl, 5-phenyl-1,3,4-thiadiazol-2-yl, 5-chloro-1,3,4-thiadiazol-2-yl, 5-amino-1,3,4-thiadiazol-2-yl, 5-acetamido-1,3,4-thiadiazol-2-yl, 1,2,3-triazol-4-yl, 1-methyl-1,2,3-triazol-4-yl, 5-methyl-1,2,3-triazol-4-yl, 1,5-dimethyl-1,2,3-triazol-4-yl, 1,2,4-triazol-3-yl, 1,2,4-triazol-5-yl, 1-methyl-1,2,4-triazol-3-yl, 1-methyl-1,2,4-triazol-5-yl, 5-methyl-1,2,4-triazol-3-yl, 5-ethyl-1,2,4-triazol-3-yl, 5-phenyl-1,2,4-triazol-3-yl, 1-(2-hydroxyethyl)-1,2,4-triazol-3-yl, 1-(3-hydroxypropyl)-1,2,4-triazol-3-yl, 1-(2-hydroxyethyl)-1,2,4-triazol-5-yl, 1-(3-hydroxypropyl)-1,2,4-triazol-5-yl, 5-chloro-1,2,4-triazol-3-yl, 5-amino-1,2,4-triazol-3-yl, 5-acetamido-1,2,4-triazol-3-yl, 1,3-dimethyl-1,2,4-triazol-5-yl, 1,5-dimethyl-1,2,4-triazol-3-yl, tetrazol-5-yl, 1-methyltetrazol-5-yl, 2-methyltetrazol-5-yl, 1-ethyltetrazol-5-yl, 2-ethyltetrazol-5-yl, 1-phenyltetrazol-5-yl, 2-phenyltetrazol-5-yl, 1-(2-hydroxyethyl)tetrazol-5-yl, 2-(2-hydroxyethyl)tetrazol-5-yl, 1-(2-hydroxypropyl)tetrazol-5-yl, 2-(2-hydroxypropyl)tetrazol-5-yl, 1-(3-hydroxypropyl)tetrazol-5-yl, 2-(3-hydroxypropyl)tetrazol-5-yl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 3-methyl-2-pyridyl, 4-methyl-2-pyridyl, 5-methyl-2-pyridyl, 6-methyl-2-pyridyl, 2-methyl-4-pyridyl, 3-methyl-4-pyridyl, 3-chloro-2-pyridyl, 4-chloro-2-pyridyl, 5-chloro-2-pyridyl, 6-chloro-2-pyridyl, 2-chloro-4-pyridyl, 3-chloro-4-pyridyl, 3-amino-2-pyridyl, 4-amino-2-pyridyl, 5-amino-2-pyridyl, 6-amino-2-pyridyl, 2-amino-4-pyridyl, 3-amino-4-pyridyl, 3-hydroxy-2-pyridyl, 4-hydroxy-2-pyridyl, 5-hydroxy-2-pyridyl, 6-hydroxy-2-pyridyl, 2-hydroxy-4-pyridyl, 3-hydroxy-4-pyridyl, 3-phenyl-2-pyridyl, 4-phenyl-2-pyridyl, 5-phenyl-2-pyridyl, 6-phenyl-2-pyridyl, 2-phenyl-4-pyridyl, 3-phenyl-4-pyridyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 4-methyl-2-pyrimidinyl, 5-methyl-2-pyrimidinyl, 2-methyl-4-pyrimidinyl, 5-methyl-4-pyrimidinyl, 6-methyl-4-pyrimidinyl, 4-phenyl-2-pyrimidinyl, 5-phenyl-2-pyrimidinyl, 2-phenyl-4-pyrimidinyl, 5-phenyl-4-pyrimidinyl, 6-phenyl-4-pyrimidinyl, 4-chloro-2-pyrimidinyl, 5-chloro-2-pyrimidinyl, 2-chloro-4-pyrimidinyl, 5-chloro-4-pyrimidinyl, 6-chloro-4-pyrimidinyl, 4-amino-2-pyrimidinyl, 5-amino-2-pyrimidinyl, 2-amino-4-pyrimidinyl, 5-amino-4-pyrimidinyl, 6-amino-4-pyrimidinyl, 4-acetamido-2-pyrimidinyl, 5-acetamido-2-pyrimidinyl, 2-acetamido-4-pyrimidinyl, 5-acetamido-4-pyrimidinyl, 6-acetamido-4-pyrimidinyl, 4,5-dimethyl-2-pyrimidinyl, 4,6-dimethyl-2-pyrimidinyl, 2,5-dimethyl-4-pyrimidinyl, 2,6-dimethyl-4-pyrimidinyl, 4-amino-5-hydroxy-2-pyrimidinyl, 4-amino-6-hydroxy-2-pyrimidinyl, 2-amino-5-hydroxy-4-pyrimidinyl, 2-amino-6-hydroxy-4-pyrimidinyl, 5-amino-2-hydroxy-4-pyrimidinyl, 6-amino-2-hydroxy-4-pyrimidinyl, 4,5-diamino-2-pyrimidinyl, 4,6-diamino-2-pyrimidinyl, 2,5-diamino-4-pyrimidinyl, 2,6-diamino-4-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, 4-methyl-3-pyridazinyl, 5-methyl-3-pyridazinyl, 6-methyl-3-pyridazinyl, 3-methyl-4-pyridazinyl, 5-methyl-4-pyridazinyl, 6-methyl-4-pyridazinyl, 4-chloro-3-pyridazinyl, 5-chloro-3-pyridazinyl, 6-chloro-3-pyridazinyl, 3-chloro-4-pyridazinyl, 5-chloro-4-pyridazinyl, 6-chloro-4-pyridazinyl, 4-hydroxy-3-pyridazinyl, 5-hydroxy-3-pyridazinyl, 6-hydroxy-3-pyridazinyl, 3-hydroxy-4-pyridazinyl, 5-hydroxy-4-pyridazinyl, 6-hydroxy-4-pyridazinyl, 4-amino-3-pyridazinyl, 5-amino-3-pyridazinyl, 6-amino-3-pyridazinyl, 3-amino-4-pyridazinyl, 5-amino-4-pyridazinyl, 6-amino-4-pyridazinyl, 2-pyrazinyl, 3-methyl-2-pyrazinyl, 5-methyl-2-pyrazinyl, 6-methyl-2-pyrazinyl, 3-amino-2-pyrazinyl, 5-amino-2-pyrazinyl, 6-amino-2-pyrazinyl, 3-hydroxy-2-pyrazinyl, 5-hydroxy-2-pyrazinyl, 6-hydroxy-2-pyrazinyl, 3,5-dihydroxy-2-pyrazinyl, 3,6-dihydroxy-2-pyrazinyl, 1,2,3-triazin-4-yl, 1,2,3-triazin-5-yl, 5-methyl-1,2,3-triazin-4-yl, 6-methyl-1,2,3-triazin-4-yl, 4-methyl-1,2,3-triazin-5-yl, 1,2,4-triazin-3-yl, 1,2,4-triazin-5-yl, 1,2,4-triazin-6-yl, 5-methyl-1,2,4-triazin-3-yl, 6-methyl-1,2,4-triazin-3-yl, 3-methyl-1,2,4-triazin-5-yl, 6-methyl-1,2,4-triazin-5-yl, 3-methyl-1,2,4-triazin-6-yl, 5-methyl-1,2,4-triazin-6-yl, 1,3,5-triazin-2-yl and 4-methyl-1,3,5-triazin-2-yl groups.

Examples of more preferred such groups include: the 2-imidazolyl, 4-imidazolyl, 1-methyl-2-imidazolyl, 5-methyl-2-imidazolyl, 1-methyl-4-imidazolyl, 2-methyl-4-imidazolyl, 5-methyl-4-imidazolyl, 4-chloro-2-imidazolyl, 2-chloro-4-imidazolyl, 5-chloro-4-imidazolyl, 1,3,4-oxadiazol-2-yl, 5-methyl-1,3,4-oxadiazol-2-yl, 5-ethyl-1,3,4-oxadiazol-2-yl, 5-chloro-1,3,4-oxadiazol-2-yl, 5-amino-1,3,4-oxadiazol-2-yl, 5-acetamido-1,3,4-oxadiazol-2-yl, 1,3,4-thiadiazol-2-yl, 5-methyl-1,3,4-thiadiazol-2-yl, 5-ethyl-1,3,4-thiadiazol-2-yl, 5-chloro-1,3,4-thiadiazol-2-yl, 5-amino-1,3,4-thiadiazol-2-yl, 5-acetamido-1,3,4-thiadiazol-2-yl, 1,2,4-triazol-3-yl, 1,2,4-triazol-5-yl, 1-methyl-1,2,4-triazol-3-yl, 1-methyl-1,2,4-triazol-5-yl, 5-methyl-1,2,4-triazol-3-yl, 5-ethyl-1,2,4-triazol-3-yl, 5-phenyl-1,2,4-triazol-3-yl, 5-chloro-1,2,4-triazol-3-yl, 5-amino-1,2,4-triazol-3-yl, 5-acetamido-1,2,4-triazol-3-yl, tetrazol-5-yl, 1-methyltetrazol-5-yl, 1-ethyltetrazol-5-yl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 3-methyl-2-pyridyl, 4-methyl-2-pyridyl, 5-methyl-2-pyridyl, 6-methyl-2-pyridyl, 2-methyl-4-pyridyl, 3-methyl-4-pyridyl, 3-chloro-2-pyridyl, 4-chloro-2-pyridyl, 5-chloro-2-pyridyl, 6-chloro-2-pyridyl, 2-chloro-4-pyridyl, 3-chloro-4-pyridyl, 3-amino-2-pyridyl, 4-amino-2-pyridyl, 5-amino-2-pyridyl, 6-amino-2-pyridyl, 2-amino-4-pyridyl, 3-amino-4-pyridyl, 3-hydroxy-2-pyridyl, 4-hydroxy-2-pyridyl, 5-hydroxy-2-pyridyl, 6-hydroxy-2-pyridyl, 2-

hydroxy-4-pyridyl, 3-hydroxy-4-pyridyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 4-methyl-2-pyrimidinyl, 5-methyl-2-pyrimidinyl, 2-methyl-4-pyrimidinyl, 5-methyl-4-pyrimidinyl, 6-methyl-4-pyrimidinyl, 4-chloro-2-pyrimidinyl, 5-chloro-2-pyrimidinyl, 2-chloro-4-pyrimidinyl, 5-chloro-4-pyrimidinyl, 6-chloro-4-pyrimidinyl, 4-amino-2-pyrimidinyl, 5-amino-2-pyrimidinyl, 2-amino-4-pyrimidinyl, 5-amino-4-pyrimidinyl, 6-amino-4-pyrimidinyl, 4-acetamido-2-pyrimidinyl, 5-acetamido-2-pyrimidinyl, 2-acetamido-4-pyrimidinyl, 5-acetamido-4-pyrimidinyl, 6-acetamido-4-pyrimidinyl, 4-amino-5-hydroxy-2-pyrimidinyl, 4-amino-6-hydroxy-2-pyrimidinyl, 2-amino-5-hydroxy-4-pyrimidinyl, 2-amino-6-hydroxy-4-pyrimidinyl, 5-amino-2-hydroxy-4-pyrimidinyl, 6-amino-2-hydroxy-4-pyrimidinyl, 4,5-diamino-2-pyrimidinyl, 4,6-diamino-2-pyrimidinyl, 2,5-diamino-4-pyrimidinyl and 2,6-diamino-4-pyrimidinyl groups.

Examples of still more preferred such groups include: the 2-imidazolyl, 4-imidazolyl, 1,3,4-oxadiazol-2-yl, 5-methyl-1,3,4-oxadiazol-2-yl, 1,3,4-thiadiazol-2-yl, 5-methyl-1,3,4-thiadiazol-2-yl, 1,2,4-triazol-3-yl, 1,2,4-triazol-5-yl, 1-methyl-1,2,4-triazol-3-yl, 1-methyl-1,2,4-triazol-5-yl, 5-methyl-1,2,4-triazol-3-yl, tetrazol-5-yl, 1-methyltetrazol-5-yl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 3-methyl-2-pyridyl, 4-methyl-2-pyridyl, 5-methyl-2-pyridyl, 6-methyl-2-pyridyl, 2-methyl-4-pyridyl, 3-methyl-4-pyridyl, 3-amino-2-pyridyl, 4-amino-2-pyridyl, 5-amino-2-pyridyl, 6-amino-2-pyridyl, 2-amino-4-pyridyl, 3-amino-4-pyridyl, 3-hydroxy-2-pyridyl, 4-hydroxy-2-pyridyl, 5-hydroxy-2-pyridyl, 6-hydroxy-2-pyridyl, 2-hydroxy-4-pyridyl, 3-hydroxy-4-pyridyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 4-methyl-2-pyrimidinyl, 5-methyl-2-pyrimidinyl, 2-methyl-4-pyrimidinyl, 5-methyl-4-pyrimidinyl, 6-methyl-4-pyrimidinyl, 4-amino-2-pyrimidinyl, 5-amino-2-pyrimidinyl, 2-amino-4-pyrimidinyl, 5-amino-4-pyrimidinyl, 6-amino-4-pyrimidinyl, 4-amino-5-hydroxy-2-pyrimidinyl, 4-amino-6-hydroxy-2-pyrimidinyl, 2-amino-5-hydroxy-4-pyrimidinyl 2-amino-6-hydroxy-4-pyrimidinyl, 5-amino-2-hydroxy-4-pyrimidinyl and 6-amino-2-hydroxy-4-pyrimidinyl groups.

Examples of the most preferred such groups include: the 1,3,4-oxadiazol-2-yl, 5-methyl-1,3,4-oxadiazol-2-yl, 1,3,4-thiadiazol-2-yl, 1,2,4-triazol-3-yl, 1,2,4-triazol-5-yl, 1-methyl-1,2,4-triazol-3-yl, 1-methyl-1,2,4-triazol-5-yl, 5-methyl-1,2,4-triazol-3-yl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrimidinyl, 4-pyrimidinyl, 4-methyl-2-pyrimidinyl, 5-methyl-2-pyrimidinyl, 2-methyl-4-pyrimidinyl, 5-methyl-4-pyrimidinyl and 6-methyl-4-pyrimidinyl groups.

The compounds of the present invention can form salts. Where the compound contains a carboxy group, it can form a salt with a cation. Examples of such salts include: salts with an alkali metal, such as sodium, potassium or lithium; salts with an alkaline earth metal, such as barium or calcium; salts with another metal, such as magnesium or aluminium; ammonium salts; organic base salts, such as a salt with triethylamine, diisopropylamine, cyclohexylamine or dicyclohexylamine; and salts with a basic amino acid, such as lysine or arginine. Also, since the compounds of the present invention necessarily contain basic groups in their molecules, they can form acid addition salts. Examples of such acid addition salts include: salts with mineral acids, especially hydrohalic acids (such as hydrofluoric acid, hydrobromic acid, hydroiodic acid or hydrochloric acid), nitric acid, perchloric acid, carbonic acid, sulphuric acid or phosphoric acid; salts with lower alkylsulphonic acids, such as methanesulphonic acid, trifluoromethanesulphonic acid or ethanesulphonic acid; salts with arylsulphonic acids, such as benzenesulphonic acid or p-toluenesulphonic acid; salts with organic carboxylic acids, such as acetic acid, fumaric acid, tartaric acid, oxalic acid, maleic acid, malic acid, succinic acid, benzoic acid, mandelic acid, ascorbic acid, lactic acid, gluconic acid, citric acid or 2-(4-hydroxybenzoyl)benzoic acid; and salts with amino acids, such as glutamic acid or aspartic acid.

The compounds of the present invention may contain several asymmetric carbon atoms in their molecules, and can thus form optical isomers. Although these are all represented herein by a single molecular formula, the present invention includes both the individual, isolated isomers and mixtures, including racemates thereof. Where stereospecific synthesis techniques are employed or optically active compounds are employed as starting materials, individual isomers may be prepared directly; on the other hand, if a mixture of isomers is prepared, the individual isomers may be obtained by conventional resolution techniques.

Of the compounds of the present invention , we prefer those wherein R^1 represents a cyclic amino group having from 3 to 7 ring atoms, of which 1 is a nitrogen atom and the remainder are carbon atoms, or said dialkylamino group, more preferably those wherein R^1 represents a cyclic amino group having 5 or 6 ring atoms, of which 1 is a nitrogen atom and the remainder are carbon atoms, or said dialkylamino group, especially those wherein R^1 represents a 1-pyrrolidinyl, piperidino, dimethylamino or diethylamino group.

Another preferred class of compounds of the present invention are those wherein R^2 represents a group of formula $-NHCHR^3R^4$, wherein R^3 and R^4 are independently selected from:

alkyl groups having from 1 to 4 carbon atoms,

phenyl groups which are unsubstituted or have at least one substituent selected from substituents ζ, defined above, and

benzyl and phenethyl groups;

R^3 and R^4, together with the carbon atom to which they are attached, represent a cycloalkyl group having

from 3 to 6 ring carbon atoms,

An alternative preferred class of compounds of the present invention are those wherein R^2 represents an aromatic heterocyclic group having 5 ring atoms, of which 1 is a hetero-atom selected from nitrogen, oxygen and sulphur hetero-atoms, there are 0, 1 or 2 additional nitrogen hetero-atoms, and the remaining ring atoms are carbon atoms, said group being unsubstituted or having at least one substituent selected, in the case of substituents on carbon atoms, from substituents α and, in the case of substituents on nitrogen atoms, from substituents β, as defined above, and particularly those wherein said aromatic heterocyclic group is selected from furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl and thiadiazolyl groups, which are unsubstituted or are substituted as defined above.

A further alternative preferred class of compounds of the present invention are those wherein R^2 represents a group of formula $-B-S(O)_m-R^5$, wherein:

B represents an alkylene or alkylidene group having from 1 to 3 carbon atoms;

m is 0, 1 or 2; and

R^5 represents: a substituted alkyl group which has from 2 to 4 carbon atoms and which is substituted at its 2-position by at least one substituent selected from substituents γ; or an aromatic heterocyclic group which has 5 or 6 ring atoms of which 1 is a hetero-atom selected from nitrogen, oxygen and sulphur hetero-atoms, there are 0, 1, 2 or 3 additional nitrogen hetero-atoms, and the remaining ring atoms are carbon atoms, said group being unsubstituted or having at least one substituent selected, in the case of substituents on carbon atoms, from substituents α and, in the case of substituents on nitrogen atoms, from substituents ε, as defined above.

We also especially prefer those compounds of the present invention wherein A represents a group of formula -CH=CH- or $-(CH_2)_n-$, where n is 1 or 2.

A more preferred class of compounds of the present invention are those wherein:

R^1 represents a 1-pyrrolidinyl, piperidino, dimethylamino or diethylamino group;

R^2 represents

a group of formula $-NHCHR^3R^4$, wherein

R^3 and R^4 are independently selected from alkyl groups having from 1 to 4 carbon atoms, benzyl groups, phenethyl groups and phenyl groups which are unsubstituted or which are substituted by at least one substituent selected from methyl, methoxy, fluorine atoms and chlorine atoms,

or

R^3 and R^4, together with the carbon atom to which they are attached, represent a cycloalkyl group having from 3 to 6 ring carbon atoms,

a furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, imidazolyl or thiadiazolyl group, which is unsubstituted or is substituted by at least one substituent selected, in the case of substituents on carbon atoms, from substituents α^1 and, in the case of substituents on nitrogen atoms, from methyl and ethyl groups,

or a group of formula $-B-S(O)_m-R^5$, wherein

R^5 represents: a substituted ethyl or propyl group which is substituted at its 2-position by at least one substituent selected from the group consisting of substituents γ^1; or an imidazolyl, 1,2,4-triazolyl, 1,3,4-oxadiazolyl, 1,3,4-thiadiazolyl, tetrazolyl, pyridyl or pyrimidinyl group which is unsubstituted or has at least one substituent selected, in the case of substituents on carbon atoms, from substituents α^1 and, in the case of substituents on nitrogen atoms, from substituents ε^1,

B represents an alkylene or alkylidene group having from 1 to 3 carbon atoms,

and m is 0, 1 or 2;

A represents a group of formula -CH=CH- or $-(CH_2)_n-$, where n is 1 or 2;

substituents α^1 are selected from: methyl groups, ethyl groups, methoxy groups, ethoxy groups, hydroxy groups, chlorine atoms, amino groups; methylamino groups, ethylamino groups, dimethylamino groups, diethylamino groups, alkanoylamino groups having from 1 to 3 carbon atoms, phenyl groups, and substituted phenyl groups in which the substituent is selected from methyl groups, methoxy groups, chlorine atoms and fluorine atoms;

substituents γ^1 are selected from: hydroxy groups; alkanoyloxy groups having from 1 to 5 carbon atoms; substituted alkanoyloxy groups which have 3 or 4 carbon atoms and which are substituted by at least one substituent selected from carboxy, methoxycarbonyl and ethoxy- carbonyl groups; phenylacetoxy groups; benzoyloxy groups; and cycloalkylcarbonyloxy groups in which the cycloalkyl part has from 3 to 6 ring carbon atoms;

substituents ε^1 are selected from: methyl groups, ethyl groups, and hydroxyalkyl groups having from 2 to 4 carbon atoms.

Still more preferred compounds of the present invention are those compounds of formula (I) and salts thereof, wherein:

R^1 represents a 1-pyrrolidinyl or piperidino group;
R^2 represents
a group of formula $-NHCHR^3R^4$, wherein
R^3 and R^4 are independently selected from methyl, ethyl, phenyl and benzyl groups,
or
R^3 and R^4, together with the carbon atom to which they are attached, represent a cycloalkyl group having from 3 to 5 ring carbon atoms,
a furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl or 1,2,3-thiadiazolyl group, which is unsubstituted or is substituted by at least one substituent selected, in the case of substituents on carbon atoms, from substituents α^2 and, in the case of substituents on nitrogen atoms, from methyl and ethyl groups,
or a group of formula $-B-S(O)_m-R^5$, wherein
R^5 represents: a substituted ethyl or propyl group which is substituted at its 2-position by at least one substituent selected from substituents γ^2; or a 1,2,4-triazolyl, 1,3,4-oxadiazolyl or pyrimidinyl group which is unsubstituted or has at least one substituent selected, in the case of substituents on carbon atoms, from substituents α^3 and, in the case of substituents on nitrogen atoms, from methyl and ethyl groups,
B represents an alkylene or alkylidene group having from 1 to 3 carbon atoms,
and m is 0 or 1;
A represents a group of formula $-CH=CH-$ or $-(CH_2)_2-$;
said substituents α^2 are selected from the group consisting of: methyl groups, ethyl groups, methoxy groups, ethoxy groups, hydroxy groups, chlorine atoms, amino groups, acetamido groups and phenyl groups;
substituents α^3 are selected from: methyl groups, ethyl groups, methoxy groups, ethoxy groups, hydroxy groups, chlorine atoms, amino groups, and acetamido groups;
substituents γ^2 are selected from: hydroxy groups; acetoxy groups; propionyloxy groups; substituted alkanoyloxy groups which have 3 or 4 carbon atoms and which are substituted by at least one substituent selected from carboxy, methoxycarbonyl and ethoxycarbonyl groups; phenylacetoxy groups; benzoyloxy groups; and cycloalkylcarbonyloxy groups in which the cycloalkyl part has from 3 to 6 ring carbon atoms.

Yet more preferred compounds of the present invention are those compounds of formula (I) and salts thereof, wherein:
R^1 represents a piperidino group;
R^2 represents
a group of formula $-NHCHR^3R^4$, wherein
R^3 and R^4 are independently selected from methyl, ethyl, phenyl and benzyl groups,
or
R^3 and R^4, together with the carbon atom to which they are attached, represent a cycloalkyl group having 3 or 4 ring carbon atoms,
a thienyl, pyrrolyl, thiazolyl, pyrazolyl or 1,2,3-thiadiazolyl group, which is unsubstituted or is substituted by at least one substituent selected, in the case of substituents on carbon atoms, from substituents α^4 and, in the case of substituents on nitrogen atoms, from methyl groups,
or a group of formula $-B-S(O)_m-R^5$, wherein
B represents a methylene group and R^5 represents: a substituted ethyl or propyl group which is substituted at its 2-position by at least one substituent selected from substituents γ^3;
or
B represents a trimethylene group and R^5 represents: a 1,2,4-triazolyl, 1,3,4-oxadiazolyl or pyrimidinyl group which is unsubstituted or has at least one substituent selected, in the case of substituents on carbon atoms, from methyl, hydroxy and amino groups, and, in the case of substituents on nitrogen atoms, from methyl groups,
and m is 0;
A represents a group of formula $-CH=CH-$;
substituents α^4 are selected from: methyl groups, methoxy groups, hydroxy groups, chlorine atoms and amino groups;
substituents γ^3 are selected from: hydroxy groups; acetoxy groups; propionyloxy groups; substituted propionoyloxy groups which are substituted by at least one substituent selected from carboxy, methoxycarbonyl and ethoxycarbonyl groups; benzoyloxy groups; and cycloalkylcarbonyloxy groups in which the cycloalkyl part has 5 or 6 ring carbon atoms.

The most preferred compounds of the present invention are those compounds of formula (I) and salts thereof, wherein:
R^1 represents a piperidino group;
R^2 represents:

a pyrazolyl group, which is unsubstituted or is substituted on a carbon atom by at least one amino substituent,

or a group of formula -B-$S(O)_m$-R^5, wherein

B represents a methylene group and R^5 represents: a substituted ethyl group which is substituted at its 2-position by at least one substituent selected from substituents hydroxy, acetoxy, propionyloxy, benzoyloxy, cyclopentylcarbonyloxy and cyclohexylcarbonyloxy groups;

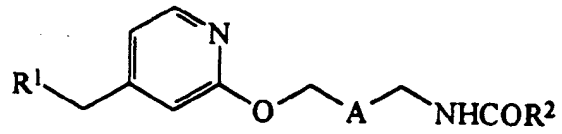
or

B represents a trimethylene group and R^5 represents: a 2-pyrimidinyl group;

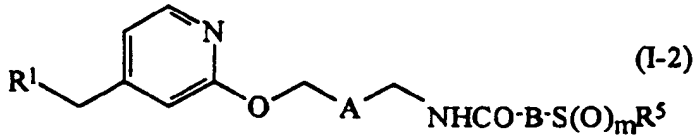
and m is 0;

A represents a group of formula -CH=CH-.

Examples of specific preferred compounds of the present invention are those compounds of formula (I-1), in which the substituents are as defined in Table 1, and those compounds of formula (I-1), in which the substituents are as defined in Tables 2 and 3.



(I-1)



(I-2)

In the Tables, the following abbreviations are used:

Ac	acetyl
Aze	azetidino
Azi	aziridino
Boz	benzoyl
Bu	butyl
cBu	cyclobutyl
iBu	isobutyl
sBu	sec-butyl
Byr	butyryl
iByr	isobutyryl
Bz	benzyl
Et	ethyl
Etc	ethoxycarbonyl
Fo	formyl
Fur	furyl
Hp	heptyl
cHp	cycloheptyl
Hx	hexyl
cHx	cyclohexyl
iHx	isohexyl
Imidazo	imidazolyl
Isoxazo	isoxazolyl
Isothiazo	isothiazolyl
Me	methyl
Mec	methoxycarbonyl
Naph	naphthyl
cOc	cyclooctyl
Oxazo	oxazolyl
Oxadiazo	oxadiazolyl
Ph	phenyl
Phc	phenoxycarbonyl

Pip	piperidino
Piv	pivaloyl
Pn	pentyl
cPn	cyclopentyl
iPn	isopentyl
nPn	neopentyl
Pr	propyl
cPr	cyclopropyl
iPr	isopropyl
Prc	propoxycarbonyl
Prn	propionyl
Pyl	pyrrolyl
Pymz	pyrimidinyl
Pyr	1-pyrrolidinyl
Pyrazo	pyrazolyl
Pyz	pyridyl
Tetrazo	tetrazolyl
Thi	thienyl
Thiazo	thiazolyl
Thiadiazo	thiadiazolyl
Triazo	triazolyl
Val	valeryl
iVal	isovaleryl.

Table 1

Cpd. No.	R^1	A	R^2
1-1	Pip	CH=CH	2-Fur
1-2	Pip	CH=CH	3-Fur
1-3	Pip	CH=CH	4-Me-2-Fur
1-4	Pip	CH=CH	5-Me-2-Fur
1-5	Pip	CH=CH	2-Me-3-Fur
1-6	Pip	CH=CH	5-Me-3-Fur
1-7	Pip	CH=CH	5-Cl-2-Fur
1-8	Pip	CH=CH	5-Cl-3-Fur
1-9	Pip	CH=CH	5-NH_2-2-Fur
1-10	Pip	CH=CH	5-AcNH-2-Fur
1-11	Pip	CH=CH	5-Ph-2-Fur
1-12	Pip	CH=CH	5-(4-MePh)-2-Fur
1-13	Pip	CH=CH	5-(4-ClPh)-2-Fur
1-14	Pip	CH=CH	3-Me-5-NH_2-2-Fur
1-15	Pip	CH=CH	2,4-diMe-3-Fur
1-16	Pip	CH=CH	2-Thi
1-17	Pip	CH=CH	3-Thi
1-18	Pip	CH=CH	3-Me-2-Thi
1-19	Pip	CH=CH	5-Me-2-Thi
1-20	Pip	CH=CH	2-Me-3-Thi
1-21	Pip	CH=CH	4-Me-3-Thi
1-22	Pip	CH=CH	5-Me-3-Thi
1-23	Pip	CH=CH	4-MeO-2-Thi
1-24	Pip	CH=CH	4-MeO-3-Thi
1-25	Pip	CH=CH	4-HO-2-Thi
1-26	Pip	CH=CH	4-HO-3-Thi
1-27	Pip	CH=CH	5-Et-2-Thi
1-28	Pip	CH=CH	5-Cl-2-Thi

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-29	Pip	CH=CH	5-Cl-3-Thi
1-30	Pip	CH=CH	5-Br-3-Thi
1-31	Pip	CH=CH	3-NH_2-2-Thi
1-32	Pip	CH=CH	5-NH_2-2-Thi
1-33	Pip	CH=CH	2-NH_2-3-Thi
1-34	Pip	CH=CH	4-NH_2-3-Thi
1-35	Pip	CH=CH	3-AcNH-2-Thi
1-36	Pip	CH=CH	4-AcNH-3-Thi
1-37	Pip	CH=CH	5-Ph-2-Thi
1-38	Pip	CH=CH	4,5-diMe-2-Thi
1-39	Pip	CH=CH	3,5-diMe-2-Thi
1-40	Pip	CH=CH	2,5-diMe-3-Thi
1-41	Pip	CH=CH	4,5-diMe-3-Thi
1-42	Pip	CH=CH	4,5-diCl-2-Thi
1-43	Pip	CH=CH	2-NH_2-5-Ph-3-Thi
1-44	Pip	CH=CH	4-NH_2-2,5-diMe-3-Thi
1-45	Pip	CH=CH	2-Pyl
1-46	Pip	CH=CH	3-Pyl
1-47	Pip	CH=CH	1-Me-2-Pyl
1-48	Pip	CH=CH	3-Me-2-Pyl
1-49	Pip	CH=CH	4-Me-2-Pyl
1-50	Pip	CH=CH	2-Me-3-Pyl
1-51	Pip	CH=CH	5-Me-3-Pyl
1-52	Pip	CH=CH	3-NH_2-2-Pyl
1-53	Pip	CH=CH	4-NH_2-2-Pyl
1-54	Pip	CH=CH	3-AcNH-2-Pyl
1-55	Pip	CH=CH	5-Cl-2-Pyl
1-56	Pip	CH=CH	5-Cl-3-Pyl

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-57	Pip	CH=CH	4-Ph-2-Pyl
1-58	Pip	CH=CH	5-Ph-3-Pyl
1-59	Pip	CH=CH	1-Me-4-MeO-3-Pyl
1-60	Pip	CH=CH	1-Me-4-HO-3-Pyl
1-61	Pip	CH=CH	3,5-diMe-2-Pyl
1-62	Pip	CH=CH	4,5-diMe-2-Pyl
1-63	Pip	CH=CH	1,3-diMe-2-Pyl
1-64	Pip	CH=CH	5-NH_2-1-Me-2-Pyl
1-65	Pip	CH=CH	4-NH_2-3,5-diMe-2-Pyl
1-66	Pip	CH=CH	5-Br-1,4-diMe-3-Pyl
1-67	Pip	CH=CH	4-Oxazo
1-68	Pip	CH=CH	5-Oxazo
1-69	Pip	CH=CH	2-Oxazo
1-70	Pip	CH=CH	2-Me-4-Oxazo
1-71	Pip	CH=CH	2-Ph-4-Oxazo
1-72	Pip	CH=CH	5-Ph-2-Oxazo
1-73	Pip	CH=CH	2-HO-4-Oxazo
1-74	Pip	CH=CH	2,5-diMe-4-Oxazo
1-75	Pip	CH=CH	4-Me-2-Ph-5-Oxazo
1-76	Pip	CH=CH	3-Isoxazo
1-77	Pip	CH=CH	4-Isoxazo
1-78	Pip	CH=CH	4-Me-3-Isoxazo
1-79	Pip	CH=CH	5-Me-3-Isoxazo
1-80	Pip	CH=CH	3-Me-4-Isoxazo
1-81	Pip	CH=CH	4-MeO-3-Isoxazo
1-82	Pip	CH=CH	4-HO-3-Isoxazo
1-83	Pip	CH=CH	3-HO-4-Isoxazo
1-84	Pip	CH=CH	3-HO-5-Isoxazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-85	Pip	CH=CH	4-NH_2-3-Isoxazo
1-86	Pip	CH=CH	5-NH_2-4-Isoxazo
1-87	Pip	CH=CH	5-Ph-3-Isoxazo
1-88	Pip	CH=CH	4-Ph-3-Isoxazo
1-89	Pip	CH=CH	4,5-diMe-3-Isoxazo
1-90	Pip	CH=CH	4-HO-5-Me-3-Isoxazo
1-91	Pip	CH=CH	2-Thiazo
1-92	Pip	CH=CH	4-Thiazo
1-93	Pip	CH=CH	5-Thiazo
1-94	Pip	CH=CH	4-Me-2-Thiazo
1-95	Pip	CH=CH	2-Me-4-Thiazo
1-96	Pip	CH=CH	2-Me-5-Thiazo
1-97	Pip	CH=CH	2-MeO-4-Thiazo
1-98	Pip	CH=CH	2-MeO-5-Thiazo
1-99	Pip	CH=CH	2-HO-4-Thiazo
1-100	Pip	CH=CH	2-HO-5-Thiazo
1-101	Pip	CH=CH	2-Cl-5-Thiazo
1-102	Pip	CH=CH	5-Cl-2-Thiazo
1-103	Pip	CH=CH	2-NH_2-4-Thiazo
1-104	Pip	CH=CH	2-NH_2-5-Thiazo
1-105	Pip	CH=CH	5-NH_2-4-Thiazo
1-106	Pip	CH=CH	2-AcNH-4-Thiazo
1-107	Pip	CH=CH	5-AcNH-4-Thiazo
1-108	Pip	CH=CH	2-Ph-4-Thiazo
1-109	Pip	CH=CH	4,5-diMe-2-Thiazo
1-110	Pip	CH=CH	2-HO-5-Me-4-Thiazo
1-111	Pip	CH=CH	5-NH_2-2-Me-4-Thiazo
1-112	Pip	CH=CH	2-Cl-4-Me-5-Thiazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-113	Pip	CH=CH	3-Isothiazo
1-114	Pip	CH=CH	4-Isothiazo
1-115	Pip	CH=CH	3-Pyrazo
1-116	Pip	CH=CH	4-Pyrazo
1-117	Pip	CH=CH	1-Me-3-Pyrazo
1-118	Pip	CH=CH	1-Et-3-Pyrazo
1-119	Pip	CH=CH	1-Pr-3-Pyrazo
1-120	Pip	CH=CH	1-Me-4-Pyrazo
1-121	Pip	CH=CH	1-Et-4-Pyrazo
1-122	Pip	CH=CH	1-Pr-4-Pyrazo
1-123	Pip	CH=CH	1-Bu-4-Pyrazo
1-124	Pip	CH=CH	4-Me-3-Pyrazo
1-125	Pip	CH=CH	5-Me-3-Pyrazo
1-126	Pip	CH=CH	5-Et-3-Pyrazo
1-127	Pip	CH=CH	5-Pr-3-Pyrazo
1-128	Pip	CH=CH	5-Me-4-Pyrazo
1-129	Pip	CH=CH	4-MeO-3-Pyrazo
1-130	Pip	CH=CH	4-PrO-3-Pyrazo
1-131	Pip	CH=CH	4-HO-3-Pyrazo
1-132	Pip	CH=CH	4-Cl-3-Pyrazo
1-133	Pip	CH=CH	4-Br-3-Pyrazo
1-134	Pip	CH=CH	3-Cl-4-Pyrazo
1-135	Pip	CH=CH	4-NH_2-3-Pyrazo
1-136	Pip	CH=CH	5-NH_2-3-Pyrazo
1-137	Pip	CH=CH	3-NH_2-4-Pyrazo
1-138	Pip	CH=CH	4-AcNH-3-Pyrazo
1-139	Pip	CH=CH	5-AcNH-3-Pyrazo
1-140	Pip	CH=CH	3-AcNH-4-Pyrazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-141	Pip	CH=CH	3-EtCONH-4-Pyrazo
1-142	Pip	CH=CH	5-Ph-3-Pyrazo
1-143	Pip	CH=CH	1,5-diMe-3-Pyrazo
1-144	Pip	CH=CH	1,4-diMe-3-Pyrazo
1-145	Pip	CH=CH	4,5-diMe-3-Pyrazo
1-146	Pip	CH=CH	3-Me-4-Pyrazo
1-147	Pip	CH=CH	3,5-diMe-4-Pyrazo
1-148	Pip	CH=CH	1,5-diMe-4-Pyrazo
1-149	Pip	CH=CH	1,3-diMe-4-Pyrazo
1-150	Pip	CH=CH	1,3-diMe-5-Pyrazo
1-151	Pip	CH=CH	3-Cl-5-Me-4-Pyrazo
1-152	Pip	CH=CH	3-Cl-1-Me-4-Pyrazo
1-153	Pip	CH=CH	5-Cl-1-Me-4-Pyrazo
1-154	Pip	CH=CH	4-Cl-1-Me-3-Pyrazo
1-155	Pip	CH=CH	4-Cl-5-Me-3-Pyrazo
1-156	Pip	CH=CH	4-Cl-1-Me-3-Pyrazo
1-157	Pip	CH=CH	3-NH_2-5-Me-4-Pyrazo
1-158	Pip	CH=CH	3-NH_2-1-Me-4-Pyrazo
1-159	Pip	CH=CH	5-NH_2-1-Me-4-Pyrazo
1-160	Pip	CH=CH	5-NH_2-4-Me-3-Pyrazo
1-161	Pip	CH=CH	5-NH_2-1-Me-3-Pyrazo
1-162	Pip	CH=CH	5-AcNH-1-Me-4-Pyrazo
1-163	Pip	CH=CH	4-NH_2-5-Me-3-Pyrazo
1-164	Pip	CH=CH	4-HO-5-Me-3-Pyrazo
1-165	Pip	CH=CH	5-AcNH-3-Me-4-Pyrazo
1-166	Pip	CH=CH	1,3,5-triMe-4-Pyrazo
1-167	Pip	CH=CH	1,3,4-triMe-5-Pyrazo
1-168	Pip	CH=CH	4-Cl-1,3-diMe-5-Pyrazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-169	Pip	CH=CH	2-Imidazo
1-170	Pip	CH=CH	4-Imidazo
1-171	Pip	CH=CH	2-Me-4-Imidazo
1-172	Pip	CH=CH	1-Me-4-Imidazo
1-173	Pip	CH=CH	5-Me-4-Imidazo
1-174	Pip	CH=CH	5-Me-2-Imidazo
1-175	Pip	CH=CH	1-Me-2-Imidazo
1-176	Pip	CH=CH	1,2,3-Oxadiazo-5-yl
1-177	Pip	CH=CH	1,3,4-Oxadiazo-2-yl
1-178	Pip	CH=CH	1,2,3-Oxadiazo-4-yl
1-179	Pip	CH=CH	1,2,4-Oxadiazo-5-yl
1-180	Pip	CH=CH	1,2,4-Oxadiazo-3-yl
1-181	Pip	CH=CH	1,2,5-Oxadiazo-3-yl
1-182	Pip	CH=CH	5-Me-1,2,3-Oxadiazo-4-yl
1-183	Pip	CH=CH	4-Me-1,2,5-Oxadiazo-3-yl
1-184	Pip	CH=CH	4-Ph-1,2,5-Oxadiazo-3-yl
1-185	Pip	CH=CH	1,2,3-Thiadiazo-4-yl
1-186	Pip	CH=CH	1,2,3-Thiadiazo-5-yl
1-187	Pip	CH=CH	1,3,4-Thiadiazo-2-yl
1-188	Pip	CH=CH	1,2,4-Thiadiazo-3-yl
1-189	Pip	CH=CH	1,2,4-Thiadiazo-5-yl
1-190	Pip	CH=CH	1,2,5-Thiadiazo-3-yl
1-191	Pip	CH=CH	4-Me-1,2,3-Thiadiazo-5-yl
1-192	Pip	CH=CH	5-Me-1,2,3-Thiadiazo-4-yl
1-193	Pip	CH=CH	4-Me-1,2,5-Thiadiazo-3-yl
1-194	Pip	CH=CH	5-Ph-1,2,3-Thiadiazo-4-yl
1-195	Pyr	CH=CH	2-Fur
1-196	Pyr	CH=CH	3-Fur

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-197	Pyr	CH=CH	4-Me-2-Fur
1-198	Pyr	CH=CH	2-Me-3-Fur
1-199	Pyr	CH=CH	2,4-diMe-3-Fur
1-200	Pyr	CH=CH	2-Thi
1-201	Pyr	CH=CH	3-Thi
1-202	Pyr	CH=CH	3-Me-2-Thi
1-203	Pyr	CH=CH	2-Me-3-Thi
1-204	Pyr	CH=CH	4-Me-3-Thi
1-205	Pyr	CH=CH	4-MeO-3-Thi
1-206	Pyr	CH=CH	4-HO-2-Thi
1-207	Pyr	CH=CH	5-Cl-3-Thi
1-208	Pyr	CH=CH	3-NH_2-2-Thi
1-209	Pyr	CH=CH	2-NH_2-3-Thi
1-210	Pyr	CH=CH	3-AcNH-2-Thi
1-211	Pyr	CH=CH	5-Ph-2-Thi
1-212	Pyr	CH=CH	4,5-diMe-2-Thi
1-213	Pyr	CH=CH	2,5-diMe-3-Thi
1-214	Pyr	CH=CH	4,5-diCl-2-Thi
1-215	Pyr	CH=CH	4-NH_2-2,5-diMe-3-Thi
1-216	Pyr	CH=CH	2-Pyl
1-217	Pyr	CH=CH	3-Pyl
1-218	Pyr	CH=CH	1-Me-2-Pyl
1-219	Pyr	CH=CH	3-Me-2-Pyl
1-220	Pyr	CH=CH	4-Me-2-Pyl
1-221	Pyr	CH=CH	2-Me-3-Pyl
1-222	Pyr	CH=CH	1-Me-4-MeO-3-Pyl
1-223	Pyr	CH=CH	3,5-diMe-2-Pyl
1-224	Pyr	CH=CH	1,3-diMe-2-Pyl

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-225	Pyr	CH=CH	4-Oxazo
1-226	Pyr	CH=CH	5-Oxazo
1-227	Pyr	CH=CH	2-Oxazo
1-228	Pyr	CH=CH	2-Me-4-Oxazo
1-229	Pyr	CH=CH	5-Ph-2-Oxazo
1-230	Pyr	CH=CH	2,5-diMe-4-Oxazo
1-231	Pyr	CH=CH	3-Isoxazo
1-232	Pyr	CH=CH	4-Isoxazo
1-233	Pyr	CH=CH	5-Me-3-Isoxazo
1-234	Pyr	CH=CH	3-Me-4-Isoxazo
1-235	Pyr	CH=CH	4-MeO-3-Isoxazo
1-236	Pyr	CH=CH	4-HO-3-Isoxazo
1-237	Pyr	CH=CH	3-HO-5-Isoxazo
1-238	Pyr	CH=CH	5-HO-4-Isoxazo
1-239	Pyr	CH=CH	4-NH_2-3-Isoxazo
1-240	Pyr	CH=CH	5-Ph-3-Isoxazo
1-241	Pyr	CH=CH	4,5-diMe-3-Isoxazo
1-242	Pyr	CH=CH	4-HO-5-Me-3-Isoxazo
1-243	Pyr	CH=CH	2-Thiazo
1-244	Pyr	CH=CH	4-Thiazo
1-245	Pyr	CH=CH	5-Thiazo
1-246	Pyr	CH=CH	4-Me-2-Thiazo
1-247	Pyr	CH=CH	2-Me-5-Thiazo
1-248	Pyr	CH=CH	2-MeO-4-Thiazo
1-249	Pyr	CH=CH	2-MeO-5-Thiazo
1-250	Pyr	CH=CH	2-HO-5-Thiazo
1-251	Pyr	CH=CH	5-Cl-2-Thiazo
1-252	Pyr	CH=CH	2-NH_2-4-Thiazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-253	Pyr	CH=CH	2-AcNH-4-Thiazo
1-254	Pyr	CH=CH	4,5-diMe-2-Thiazo
1-255	Pyr	CH=CH	2-HO-5-Me-4-Thiazo
1-256	Pyr	CH=CH	5-NH_2-2-Me-4-Thiazo
1-257	Pyr	CH=CH	3-Isothiazo
1-258	Pyr	CH=CH	4-Isothiazo
1-259	Pyr	CH=CH	3-Pyrazo
1-260	Pyr	CH=CH	4-Pyrazo
1-261	Pyr	CH=CH	1-Me-3-Pyrazo
1-262	Pyr	CH=CH	1-Et-3-Pyrazo
1-263	Pyr	CH=CH	1-Me-4-Pyrazo
1-264	Pyr	CH=CH	1-Et-4-Pyrazo
1-265	Pyr	CH=CH	4-Me-3-Pyrazo
1-266	Pyr	CH=CH	5-Me-3-Pyrazo
1-267	Pyr	CH=CH	5-Me-4-Pyrazo
1-268	Pyr	CH=CH	4-MeO-3-Pyrazo
1-269	Pyr	CH=CH	4-HO-3-Pyrazo
1-270	Pyr	CH=CH	4-Cl-3-Pyrazo
1-271	Pyr	CH=CH	4-NH_2-3-Pyrazo
1-272	Pyr	CH=CH	5-NH_2-3-Pyrazo
1-273	Pyr	CH=CH	3-NH_2-4-Pyrazo
1-274	Pyr	CH=CH	4-AcNH-3-Pyrazo
1-275	Pyr	CH=CH	5-Ph-3-Pyrazo
1-276	Pyr	CH=CH	1,5-diMe-3-Pyrazo
1-277	Pyr	CH=CH	1,4-diMe-3-Pyrazo
1-278	Pyr	CH=CH	3,5-diMe-4-Pyrazo
1-279	Pyr	CH=CH	1,5-diMe-4-Pyrazo
1-280	Pyr	CH=CH	1,3-diMe-4-Pyrazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-281	Pyr	CH=CH	1,3-diMe-5-Pyrazo
1-282	Pyr	CH=CH	3-Cl-5-Me-4-Pyrazo
1-283	Pyr	CH=CH	3-Cl-1-Me-4-Pyrazo
1-284	Pyr	CH=CH	4-Cl-5-Me-3-Pyrazo
1-285	Pyr	CH=CH	4-Cl-1-Me-3-Pyrazo
1-286	Pyr	CH=CH	3-NH_2-5-Me-4-Pyrazo
1-287	Pyr	CH=CH	3-NH_2-1-Me-4-Pyrazo
1-288	Pyr	CH=CH	5-NH_2-1-Me-4-Pyrazo
1-289	Pyr	CH=CH	5-NH_2-4-Me-3-Pyrazo
1-290	Pyr	CH=CH	5-NH_2-1-Me-3-Pyrazo
1-291	Pyr	CH=CH	5-NH_2-3-Me-3-Pyrazo
1-292	Pyr	CH=CH	4-NH_2-5-Me-3-Pyrazo
1-293	Pyr	CH=CH	4-HO-5-Me-3-Pyrazo
1-294	Pyr	CH=CH	1,3,5-triMe-4-Pyrazo
1-295	Pyr	CH=CH	1,3,4-triMe-5-Pyrazo
1-296	Pyr	CH=CH	4-Cl-1,3-diMe-5-Pyrazo
1-297	Pyr	CH=CH	2-Imidazo
1-298	Pyr	CH=CH	4-Imidazo
1-299	Pyr	CH=CH	1-Me-4-Imidazo
1-300	Pyr	CH=CH	5-Me-4-Imidazo
1-301	Pyr	CH=CH	5-Me-2-Imidazo
1-302	Pyr	CH=CH	1-Me-2-Imidazo
1-303	Pyr	CH=CH	1,2,3-Oxadiazo-5-yl
1-304	Pyr	CH=CH	1,2,4-Oxadiazo-5-yl
1-305	Pyr	CH=CH	1,2,5-Oxadiazo-3-yl
1-306	Pyr	CH=CH	5-Me-1,2,3-Oxadiazo-4-yl
1-307	Pyr	CH=CH	1,2,3-Thiadiazo-4-yl
1-308	Pyr	CH=CH	1,2,4-Thiadiazo-2-yl

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-309	Pyr	CH=CH	1,2,5-Thiadiazo-3-yl
1-310	Pyr	CH=CH	4-Me-1,2,3-Thiadiazo-5-yl
1-311	Pyr	CH=CH	5-Ph-1,2,3-Thiadiazo-4-yl
1-312	NMe_2	CH=CH	2-Fur
1-313	NMe_2	CH=CH	3-Fur
1-314	NMe_2	CH=CH	4-Me-2-Fur
1-315	NMe_2	CH=CH	2,4-diMe-3-Fur
1-316	NMe_2	CH=CH	2-Thi
1-317	NMe_2	CH=CH	3-Thi
1-318	NMe_2	CH=CH	3-Me-2-Thi
1-319	NMe_2	CH=CH	2-Me-3-Thi
1-320	NMe_2	CH=CH	4,5-diMe-2-Thi
1-321	NMe_2	CH=CH	2-Pyl
1-322	NMe_2	CH=CH	3-Pyl
1-323	NMe_2	CH=CH	1-Me-2-Pyl
1-324	NMe_2	CH=CH	4-Me-2-Pyl
1-325	NMe_2	CH=CH	2-Me-3-Pyl
1-326	NMe_2	CH=CH	3,5-diMe-2-Pyl
1-327	NMe_2	CH=CH	1,3-diMe-2-Pyl
1-328	NMe_2	CH=CH	4-Oxazo
1-329	NMe_2	CH=CH	5-Oxazo
1-330	NMe_2	CH=CH	2-Oxazo
1-331	NMe_2	CH=CH	2-Me-4-Oxazo
1-332	NMe_2	CH=CH	2,5-diMe-4-Oxazo
1-333	NMe_2	CH=CH	3-Isoxazo
1-334	NMe_2	CH=CH	4-Isoxazo
1-335	NMe_2	CH=CH	5-Me-3-Isoxazo
1-336	NMe_2	CH=CH	4-MeO-3-Isoxazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-337	NMe_2	CH=CH	4-HO-3-Isoxazo
1-338	NMe_2	CH=CH	4,5-diMe-3-Isoxazo
1-339	NMe_2	CH=CH	4-HO-5-Me-3-Isoxzo
1-340	NMe_2	CH=CH	2-Thiazo
1-341	NMe_2	CH=CH	4-Thiazo
1-342	NMe_2	CH=CH	5-Thiazo
1-343	NMe_2	CH=CH	4-Me-2-Thiazo
1-344	NMe_2	CH=CH	2-Me-5-Thiazo
1-345	NMe_2	CH=CH	2-MeO-4-Thiazo
1-346	NMe_2	CH=CH	4,5-diMe-2-Thiazo
1-347	NMe_2	CH=CH	3-Isothiazo
1-348	NMe_2	CH=CH	4-Isothiazo
1-349	NMe_2	CH=CH	3-Pyrazo
1-350	NMe_2	CH=CH	4-Pyrazo
1-351	NMe_2	CH=CH	1-Me-3-Pyrazo
1-352	NMe_2	CH=CH	1-Me-4-Pyrazo
1-353	NMe_2	CH=CH	4-Me-3-Pyrazo
1-354	NMe_2	CH=CH	5-Me-3-Pyrazo
1-355	NMe_2	CH=CH	5-Me-4-Pyrazo
1-356	NMe_2	CH=CH	4-MeO-3-Pyrazo
1-357	NMe_2	CH=CH	4-HO-3-Pyrazo
1-358	NMe_2	CH=CH	3,5-diMe-4-Pyrazo
1-359	NMe_2	CH=CH	1,3,5-triMe-4-Pyrazo
1-360	NMe_2	CH=CH	1,3,4-triMe-5-Pyrazo
1-361	NMe_2	CH=CH	2-Imidazo
1-362	NMe_2	CH=CH	4-Imidazo
1-363	NMe_2	CH=CH	5-Me-4-Imidazo
1-364	Azi	CH=CH	2-Fur

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-365	Azi	CH=CH	3-Fur
1-366	Azi	CH=CH	4-Me-2-Fur
1-367	Azi	CH=CH	2-Thi
1-368	Azi	CH=CH	3-Thi
1-369	Azi	CH=CH	5-Me-2-Thi
1-370	Azi	CH=CH	2-Pyl
1-371	Azi	CH=CH	3-Pyl
1-372	Azi	CH=CH	1-Me-2-Pyl
1-373	Azi	CH=CH	4-Me-2-Pyl
1-374	Azi	CH=CH	4-Oxazo
1-375	Azi	CH=CH	5-Oxazo
1-376	Azi	CH=CH	2-Oxazo
1-377	Azi	CH=CH	3-Isoxazo
1-378	Azi	CH=CH	4-Isoxazo
1-379	Azi	CH=CH	4-HO-3-Isoxazo
1-380	Azi	CH=CH	2-Thiazo
1-381	Azi	CH=CH	4-Thiazo
1-382	Azi	CH=CH	5-Thiazo
1-383	Azi	CH=CH	2-Me-5-Thiazo
1-384	Azi	CH=CH	3-Pyrazo
1-385	Azi	CH=CH	4-Pyrazo
1-386	Azi	CH=CH	1-Me-3-Pyrazo
1-387	Azi	CH=CH	4-Me-3-Pyrazo
1-388	Azi	CH=CH	5-Me-4-Pyrazo
1-389	Azi	CH=CH	4-NH_2-3-Pyrazo
1-390	Azi	CH=CH	3-NH_2-4-Pyrazo
1-391	Azi	CH=CH	3,5-diMe-4-Pyrazo
1-392	Azi	CH=CH	1,3,5-triMe-4-Pyrazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-393	Azi	CH=CH	2-Imidazo
1-394	Azi	CH=CH	4-Imidazo
1-395	Azi	CH=CH	5-Me-4-Imidazo
1-396	Aze	CH=CH	2-Fur
1-397	Aze	CH=CH	3-Fur
1-398	Aze	CH=CH	4-Me-2-Fur
1-399	Aze	CH=CH	2-Thi
1-400	Aze	CH=CH	3-Thi
1-401	Aze	CH=CH	5-Me-2-Thi
1-402	Aze	CH=CH	2-Pyl
1-403	Aze	CH=CH	3-Pyl
1-404	Aze	CH=CH	1-Me-2-Pyl
1-405	Aze	CH=CH	4-Me-2-Pyl
1-406	Aze	CH=CH	4-Oxazo
1-407	Aze	CH=CH	5-Oxazo
1-408	Aze	CH=CH	2-Oxazo
1-409	Aze	CH=CH	3-Isoxazo
1-410	Aze	CH=CH	4-Isoxazo
1-411	Aze	CH=CH	4-HO-3-Isoxazo
1-412	Aze	CH=CH	2-Thiazo
1-413	Aze	CH=CH	4-Thiazo
1-414	Aze	CH=CH	5-Thiazo
1-415	Aze	CH=CH	2-Me-5-Thiazo
1-416	Aze	CH=CH	3-Pyrazo
1-417	Aze	CH=CH	4-Pyrazo
1-418	Aze	CH=CH	1-Me-3-Pyrazo
1-419	Aze	CH=CH	4-Me-3-Pyrazo
1-420	Aze	CH=CH	5-Me-4-Pyrazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-421	Aze	CH=CH	4-NH_2-3-Pyrazo
1-422	Aze	CH=CH	3-NH_2-4-Pyrazo
1-423	Aze	CH=CH	3,5-diMe-4-Pyrazo
1-424	Aze	CH=CH	1,3,5-triMe-4-Pyrazo
1-425	Aze	CH=CH	2-Imidazo
1-426	Aze	CH=CH	4-Imidazo
1-427	Aze	CH=CH	5-Me-4-Imidazo
1-428	Pip	CH=CH	1,2,3-Triazo-4-yl
1-429	Pip	CH=CH	1-Me-1,2,3-Triazo-4-yl
1-430	Pip	CH=CH	5-Me-1,2,3-Triazo-4-yl
1-431	Pip	CH=CH	1,5-diMe-1,2,3-Triazo-4-yl
1-432	Pip	CH=CH	1,2,4-Triazo-5-yl
1-433	Pip	CH=CH	1-Me-1,2,5-Triazo-3-yl
1-434	Pyr	CH=CH	1,2,3-Triazo-4-yl
1-435	Pyr	CH=CH	1,2,4-Triazo-5-yl
1-436	NMe_2	CH=CH	1,2,3-Triazo-4-yl
1-437	NMe_2	CH=CH	1,2,4-Triazo-5-yl
1-438	Pip	$(CH_2)_3$	2-Fur
1-439	Pip	$(CH_2)_3$	3-Fur
1-440	Pip	$(CH_2)_3$	4-Me-2-Fur
1-441	Pip	$(CH_2)_3$	2-Thi
1-442	Pip	$(CH_2)_3$	3-Thi
1-443	Pip	$(CH_2)_3$	5-Me-2-Thi
1-444	Pip	$(CH_2)_3$	2-Pyl
1-445	Pip	$(CH_2)_3$	3-Pyl
1-446	Pip	$(CH_2)_3$	1-Me-2-Pyl
1-447	Pip	$(CH_2)_3$	4-Me-2-Pyl
1-448	Pip	$(CH_2)_3$	3,5-diMe-2-Pyl

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-449	Pip	$(CH_2)_3$	4-Oxazo
1-450	Pip	$(CH_2)_3$	5-Oxazo
1-451	Pip	$(CH_2)_3$	2-Oxazo
1-452	Pip	$(CH_2)_3$	2-Me-4-Oxazo
1-453	Pip	$(CH_2)_3$	3-Isoxazo
1-454	Pip	$(CH_2)_3$	4-Isoxazo
1-455	Pip	$(CH_2)_3$	5-Me-3-Isoxazo
1-456	Pip	$(CH_2)_3$	4-HO-3-Isoxazo
1-457	Pip	$(CH_2)_3$	2-Thiazo
1-458	Pip	$(CH_2)_3$	4-Thiazo
1-459	Pip	$(CH_2)_3$	5-Thiazo
1-460	Pip	$(CH_2)_3$	2-Me-5-Thiazo
1-461	Pip	$(CH_2)_3$	3-Pyrazo
1-462	Pip	$(CH_2)_3$	4-Pyrazo
1-463	Pip	$(CH_2)_3$	1-Me-3-Pyrazo
1-464	Pip	$(CH_2)_3$	1-Me-4-Pyrazo
1-465	Pip	$(CH_2)_3$	4-Me-3-Pyrazo
1-466	Pip	$(CH_2)_3$	5-Me-3-Pyrazo
1-467	Pip	$(CH_2)_3$	5-Me-4-Pyrazo
1-468	Pip	$(CH_2)_3$	4-NH_2-3-Pyrazo
1-469	Pip	$(CH_2)_3$	5-NH_2-3-Pyrazo
1-470	Pip	$(CH_2)_3$	3-NH_2-4-Pyrazo
1-471	Pip	$(CH_2)_3$	3,5-diMe-4-Pyrazo
1-472	Pip	$(CH_2)_3$	1,3,5-triMe-4-Pyrazo
1-473	Pip	$(CH_2)_3$	2-Imidazo
1-474	Pip	$(CH_2)_3$	4-Imidazo
1-475	Pip	$(CH_2)_3$	5-Me-4-Imidazo
1-476	Pip	CH_2CH_2	2-Fur

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-477	Pip	CH_2CH_2	3-Fur
1-478	Pip	CH_2CH_2	4-Me-2-Fur
1-479	Pip	CH_2CH_2	5-Me-2-Fur
1-480	Pip	CH_2CH_2	2-Me-3-Fur
1-481	Pip	CH_2CH_2	5-Me-3-Fur
1-482	Pip	CH_2CH_2	5-Cl-2-Fur
1-483	Pip	CH_2CH_2	5-Cl-3-Fur
1-484	Pip	CH_2CH_2	5-NH_2-2-Fur
1-485	Pip	CH_2CH_2	5-AcNH-2-Fur
1-486	Pip	CH_2CH_2	5-Ph-2-Fur
1-487	Pip	CH_2CH_2	5-(4-MePh)-2-Fur
1-488	Pip	CH_2CH_2	5-(4-ClPh)-2-Fur
1-489	Pip	CH_2CH_2	3-Me-5-NH_2-2-Fur
1-490	Pip	CH_2CH_2	2,4-diMe-3-Fur
1-491	Pip	CH_2CH_2	2-Thi
1-492	Pip	CH_2CH_2	3-Thi
1-493	Pip	CH_2CH_2	3-Me-2-Thi
1-494	Pip	CH_2CH_2	5-Me-2-Thi
1-495	Pip	CH_2CH_2	2-Me-3-Thi
1-496	Pip	CH_2CH_2	4-Me-3-Thi
1-497	Pip	CH_2CH_2	5-Me-3-Thi
1-498	Pip	CH_2CH_2	4-MeO-2-Thi
1-499	Pip	CH_2CH_2	4-MeO-3-Thi
1-500	Pip	CH_2CH_2	4-HO-2-Thi
1-501	Pip	CH_2CH_2	4-HO-3-Thi
1-502	Pip	CH_2CH_2	5-Et-2-Thi
1-503	Pip	CH_2CH_2	5-Cl-2-Thi
1-504	Pip	CH_2CH_2	5-Cl-3-Thi

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-505	Pip	CH_2CH_2	5-Br-3-Thi
1-506	Pip	CH_2CH_2	3-NH_2-2-Thi
1-507	Pip	CH_2CH_2	5-NH_2-2-Thi
1-508	Pip	CH_2CH_2	2-NH_2-3-Thi
1-509	Pip	CH_2CH_2	4-NH_2-3-Thi
1-510	Pip	CH_2CH_2	3-AcNH-2-Thi
1-511	Pip	CH_2CH_2	4-AcNH-3-Thi
1-512	Pip	CH_2CH_2	5-Ph-2-Thi
1-513	Pip	CH_2CH_2	4,5-diMe-2-Thi
1-514	Pip	CH_2CH_2	3,5-diMe-2-Thi
1-515	Pip	CH_2CH_2	2,5-diMe-3-Thi
1-516	Pip	CH_2CH_2	4,5-diMe-3-Thi
1-517	Pip	CH_2CH_2	4,5-diCl-2-Thi
1-518	Pip	CH_2CH_2	2-NH_2-5-Ph-3-Thi
1-519	Pip	CH_2CH_2	4-NH_2-2,5-diMe-3-Thi
1-520	Pip	CH_2CH_2	2-Pyl
1-521	Pip	CH_2CH_2	3-Pyl
1-522	Pip	CH_2CH_2	1-Me-2-Pyl
1-523	Pip	CH_2CH_2	3-Me-2-Pyl
1-524	Pip	CH_2CH_2	4-Me-2-Pyl
1-525	Pip	CH_2CH_2	2-Me-3-Pyl
1-526	Pip	CH_2CH_2	5-Me-3-Pyl
1-527	Pip	CH_2CH_2	3-NH_2-2-Pyl
1-528	Pip	CH_2CH_2	4-NH_2-2-Pyl
1-529	Pip	CH_2CH_2	3-AcNH-2-Pyl
1-530	Pip	CH_2CH_2	5-Cl-2-Pyl
1-531	Pip	CH_2CH_2	5-Cl-3-Pyl
1-532	Pip	CH_2CH_2	4-Ph-2-Pyl

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-533	Pip	CH_2CH_2	5-Ph-3-Pyl
1-534	Pip	CH_2CH_2	1-Me-4-MeO-3-Pyl
1-535	Pip	CH_2CH_2	1-Me-4-HO-3-Pyl
1-536	Pip	CH_2CH_2	3,5-diMe-2-Pyl
1-537	Pip	CH_2CH_2	4,5-diMe-2-Pyl
1-538	Pip	CH_2CH_2	1,3-diMe-2-Pyl
1-539	Pip	CH_2CH_2	5-NH_2-1-Me-2-Pyl
1-540	Pip	CH_2CH_2	4-NH_2-3,5-diMe-2-Pyl
1-541	Pip	CH_2CH_2	5-Br-1,4-diMe-3-Pyl
1-542	Pip	CH_2CH_2	4-Oxazo
1-543	Pip	CH_2CH_2	5-Oxazo
1-544	Pip	CH_2CH_2	2-Oxazo
1-545	Pip	CH_2CH_2	2-Me-4-Oxazo
1-546	Pip	CH_2CH_2	2-Ph-4-Oxazo
1-547	Pip	CH_2CH_2	5-Ph-2-Oxazo
1-548	Pip	CH_2CH_2	2-HO-4-Oxazo
1-549	Pip	CH_2CH_2	2,5-diMe-4-Oxazo
1-550	Pip	CH_2CH_2	4-Me-2-Ph-5-Oxazo
1-551	Pip	CH_2CH_2	3-Isoxazo
1-552	Pip	CH_2CH_2	4-Isoxazo
1-553	Pip	CH_2CH_2	4-Me-3-Isoxazo
1-554	Pip	CH_2CH_2	5-Me-3-Isoxazo
1-555	Pip	CH_2CH_2	3-Me-4-Isoxazo
1-556	Pip	CH_2CH_2	4-MeO-3-Isoxazo
1-557	Pip	CH_2CH_2	4-HO-3-Isoxazo
1-558	Pip	CH_2CH_2	3-HO-4-Isoxazo
1-559	Pip	CH_2CH_2	3-HO-5-Isoxazo
1-560	Pip	CH_2CH_2	4-NH_2-3-Isoxazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-561	Pip	CH_2CH_2	5-NH_2-4-Isoxazo
1-562	Pip	CH_2CH_2	5-Ph-3-Isoxazo
1-563	Pip	CH_2CH_2	4-Ph-3-Isoxazo
1-564	Pip	CH_2CH_2	4,5-diMe-3-Isoxazo
1-565	Pip	CH_2CH_2	4-HO-5-Me-3-Isoxazo
1-566	Pip	CH_2CH_2	2-Thiazo
1-567	Pip	CH_2CH_2	4-Thiazo
1-568	Pip	CH_2CH_2	5-Thiazo
1-569	Pip	CH_2CH_2	4-Me-2-Thiazo
1-570	Pip	CH_2CH_2	2-Me-4-Thiazo
1-571	Pip	CH_2CH_2	2-Me-5-Thiazo
1-572	Pip	CH_2CH_2	2-MeO-4-Thiazo
1-573	Pip	CH_2CH_2	2-MeO-5-Thiazo
1-574	Pip	CH_2CH_2	2-HO-4-Thiazo
1-575	Pip	CH_2CH_2	2-HO-5-Thiazo
1-576	Pip	CH_2CH_2	2-Cl-5-Thiazo
1-577	Pip	CH_2CH_2	5-Cl-2-Thiazo
1-578	Pip	CH_2CH_2	2-NH_2-4-Thiazo
1-579	Pip	CH_2CH_2	2-NH_2-5-Thiazo
1-580	Pip	CH_2CH_2	5-NH_2-4-Thiazo
1-581	Pip	CH_2CH_2	2-AcNH-4-Thiazo
1-582	Pip	CH_2CH_2	5-AcNH-4-Thiazo
1-583	Pip	CH_2CH_2	2-Ph-4-Thiazo
1-584	Pip	CH_2CH_2	4,5-diMe-2-Thiazo
1-585	Pip	CH_2CH_2	2-HO-5-Me-4-Thiazo
1-586	Pip	CH_2CH_2	5-NH_2-2-Me-4-Thiazo
1-587	Pip	CH_2CH_2	2-Cl-4-Me-5-Thiazo
1-588	Pip	CH_2CH_2	3-Isothiazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-589	Pip	CH_2CH_2	4-Isothiazo
1-590	Pip	CH_2CH_2	3-Pyrazo
1-591	Pip	CH_2CH_2	4-Pyrazo
1-592	Pip	CH_2CH_2	1-Me-3-Pyrazo
1-593	Pip	CH_2CH_2	1-Et-3-Pyrazo
1-594	Pip	CH_2CH_2	1-Pr-3-Pyrazo
1-595	Pip	CH_2CH_2	1-Me-4-Pyrazo
1-596	Pip	CH_2CH_2	1-Et-4-Pyrazo
1-597	Pip	CH_2CH_2	1-Pr-4-Pyrazo
1-598	Pip	CH_2CH_2	1-Bu-4-Pyrazo
1-599	Pip	CH_2CH_2	4-Me-3-Pyrazo
1-600	Pip	CH_2CH_2	5-Me-3-Pyrazo
1-601	Pip	CH_2CH_2	5-Et-3-Pyrazo
1-602	Pip	CH_2CH_2	5-Pr-3-Pyrazo
1-603	Pip	CH_2CH_2	5-Me-4-Pyrazo
1-604	Pip	CH_2CH_2	4-MeO-3-Pyrazo
1-605	Pip	CH_2CH_2	4-PrO-3-Pyrazo
1-606	Pip	CH_2CH_2	4-HO-3-Pyrazo
1-607	Pip	CH_2CH_2	4-Cl-3-Pyrazo
1-608	Pip	CH_2CH_2	4-Br-3-Pyrazo
1-609	Pip	CH_2CH_2	3-Cl-4-Pyrazo
1-610	Pip	CH_2CH_2	4-NH_2-3-Pyrazo
1-611	Pip	CH_2CH_2	5-NH_2-3-Pyrazo
1-612	Pip	CH_2CH_2	3-NH_2-4-Pyrazo
1-613	Pip	CH_2CH_2	4-AcNH-3-Pyrazo
1-614	Pip	CH_2CH_2	5-AcNH-3-Pyrazo
1-615	Pip	CH_2CH_2	3-AcNH-4-Pyrazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-616	Pip	CH_2CH_2	3-EtCONH-4-Pyrazo
1-617	Pip	CH_2CH_2	5-Ph-3-Pyrazo
1-618	Pip	CH_2CH_2	1,5-diMe-3-Pyrazo
1-619	Pip	CH_2CH_2	1,4-diMe-3-Pyrazo
1-620	Pip	CH_2CH_2	4,5-diMe-3-Pyrazo
1-621	Pip	CH_2CH_2	3-Me-4-Pyrazo
1-622	Pip	CH_2CH_2	3,5-diMe-4-Pyrazo
1-623	Pip	CH_2CH_2	1,5-diMe-4-Pyrazo
1-624	Pip	CH_2CH_2	1,3-diMe-4-Pyrazo
1-625	Pip	CH_2CH_2	1,3-diMe-5-Pyrazo
1-626	Pip	CH_2CH_2	3-Cl-5-Me-4-Pyrazo
1-627	Pip	CH_2CH_2	3-Cl-1-Me-4-Pyrazo
1-628	Pip	CH_2CH_2	5-Cl-1-Me-4-Pyrazo
1-629	Pip	CH_2CH_2	4-Cl-1-Me-3-Pyrazo
1-630	Pip	CH_2CH_2	4-Cl-5-Me-3-Pyrazo
1-631	Pip	CH_2CH_2	4-Cl-1-Me-3-Pyrazo
1-632	Pip	CH_2CH_2	3-NH_2-5-Me-4-Pyrazo
1-633	Pip	CH_2CH_2	3-NH_2-1-Me-4-Pyrazo
1-634	Pip	CH_2CH_2	5-NH_2-1-Me-4-Pyrazo
1-635	Pip	CH_2CH_2	5-NH_2-4-Me-3-Pyrazo
1-636	Pip	CH_2CH_2	5-NH_2-1-Me-3-Pyrazo
1-637	Pip	CH_2CH_2	5-AcNH-1-Me-4-Pyrazo
1-638	Pip	CH_2CH_2	4-NH_2-5-Me-3-Pyrazo
1-639	Pip	CH_2CH_2	4-HO-5-Me-3-Pyrazo
1-640	Pip	CH_2CH_2	5-AcNH-3-Me-4-Pyrazo
1-641	Pip	CH_2CH_2	1,3,5-triMe-4-Pyrazo
1-642	Pip	CH_2CH_2	1,3,4-triMe-5-Pyrazo
1-643	Pip	CH_2CH_2	4-Cl-1,3-diMe-5-Pyrazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-644	Pip	CH_2CH_2	2-Imidazo
1-645	Pip	CH_2CH_2	4-Imidazo
1-646	Pip	CH_2CH_2	2-Me-4-Imidazo
1-647	Pip	CH_2CH_2	1-Me-4-Imidazo
1-648	Pip	CH_2CH_2	5-Me-4-Imidazo
1-649	Pip	CH_2CH_2	5-Me-2-Imidazo
1-650	Pip	CH_2CH_2	1-Me-2-Imidazo
1-651	Pip	CH_2CH_2	1,2,3-Oxadiazo-5-yl
1-652	Pip	CH_2CH_2	1,3,4-Oxadiazo-2-yl
1-653	Pip	CH_2CH_2	1,2,3-Oxadiazo-4-yl
1-654	Pip	CH_2CH_2	1,2,4-Oxadiazo-5-yl
1-655	Pip	CH_2CH_2	1,2,4-Oxadiazo-3-yl
1-656	Pip	CH_2CH_2	1,2,5-Oxadiazo-3-yl
1-657	Pip	CH_2CH_2	5-Me-1,2,3-Oxadiazo-4-yl
1-658	Pip	CH_2CH_2	4-Me-1,2,5-Oxadiazo-3-yl
1-659	Pip	CH_2CH_2	4-Ph-1,2,5-Oxadiazo-3-yl
1-660	Pip	CH_2CH_2	1,2,3-Thiadiazo-4-yl
1-661	Pip	CH_2CH_2	1,2,3-Thiadiazo-5-yl
1-662	Pip	CH_2CH_2	1,3,4-Thiadiazo-2-yl
1-663	Pip	CH_2CH_2	1,2,4-Thiadiazo-3-yl
1-664	Pip	CH_2CH_2	1,2,4-Thiadiazo-5-yl
1-665	Pip	CH_2CH_2	1,2,5-Thiadiazo-3-yl
1-666	Pip	CH_2CH_2	4-Me-1,2,3-Thiadiazo-5-yl
1-667	Pip	CH_2CH_2	5-Me-1,2,3-Thiadiazo-4-yl
1-668	Pip	CH_2CH_2	4-Me-1,2,5-Thiadiazo-3-yl
1-669	Pip	CH_2CH_2	5-Ph-1,2,3-Thiadiazo-4-yl
1-670	Pyr	CH_2CH_2	2-Fur

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-671	Pyr	CH_2CH_2	3-Fur
1-672	Pyr	CH_2CH_2	4-Me-2-Fur
1-673	Pyr	CH_2CH_2	2-Me-3-Fur
1-674	Pyr	CH_2CH_2	2,4-diMe-3-Fur
1-675	Pyr	CH_2CH_2	2-Thi
1-676	Pyr	CH_2CH_2	3-Thi
1-677	Pyr	CH_2CH_2	3-Me-2-Thi
1-678	Pyr	CH_2CH_2	2-Me-3-Thi
1-679	Pyr	CH_2CH_2	4-Me-3-Thi
1-680	Pyr	CH_2CH_2	4-MeO-3-Thi
1-681	Pyr	CH_2CH_2	4-HO-2-Thi
1-682	Pyr	CH_2CH_2	5-Cl-3-Thi
1-683	Pyr	CH_2CH_2	3-NH_2-2-Thi
1-684	Pyr	CH_2CH_2	2-NH_2-3-Thi
1-685	Pyr	CH_2CH_2	3-AcNH-2-Thi
1-686	Pyr	CH_2CH_2	5-Ph-2-Thi
1-687	Pyr	CH_2CH_2	4,5-diMe-2-Thi
1-688	Pyr	CH_2CH_2	2,5-diMe-3-Thi
1-689	Pyr	CH_2CH_2	4,5-diCl-2-Thi
1-690	Pyr	CH_2CH_2	4-NH_2-2,5-diMe-3-Thi
1-691	Pyr	CH_2CH_2	2-Pyl
1-692	Pyr	CH_2CH_2	3-Pyl
1-693	Pyr	CH_2CH_2	1-Me-2-Pyl
1-694	Pyr	CH_2CH_2	3-Me-2-Pyl
1-695	Pyr	CH_2CH_2	4-Me-2-Pyl
1-696	Pyr	CH_2CH_2	2-Me-3-Pyl
1-697	Pyr	CH_2CH_2	1-Me-4-MeO-3-Pyl
1-698	Pyr	CH_2CH_2	1-Me-4-HO-3-Pyl

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-699	Pyr	CH_2CH_2	3,5-diMe-2-Pyl
1-700	Pyr	CH_2CH_2	1,3-diMe-2-Pyl
1-701	Pyr	CH_2CH_2	4-Oxazo
1-702	Pyr	CH_2CH_2	5-Oxazo
1-703	Pyr	CH_2CH_2	2-Oxazo
1-704	Pyr	CH_2CH_2	2-Me-4-Oxazo
1-705	Pyr	CH_2CH_2	5-Ph-2-Oxazo
1-706	Pyr	CH_2CH_2	2,5-diMe-4-Oxazo
1-707	Pyr	CH_2CH_2	3-Isoxazo
1-708	Pyr	CH_2CH_2	4-Isoxazo
1-709	Pyr	CH_2CH_2	5-Me-3-Isoxazo
1-710	Pyr	CH_2CH_2	3-Me-4-Isoxazo
1-711	Pyr	CH_2CH_2	4-MeO-3-Isoxazo
1-712	Pyr	CH_2CH_2	4-HO-3-Isoxazo
1-713	Pyr	CH_2CH_2	3-HO-5-Isoxazo
1-714	Pyr	CH_2CH_2	5-HO-4-Isoxazo
1-715	Pyr	CH_2CH_2	4-NH_2-3-Isoxazo
1-716	Pyr	CH_2CH_2	5-Ph-3-Isoxazo
1-717	Pyr	CH_2CH_2	4,5-diMe-3-Isoxazo
1-718	Pyr	CH_2CH_2	4-HO-5-Me-3-Isoxazo
1-719	Pyr	CH_2CH_2	2-Thiazo
1-720	Pyr	CH_2CH_2	4-Thiazo
1-721	Pyr	CH_2CH_2	5-Thiazo
1-722	Pyr	CH_2CH_2	4-Me-2-Thiazo
1-723	Pyr	CH_2CH_2	2-Me-5-Thiazo
1-724	Pyr	CH_2CH_2	2-MeO-4-Thiazo
1-725	Pyr	CH_2CH_2	2-MeO-5-Thiazo
1-726	Pyr	CH_2CH_2	2-HO-5-Thiazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-727	Pyr	CH_2CH_2	5-Cl-2-Thiazo
1-728	Pyr	CH_2CH_2	2-NH_2-4-Thiazo
1-729	Pyr	CH_2CH_2	2-AcNH-4-Thiazo
1-730	Pyr	CH_2CH_2	4,5-diMe-2-Thiazo
1-731	Pyr	CH_2CH_2	2-HO-5-Me-4-Thiazo
1-732	Pyr	CH_2CH_2	5-NH_2-2-Me-4-Thiazo
1-733	Pyr	CH_2CH_2	3-Isothiazo
1-734	Pyr	CH_2CH_2	4-Isothiazo
1-735	Pyr	CH_2CH_2	3-Pyrazo
1-736	Pyr	CH_2CH_2	4-Pyrazo
1-737	Pyr	CH_2CH_2	1-Me-3-Pyrazo
1-738	Pyr	CH_2CH_2	1-Et-3-Pyrazo
1-739	Pyr	CH_2CH_2	1-Me-4-Pyrazo
1-740	Pyr	CH_2CH_2	1-Et-4-Pyrazo
1-741	Pyr	CH_2CH_2	4-Me-3-Pyrazo
1-742	Pyr	CH_2CH_2	5-Me-3-Pyrazo
1-743	Pyr	CH_2CH_2	5-Me-4-Pyrazo
1-744	Pyr	CH_2CH_2	4-MeO-3-Pyrazo
1-745	Pyr	CH_2CH_2	4-HO-3-Pyrazo
1-746	Pyr	CH_2CH_2	4-Cl-3-Pyrazo
1-747	Pyr	CH_2CH_2	4-NH_2-3-Pyrazo
1-748	Pyr	CH_2CH_2	5-NH_2-3-Pyrazo
1-749	Pyr	CH_2CH_2	3-NH_2-4-Pyrazo
1-750	Pyr	CH_2CH_2	4-AcNH-3-Pyrazo
1-751	Pyr	CH_2CH_2	5-Ph-3-Pyrazo
1-752	Pyr	CH_2CH_2	1,5-diMe-3-Pyrazo
1-753	Pyr	CH_2CH_2	1,4-diMe-3-Pyrazo
1-754	Pyr	CH_2CH_2	3,5-diMe-4-Pyrazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-755	Pyr	CH_2CH_2	1,5-diMe-4-Pyrazo
1-756	Pyr	CH_2CH_2	1,3-diMe-4-Pyrazo
1-757	Pyr	CH_2CH_2	1,3-diMe-5-Pyrazo
1-758	Pyr	CH_2CH_2	3-Cl-5-Me-4-Pyrazo
1-759	Pyr	CH_2CH_2	3-Cl-1-Me-4-Pyrazo
1-760	Pyr	CH_2CH_2	4-Cl-5-Me-3-Pyrazo
1-761	Pyr	CH_2CH_2	4-Cl-1-Me-3-Pyrazo
1-762	Pyr	CH_2CH_2	3-NH_2-5-Me-4-Pyrazo
1-763	Pyr	CH_2CH_2	3-NH_2-1-Me-4-Pyrazo
1-764	Pyr	CH_2CH_2	5-NH_2-1-Me-4-Pyrazo
1-765	Pyr	CH_2CH_2	5-NH_2-4-Me-3-Pyrazo
1-766	Pyr	CH_2CH_2	5-NH_2-1-Me-3-Pyrazo
1-767	Pyr	CH_2CH_2	5-NH_2-3-Me-4-Pyrazo
1-768	Pyr	CH_2CH_2	4-NH_2-5-Me-3-Pyrazo
1-769	Pyr	CH_2CH_2	4-HO-5-Me-3-Pyrazo
1-770	Pyr	CH_2CH_2	1,3,5-triMe-4-Pyrazo
1-771	Pyr	CH_2CH_2	1,3,4-triMe-5-Pyrazo
1-772	Pyr	CH_2CH_2	4-Cl-1,3-diMe-5-Pyrazo
1-773	Pyr	CH_2CH_2	2-Imidazo
1-774	Pyr	CH_2CH_2	4-Imidazo
1-775	Pyr	CH_2CH_2	1-Me-4-Imidazo
1-776	Pyr	CH_2CH_2	5-Me-4-Imidazo
1-777	Pyr	CH_2CH_2	5-Me-2-Imidazo
1-778	Pyr	CH_2CH_2	1-Me-2-Imidazo
1-779	Pyr	CH_2CH_2	1,2,3-Oxadiazo-5-yl
1-780	Pyr	CH_2CH_2	1,2,4-Oxadiazo-5-yl
1-781	Pyr	CH_2CH_2	1,2,5-Oxadiazo-3-yl
1-782	Pyr	CH_2CH_2	5-Me-1,2,3-Oxadiazo-4-yl

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-783	Pyr	CH_2CH_2	1,2,3-Thiadiazo-4-yl
1-784	Pyr	CH_2CH_2	1,2,4-Thiadiazo-3-yl
1-785	Pyr	CH_2CH_2	1,2,5-Thiadiazo-3-yl
1-786	Pyr	CH_2CH_2	4-Me-1,2,3-Thiadiazo-5-yl
1-787	Pyr	CH_2CH_2	5-Ph-1,2,3-Thiadiazo-4-yl
1-788	NMe_2	CH_2CH_2	2-Fur
1-789	NMe_2	CH_2CH_2	3-Fur
1-790	NMe_2	CH_2CH_2	4-Me-2-Fur
1-791	NMe_2	CH_2CH_2	2,4-diMe-3-Fur
1-792	NMe_2	CH_2CH_2	2-Thi
1-793	NMe_2	CH_2CH_2	3-Thi
1-794	NMe_2	CH_2CH_2	3-Me-2-Thi
1-795	NMe_2	CH_2CH_2	2-Me-3-Thi
1-796	NMe_2	CH_2CH_2	4-MeO-3-Thi
1-797	NMe_2	CH_2CH_2	4,5-diMe-2-Thi
1-798	NMe_2	CH_2CH_2	2-Pyl
1-799	NMe_2	CH_2CH_2	3-Pyl
1-800	NMe_2	CH_2CH3	1-Me-2-Pyl
1-801	NMe_2	CH_2CH_2	4-Me-2-Pyl
1-802	NMe_2	CH_2CH_2	2-Me-3-Pyl
1-803	NMe_2	CH_2CH_2	3,5-diMe-2-Pyl
1-804	NMe_2	CH_2CH_2	1,3-diMe-2-Pyl
1-805	NMe_2	CH_2CH_2	4-Oxazo
1-806	NMe_2	CH_2CH_2	5-Oxazo
1-807	NMe_2	CH_2CH_2	2-Oxazo
1-808	NMe_2	CH_2CH_2	2-Me-4-Oxazo
1-809	NMe_2	CH_2CH_2	2,5-diMe-4-Oxazo
1-810	NMe_2	CH_2CH_2	3-Isoxazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-811	NMe_2	CH_2CH_2	4-Isoxazo
1-812	NMe_2	CH_2CH_2	5-Me-3-Isoxazo
1-813	NMe_2	CH_2CH_2	4-MeO-3-Isoxazo
1-814	NMe_2	CH_2CH_2	4-HO-3-Isoxazo
1-815	NMe_2	CH_2CH_2	4,5-diMe-3-Isoxazo
1-816	NMe_2	CH_2CH_2	4-HO-5-Me-3-Isoxazo
1-817	NMe_2	CH_2CH_2	2-Thiazo
1-818	NMe_2	CH_2CH_2	4-Thiazo
1-819	NMe_2	CH_2CH_2	5-Thiazo
1-820	NMe_2	CH_2CH_2	4-Me-2-Thiazo
1-821	NMe_2	CH_2CH_2	2-Me-5-Thiazo
1-822	NMe_2	CH_2CH_2	2-MeO-4-Thiazo
1-823	NMe_2	CH_2CH_2	4,5-diMe-2-Thiazo
1-824	NMe_2	CH_2CH_2	3-Isothiazo
1-825	NMe_2	CH_2CH_2	4-Isothiazo
1-826	NMe_2	CH_2CH_2	3-Pyrazo
1-827	NMe_2	CH_2CH_2	4-Pyrazo
1-828	NMe_2	CH_2CH_2	1-Me-3-Pyrazo
1-829	NMe_2	CH_2CH_2	1-Me-4-Pyrazo
1-830	NMe_2	CH_2CH_2	4-Me-3-Pyrazo
1-831	NMe_2	CH_2CH_2	5-Me-3-Pyrazo
1-832	NMe_2	CH_2CH_2	5-Me-4-Pyrazo
1-833	NMe_2	CH_2CH_2	4-MeO-3-Pyrazo
1-834	NMe_2	CH_2CH_2	4-HO-3-Pyrazo
1-835	NMe_2	CH_2CH_2	3,5-diMe-4-Pyrazo
1-836	NMe_2	CH_2CH_2	1,3,5-triMe-4-Pyrazo
1-837	NMe_2	CH_2CH_2	1,3,4-triMe-5-Pyrazo
1-838	NMe_2	CH_2CH_2	2-Imidazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-839	NMe_2	CH_2CH_2	4-Imidazo
1-840	NMe_2	CH_2CH_2	5-Me-4-Imidazo
1-841	Azi	CH_2CH_2	2-Fur
1-842	Azi	CH_2CH_2	3-Fur
1-843	Azi	CH_2CH_2	4-Me-2-Fur
1-844	Azi	CH_2CH_2	2-Thi
1-845	Azi	CH_2CH_2	3-Thi
1-846	Azi	CH_2CH_2	5-Me-2-Thi
1-847	Azi	CH_2CH_2	2-Pyl
1-848	Azi	CH_2CH_2	3-Pyl
1-849	Azi	CH_2CH_2	1-Me-2-Pyl
1-850	Azi	CH_2CH_2	4-Me-2-Pyl
1-851	Azi	CH_2CH_2	4-Oxazo
1-852	Azi	CH_2CH_2	5-Oxazo
1-853	Azi	CH_2CH_2	2-Oxazo
1-854	Azi	CH_2CH_2	3-Isoxazo
1-855	Azi	CH_2CH_2	4-Isoxazo
1-856	Azi	CH_2CH_2	4-HO-3-Isoxazo
1-857	Azi	CH_2CH_2	2-Thiazo
1-858	Azi	CH_2CH_2	4-Thiazo
1-859	Azi	CH_2CH_2	5-Thiazo
1-860	Azi	CH_2CH_2	2-Me-5-Thiazo
1-861	Azi	CH_2CH_2	3-Pyrazo
1-862	Azi	CH_2CH_2	4-Pyrazo
1-863	Azi	CH_2CH_2	1-Me-3-Pyrazo
1-864	Azi	CH_2CH_2	4-Me-3-Pyrazo
1-865	Azi	CH_2CH_2	5-Me-4-Pyrazo
1-866	Azi	CH_2CH_2	4-NH_2-3-Pyrazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-867	Azi	CH_2CH_2	3-NH_2-4-Pyrazo
1-868	Azi	CH_2CH_2	3,5-diMe-4-Pyrazo
1-869	Azi	CH_2CH_2	1,3,5-triMe-4-Pyrazo
1-870	Azi	CH_2CH_2	2-Imidazo
1-871	Azi	CH_2CH_2	4-Imidazo
1-872	Azi	CH_2CH_2	5-Me-4-Imidazo
1-873	Aze	CH_2CH_2	2-Fur
1-874	Aze	CH_2CH_2	3-Fur
1-875	Aze	CH_2CH_2	4-Me-2-Fur
1-876	Aze	CH_2CH_2	2-Thi
1-877	Aze	CH_2CH_2	3-Thi
1-878	Aze	CH_2CH_2	5-Me-2-Thi
1-879	Aze	CH_2CH_2	2-Pyl
1-880	Aze	CH_2CH_2	3-Pyl
1-881	Aze	CH_2CH_2	1-Me-2-Pyl
1-882	Aze	CH_2CH_2	4-Me-2-Pyl
1-883	Aze	CH_2CH_2	4-Oxazo
1-884	Aze	CH_2CH_2	5-Oxazo
1-885	Aze	CH_2CH_2	2-Oxazo
1-886	Aze	CH_2CH_2	3-Isoxazo
1-887	Aze	CH_2CH_2	4-Isoxazo
1-888	Aze	CH_2CH_2	4-HO-3-Isoxazo
1-889	Aze	CH_2CH_2	2-Thiazo
1-890	Aze	CH_2CH_2	4-Thiazo
1-891	Aze	CH_2CH_2	5-Thiazo
1-892	Aze	CH_2CH_2	2-Me-5-Thiazo
1-893	Aze	CH_2CH_2	3-Pyrazo
1-894	Aze	CH_2CH_2	4-Pyrazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-895	Aze	CH_2CH_2	1-Me-3-Pyrazo
1-896	Aze	CH_2CH_2	4-Me-3-Pyrazo
1-897	Aze	CH_2CH_2	5-Me-4-Pyrazo
1-898	Aze	CH_2CH_2	4-NH_2-3-Pyrazo
1-899	Aze	CH_2CH_2	3-NH_2-4-Pyrazo
1-900	Aze	CH_2CH_2	3,5-diMe-4-Pyrazo
1-901	Aze	CH_2CH_2	1,3,5-triMe-4-Pyrazo
1-902	Aze	CH_2CH_2	2-Imidazo
1-903	Aze	CH_2CH_2	4-Imidazo
1-904	Aze	CH_2CH_2	5-Me-4-Imidazo
1-905	Pip	CH_2CH_2	1,2,3-Triazo-4-yl
1-906	Pip	CH_2CH_2	1-Me-1,2,3-Triazo-4-yl
1-907	Pip	CH_2CH_2	5-Me-1,2,3-Triazo-4-yl
1-908	Pip	CH_2CH_2	1,5-diMe-1,2,3-Triazo-4-yl
1-909	Pip	CH_2CH_2	1,2,4-Triazo-5-yl
1-910	Pip	CH_2CH_2	1-Me-1,2,5-Triazo-3-yl
1-911	Pyr	CH_2CH_2	1,2,3-Triazo-4-yl
1-912	Pyr	CH_2CH_2	1,2,4-Triazo-5-yl
1-913	NMe_2	CH_2CH_2	1,2,3-Triazo-4-yl
1-914	NMe_2	CH_2CH_2	1,2,4-Triazo-5-yl
1-915	Pip	CH_2	1,2,3-Triazo-4-yl
1-916	Pip	CH_2	2-Fur
1-917	Pip	CH_2	3-Fur
1-918	Pip	CH_2	4-Me-2-Fur
1-919	Pip	CH_2	2,4-diMe-3-Fur
1-920	Pip	CH_2	2-Thi
1-921	Pip	CH_2	3-Thi
1-922	Pip	CH_2	3-Me-2-Thi

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-923	Pip	CH_2	2-Me-3-Thi
1-924	Pip	CH_2	4-MeO-3-Thi
1-925	Pip	CH_2	4,5-diMe-2-Thi
1-926	Pip	CH_2	2-Pyl
1-927	Pip	CH_2	3-Pyl
1-928	Pip	CH_2	1-Me-2-Pyl
1-929	Pip	CH_2	4-Me-2-Pyl
1-930	Pip	CH_2	2-Me-3-Pyl
1-931	Pip	CH_2	3,5-diMe-2-Pyl
1-932	Pip	CH_2	1,3-diMe-2-Pyl
1-933	Pip	CH_2	5-NH_2-1-Me-2-Pyl
1-934	Pip	CH_2	4-Oxazo
1-935	Pip	CH_2	5-Oxazo
1-936	Pip	CH_2	2-Oxazo
1-937	Pip	CH_2	2-Me-4-Oxazo
1-938	Pip	CH_2	2,5-diMe-4-Oxazo
1-939	Pip	CH_2	3-Isoxazo
1-940	Pip	CH_2	4-Isoxazo
1-941	Pip	CH_2	5-Me-3-Isoxazo
1-942	Pip	CH_2	4,5-diMe-3-Isoxazo
1-943	Pip	CH_2	2-Thiazo
1-944	Pip	CH_2	4-Thiazo
1-945	Pip	CH_2	5-Thiazo
1-946	Pip	CH_2	2-Me-5-Thiazo
1-947	Pip	CH_2	4,5-diMe-2-Thiazo
1-948	Pip	CH_2	3-Isothiazo
1-949	Pip	CH_2	4-Isothiazo
1-950	Pip	CH_2	3-Pyrazo

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-951	Pip	CH_2	4-Pyrazo
1-952	Pip	CH_2	1-Me-3-Pyrazo
1-953	Pip	CH_2	1-Me-4-Pyrazo
1-954	Pip	CH_2	4-Me-3-Pyrazo
1-955	Pip	CH_2	5-Me-3-Pyrazo
1-956	Pip	CH_2	5-Me-4-Pyrazo
1-957	Pip	CH_2	4-MeO-3-Pyrazo
1-958	Pip	CH_2	4-HO-3-Pyrazo
1-959	Pip	CH_2	4-Cl-3-Pyrazo
1-960	Pip	CH_2	4-NH_2-3-Pyrazo
1-961	Pip	CH_2	5-NH_2-3-Pyrazo
1-962	Pip	CH_2	3-NH_2-4-Pyrazo
1-963	Pip	CH_2	5-Ph-3-Pyrazo
1-964	Pip	CH_2	3,5-diMe-4-Pyrazo
1-965	Pip	CH_2	3-NH_2-5-Me-4-Pyrazo
1-966	Pip	CH_2	5-NH_2-4-Me-3-Pyrazo
1-967	Pip	CH_2	5-NH_2-3-Me-3-Pyrazo
1-968	Pip	CH_2	4-NH_2-5-Me-3-Pyrazo
1-969	Pip	CH_2	1,3,5-triMe-4-Pyrazo
1-970	Pip	CH_2	1,3,4-triMe-5-Pyrazo
1-971	Pip	CH_2	2-Imidazo
1-972	Pip	CH_2	4-Imidazo
1-973	Pip	CH_2	5-Me-4-Imidazo
1-974	Pip	CH=CH	NHiPr
1-975	Pip	CH=CH	NHsBu
1-976	Pip	CH=CH	NH(1-MeBu)
1-977	Pip	CH=CH	NH(1,2-diMePr)
1-978	Pip	CH=CH	NH(1-MePn)

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-979	Pip	CH=CH	NH(1,3-diMeBu)
1-980	Pip	CH=CH	NH(1,2-diMeBu)
1-981	Pip	CH=CH	NH(1-MeHx)
1-982	Pip	CH=CH	NH(1,4-diMePn)
1-983	Pip	CH=CH	NH(1-MeHp)
1-984	Pip	CH=CH	NH(1,5-diMeHx)
1-985	Pip	CH=CH	NH(1-EtPr)
1-986	Pip	CH=CH	NH(1-EtBu)
1-987	Pip	CH=CH	NH(1-Et-2-MePr)
1-988	Pip	CH=CH	NH(1-EtPn)
1-989	Pip	CH=CH	NH(1-Et-3-MeBu)
1-990	Pip	CH=CH	NH(1-EtHx)
1-991	Pip	CH=CH	NH(1-EtHp)
1-992	Pip	CH=CH	NH(1-PrBu)
1-993	Pip	CH=CH	NH(1-iPrBu)
1-994	Pip	CH=CH	NH(1-PrPn)
1-995	Pip	CH=CH	NH(1-PrHx)
1-996	Pip	CH=CH	NH(1-PrHp)
1-997	Pip	CH=CH	NH(1-BuPn)
1-998	Pip	CH=CH	NH(1-PnHx)
1-999	Pip	CH=CH	NH(1-HxHp)
1-1000	Pip	CH=CH	NH(1-PhEt)
1-1001	Pip	CH=CH	NH(1-NaphEt)
1-1002	Pip	CH=CH	NH(1-PhPr)
1-1003	Pip	CH=CH	NH(1-PhBu)
1-1004	Pip	CH=CH	$NHCHPh_2$
1-1005	Pip	CH=CH	NHCHPh(4-MePh)
1-1006	Pip	CH=CH	NHCHPh(4-MeOPh)

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1007	Pip	CH=CH	NHCHPh(4-FPh)
1-1008	Pip	CH=CH	NHCHPh(4-ClPh)
1-1009	Pip	CH=CH	NH(1-Me-2-PhEt)
1-1010	Pip	CH=CH	NH(1-Me-3-PhPr)
1-1011	Pip	CH=CH	NH(1-Et-2-PhEt)
1-1012	Pip	CH=CH	NH[1-Me-2-(4-MePh)Et]
1-1013	Pip	CH=CH	NH[1-Me-2-(4-MeOPh)Et]
1-1014	Pip	CH=CH	NH[1-Me-2-(4-FPh)Et]
1-1015	Pip	CH=CH	NH[1-Me-2-(4-ClPh)Et]
1-1016	Pip	CH=CH	NH(1,2-diPhEt)
1-1017	Pip	CH=CH	NH(1-Bz-2-PhEt)
1-1018	Pip	CH=CH	NHcPr
1-1019	Pip	CH=CH	NHcBu
1-1020	Pip	CH=CH	NHcPn
1-1021	Pip	CH=CH	NHcHx
1-1022	Pip	CH=CH	NHcHp
1-1023	Pip	CH=CH	NHcOc
1-1024	Pyr	CH=CH	NHiPr
1-1025	Pyr	CH=CH	NHsBu
1-1026	Pyr	CH=CH	NH(1-MeBu)
1-1027	Pyr	CH=CH	NH(1-MePn)
1-1028	Pyr	CH=CH	NH(1-MeHx)
1-1029	Pyr	CH=CH	NH(1-MeHp)
1-1030	Pyr	CH=CH	NH(1-EtPr)
1-1031	Pyr	CH=CH	NH(1-EtBu)
1-1032	Pyr	CH=CH	NH(1-EtPn)
1-1033	Pyr	CH=CH	NH(1-PrBu)
1-1034	Pyr	CH=CH	NH(1-BuPn)

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1035	Pyr	CH=CH	NH(1-PhEt)
1-1036	Pyr	CH=CH	NH(1-NaphEt)
1-1037	Pyr	CH=CH	NH(1-PhPr)
1-1038	Pyr	CH=CH	$NHCHPh_2$
1-1039	Pyr	CH=CH	NHCHPh(4-MePh)
1-1040	Pyr	CH=CH	NHCHPh(4-MeOPh)
1-1041	Pyr	CH=CH	NHCHPh(4-FPh)
1-1042	Pyr	CH=CH	NHCHPh(4-ClPh)
1-1043	Pyr	CH=CH	NH(1-Me-2-PhEt)
1-1044	Pyr	CH=CH	NH[1-Me-2-(4-MePh)Et]
1-1045	Pyr	CH=CH	NH[1-Me-2-(4-MeOPh)Et]
1-1046	Pyr	CH=CH	NH[1-Me-2-(4-FPh)Et]
1-1047	Pyr	CH=CH	NH(1-Bz-2-PhEt)
1-1048	Pyr	CH=CH	NHcPr
1-1049	Pyr	CH=CH	NHcBu
1-1050	Pyr	CH=CH	NHcPn
1-1051	Pyr	CH=CH	NHcHx
1-1052	Pyr	CH=CH	NHcHp
1-1053	Pyr	CH=CH	NHcOc
1-1054	NMe_2	CH=CH	NHiPr
1-1055	NMe_2	CH=CH	NHsBu
1-1056	NMe_2	CH=CH	NH(1-MeBu)
1-1057	NMe_2	CH=CH	NH(1-MePn)
1-1058	NMe_2	CH=CH	NH(1-MeHx)
1-1059	NMe_2	CH=CH	NH(1-MeHp)
1-1060	NMe_2	CH=CH	NH(1-EtPr)
1-1061	NMe_2	CH=CH	NH(1-EtBu)
1-1062	NMe_2	CH=CH	NH(1-EtPn)

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1063	NMe_2	CH=CH	NH(1-PrBu)
1-1064	NMe_2	CH=CH	NH(1-BuPn)
1-1065	NMe_2	CH=CH	NH(1-PhEt)
1-1066	NMe_2	CH=CH	NH(1-NaphEt)
1-1067	NMe_2	CH=CH	NH(1-PhPr)
1-1068	NMe_2	CH=CH	$NHCHPh_2$
1-1069	NMe_2	CH=CH	NHCHPh(4-MePh)
1-1070	NMe_2	CH=CH	NHCHPh(4-MeOPh)
1-1071	NMe_2	CH=CH	NHCHPh(4-FPh)
1-1072	NMe_2	CH=CH	NHCHPh(4-ClPh)
1-1073	NMe_2	CH=CH	NH(1-Me-2-PhEt)
1-1074	NMe_2	CH=CH	NH[1-Me-2-(4-MePh)Et]
1-1075	NMe_2	CH=CH	NH[1-Me-2-(4-MeOPh)Et]
1-1076	NMe_2	CH=CH	NH[1-Me-2-(4-FPh)Et]
1-1077	NMe_2	CH=CH	NH(1-Bz-2-PhEt)
1-1078	NMe_2	CH=CH	NHcPr
1-1079	NMe_2	CH=CH	NHcBu
1-1080	NMe_2	CH=CH	NHcPn
1-1081	NMe_2	CH=CH	NHcHx
1-1082	NMe_2	CH=CH	NHcHp
1-1083	NMe_2	CH=CH	NHcOc
1-1084	Aze	CH=CH	NHiPr
1-1085	Aze	CH=CH	NHsBu
1-1086	Aze	CH=CH	NH(1-MeBu)
1-1087	Aze	CH=CH	NH(1-MePn)
1-1088	Aze	CH=CH	NH(1-MeHx)
1-1089	Aze	CH=CH	NH(1-MeHp)
1-1090	Aze	CH=CH	NH(1-EtPr)

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1091	Aze	CH=CH	NH(1-EtBu)
1-1092	Aze	CH=CH	NH(1-EtPn)
1-1093	Aze	CH=CH	NH(1,2-diMePr)
1-1094	Aze	CH=CH	NH(1-PhEt)
1-1095	Aze	CH=CH	$NHCHPh_2$
1-1096	Aze	CH=CH	NH(1-Me-2-PhEt)
1-1097	Aze	CH=CH	NH(1,2-diPhEt)
1-1098	Aze	CH=CH	NHcPr
1-1099	Aze	CH=CH	NHcBu
1-1100	Aze	CH=CH	NHcPn
1-1101	Aze	CH=CH	NHcHx
1-1102	Aze	CH=CH	NHcHp
1-1103	Aze	CH=CH	NHcOc
1-1104	Azi	CH=CH	NHiPr
1-1105	Azi	CH=CH	NHsBu
1-1106	Azi	CH=CH	NH(1-MeBu)
1-1107	Azi	CH=CH	NH(1-MePn)
1-1108	Azi	CH=CH	NH(1-MeHx)
1-1109	Azi	CH=CH	NH(1-MeHp)
1-1110	Azi	CH=CH	NH(1-EtPr)
1-1111	Azi	CH=CH	NH(1-EtBu)
1-1112	Azi	CH=CH	NH(1-EtPn)
1-1113	Azi	CH=CH	NH(1,2-diMePr)
1-1114	Azi	CH=CH	NH(1-PhEt)
1-1115	Azi	CH=CH	$NHCHPh_2$
1-1116	Azi	CH=CH	NH(1-Me-2-PhEt)
1-1117	Azi	CH=CH	NH(1,2-diPhEt)
1-1118	Azi	CH=CH	NHcPr

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1119	Azi	CH=CH	NHcBu
1-1120	Azi	CH=CH	NHcPn
1-1121	Azi	CH=CH	NHcHx
1-1122	Azi	CH=CH	NHcHp
1-1123	Azi	CH=CH	NHcOc
1-1124	Pip	CH_2CH_2	NHiPr
1-1125	Pip	CH_2CH_2	NHsBu
1-1126	Pip	CH_2CH_2	NH(1-MeBu)
1-1127	Pip	CH_2CH_2	NH(1,2-diMePr)
1-1128	Pip	CH_2CH_2	NH(1-MePn)
1-1129	Pip	CH_2CH_2	NH(1,3-diMeBu)
1-1130	Pip	CH_2CH_2	NH(1,2-diMeBu)
1-1131	Pip	CH_2CH_2	NH(1-MeHx)
1-1132	Pip	CH_2CH_2	NH(1,4-diMePn)
1-1133	Pip	CH_2CH_2	NH(1-MeHp)
1-1134	Pip	CH_2CH_2	NH(1,5-diMeHx)
1-1135	Pip	CH_2CH_2	NH(1-EtPr)
1-1136	Pip	CH_2CH_2	NH(1-EtBu)
1-1137	Pip	CH_2CH_2	NH(1-Et-2-MePr)
1-1138	Pip	CH_2CH_2	NH(1-EtPn)
1-1139	Pip	CH_2CH_2	NH(1-Et-3-MeBu)
1-1140	Pip	CH_2CH_2	NH(1-EtHx)
1-1141	Pip	CH_2CH_2	NH(1-EtHp)
1-1142	Pip	CH_2CH_2	NH(1-PrBu)
1-1143	Pip	CH_2CH_2	NH(1-iPrBu)
1-1144	Pip	CH_2CH_2	NH(1-PrPn)
1-1145	Pip	CH_2CH_2	NH(1-PrHx)
1-1146	Pip	CH_2CH_2	NH(1-PrHp)

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1147	Pip	CH_2CH_2	NH(1-BuPn)
1-1148	Pip	CH_2CH_2	NH(1-PnHx)
1-1149	Pip	CH_2CH_2	NH(1-HxHp)
1-1150	Pip	CH_2CH_2	NH(1-PhEt)
1-1151	Pip	CH_2CH_2	NH(1-NaphEt)
1-1152	Pip	CH_2CH_2	NH(1-PhPr)
1-1153	Pip	CH_2CH_2	NH(1-PhBu)
1-1154	Pip	CH_2CH_2	$NHCHPh_2$
1-1155	Pip	CH_2CH_2	NHCHPh(4-MePh)
1-1156	Pip	CH_2CH_2	NHCHPh(4-MeOPh)
1-1157	Pip	CH_2CH_2	NHCHPh(4-FPh)
1-1158	Pip	CH_2CH_2	NHCHPh(4-ClPh)
1-1159	Pip	CH_2CH_2	NH(1-Me-2-PhEt)
1-1160	Pip	CH_2CH_2	NH(1-Me-3-PhPr)
1-1161	Pip	CH_2CH_2	NH(1-Et-2-PhEt)
1-1162	Pip	CH_2CH_2	NH[1-Me-2-(4-MePh)Et]
1-1163	Pip	CH_2CH_2	NH[1-Me-2-(4-MeOPh)Et]
1-1164	Pip	CH_2CH_2	NH[1-Me-2-(4-FPh)Et]
1-1165	Pip	CH_2CH_2	NH[1-Me-2-(4-ClPh)Et]
1-1166	Pip	CH_2CH_2	NH(1,2-diPhEt)
1-1167	Pip	CH_2CH_2	NH(1-Bz-2-PhEt)
1-1168	Pip	CH_2CH_2	NHcPr
1-1169	Pip	CH_2CH_2	NHcBu
1-1170	Pip	CH_2CH_2	NHcPn
1-1171	Pip	CH_2CH_2	NHcHx
1-1172	Pip	CH_2CH_2	NHcHp
1-1173	Pip	CH_2CH_2	NHcOc
1-1174	Pyr	CH_2CH_2	NHiPr

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1175	Pyr	CH_2CH_2	NHsBu
1-1176	Pyr	CH_2CH_2	NH(1-MeBu)
1-1177	Pyr	CH_2CH_2	NH(1-MePn)
1-1178	Pyr	CH_2CH_2	NH(1-MeHx)
1-1179	Pyr	CH_2CH_2	NH(1-MeHp)
1-1180	Pyr	CH_2CH_2	NH(1-EtPr)
1-1181	Pyr	CH_2CH_2	NH(1-EtBu)
1-1182	Pyr	CH_2CH_2	NH(1-EtPn)
1-1183	Pyr	CH_2CH_2	NH(1-PrBu)
1-1184	Pyr	CH_2CH_2	NH(1-BuPn)
1-1185	Pyr	CH_2CH_2	NH(1-PhEt)
1-1186	Pyr	CH_2CH_2	NH(1-NaphEt)
1-1187	Pyr	CH_2CH_2	NH(1-PhPr)
1-1188	Pyr	CH_2CH_2	$NHCHPh_2$
1-1189	Pyr	CH_2CH_2	NHCHPh(4-MePh)
1-1190	Pyr	CH_2CH_2	NHCHPh(4-MeOPh)
1-1191	Pyr	CH_2CH_2	NHCHPh(4-FPh)
1-1192	Pyr	CH_2CH_2	NHCHPh(4-ClPh)
1-1193	Pyr	CH_2CH_2	NH(1-Me-2-PhEt)
1-1194	Pyr	CH_2CH_2	NH[1-Me-2-(4-MePh)Et]
1-1195	Pyr	CH_2CH_2	NH[1-Me-2-(4-MeOPh)Et]
1-1196	Pyr	CH_2CH_2	NH[1-Me-2-(4-FPh)Et]
1-1197	Pyr	CH_2CH_2	NH(1-Bz-2-PhEt)
1-1198	Pyr	CH_2CH_2	NHcPr
1-1199	Pyr	CH_2CH_2	NHcBu
1-1200	Pyr	CH_2CH_2	NHcPn
1-1201	Pyr	CH_2CH_2	NHcHx
1-1202	Pyr	CH_2CH_2	NHcHp

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1203	Pyr	CH_2CH_2	NHcOc
1-1204	NMe_2	CH_2CH_2	NHiPr
1-1205	NMe_2	CH_2CH_2	NHsBu
1-1206	NMe_2	CH_2CH_2	NH(1-MeBu)
1-1207	NMe_2	CH_2CH_2	NH(1-MePn)
1-1208	NMe_2	CH_2CH_2	NH(1-MeHx)
1-1209	NMe_2	CH_2CH_2	NH(1-MeHp)
1-1210	NMe_2	CH_2CH_2	NH(1-EtPr)
1-1211	NMe_2	CH_2CH_2	NH(1-EtBu)
1-1212	NMe_2	CH_2CH_2	NH(1-EtPn)
1-1213	NMe_2	CH_2CH_2	NH(1-PrBu)
1-1214	NMe_2	CH_2CH_2	NH(1-BuPn)
1-1215	NMe_2	CH_2CH_2	NH(1-PhEt)
1-1216	NMe_2	CH_2CH_2	NH(1-NaphEt)
1-1217	NMe_2	CH_2CH_2	NH(1-PhPr)
1-1218	NMe_2	CH_2CH_2	$NHCHPh_2$
1-1219	NMe_2	CH_2CH_2	NHCHPh(4-MePh)
1-1220	NMe_2	CH_2CH_2	NHCHPh(4-MeOPh)
1-1221	NMe_2	CH_2CH_2	NHCHPh(4-FPh)
1-1222	NMe_2	CH_2CH_2	NHCHPh(4-ClPh)
1-1223	NMe_2	CH_2CH_2	NH(1-Me-2-PhEt)
1-1224	NMe_2	CH_2CH_2	NH[1-Me-2-(4-MePh)Et]
1-1225	NMe_2	CH_2CH_2	NH[1-Me-2-(4-MeOPh)Et]
1-1226	NMe_2	CH_2CH_2	NH[1-Me-2-(4-FPh)Et]
1-1227	NMe_2	CH_2CH_2	NH(1-Bz-2-PhEt)
1-1228	NMe_2	CH_2CH_2	NHcPr
1-1229	NMe_2	CH_2CH_2	NHcBu
1-1230	NMe_2	CH_2CH_2	NHcPn

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1231	NMe_2	CH_2CH_2	NHcHx
1-1232	NMe_2	CH_2CH_2	NHcHp
1-1233	NMe_2	CH_2CH_2	NHcOc
1-1234	Aze	CH_2CH_2	NHiPr
1-1235	Aze	CH_2CH_2	NHsBu
1-1236	Aze	CH_2CH_2	NH(1-MeBu)
1-1237	Aze	CH_2CH_2	NH(1-MePn)
1-1238	Aze	CH_2CH_2	NH(1-MeHx)
1-1239	Aze	CH_2CH_2	NH(1-MeHp)
1-1240	Aze	CH_2CH_2	NH(1-EtPr)
1-1241	Aze	CH_2CH_2	NH(1-EtBu)
1-1242	Aze	CH_2CH_2	NH(1-EtPn)
1-1243	Aze	CH_2CH_2	NH(1,2-diMePr)
1-1244	Aze	CH_2CH_2	NH(1-PhEt)
1-1245	Aze	CH_2CH_2	$NHCHPh_2$
1-1246	Aze	CH_2CH_2	NH(1-Me-2-PhEt)
1-1247	Aze	CH_2CH_2	NH(1,2-diPhEt)
1-1248	Aze	CH_2CH_2	NHcPr
1-1249	Aze	CH_2CH_2	NHcBu
1-1250	Aze	CH_2CH_2	NHcPn
1-1251	Aze	CH_2CH_2	NHcHx
1-1252	Aze	CH_2CH_2	NHcHp
1-1253	Aze	CH_2CH_2	NHcOc
1-1254	Azi	CH_2CH_2	NHiPr
1-1255	Azi	CH_2CH_2	NHsBu
1-1256	Azi	CH_2CH_2	NH(1-MeBu)
1-1257	Azi	CH_2CH_2	NH(1-MePn)
1-1258	Azi	CH_2CH_2	NH(1-MeHx)

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1259	Azi	CH_2CH_2	NH(1-MeHp)
1-1260	Azi	CH_2CH_2	NH(1-EtPr)
1-1261	Azi	CH_2CH_2	NH(1-EtBu)
1-1262	Azi	CH_2CH_2	NH(1-EtPn)
1-1263	Azi	CH_2CH_2	NH(1,2-diMePr)
1-1264	Azi	CH_2CH_2	NH(1-PhEt)
1-1265	Azi	CH_2CH_2	$NHCHPh_2$
1-1266	Azi	CH_2CH_2	NH(1-Me-2-PhEt)
1-1267	Azi	CH_2CH_2	NH(1,2-diPhEt)
1-1268	Azi	CH_2CH_2	NHcPr
1-1269	Azi	CH_2CH_2	NHcBu
1-1270	Azi	CH_2CH_2	NHcPn
1-1271	Azi	CH_2CH_2	NHcHx
1-1272	Azi	CH_2CH_2	NHcHp
1-1273	Azi	CH_2CH_2	NHcOc
1-1274	Pip	CH_2	NHiPr
1-1275	Pip	CH_2	NHsBu
1-1276	Pip	CH_2	NH(1-MeBu)
1-1277	Pip	CH_2	NH(1,2-diMePr)
1-1278	Pip	CH_2	NH(1-MePn)
1-1279	Pip	CH_2	NH(1,3-diMeBu)
1-1280	Pip	CH_2	NH(1,2-diMeBu)
1-1281	Pip	CH_2	NH(1-MeHx)
1-1282	Pip	CH_2	NH(1,4-diMePn)
1-1283	Pip	CH_2	NH(1-MeHp)
1-1284	Pip	CH_2	NH(1,5-diMeHx)
1-1285	Pip	CH_2	NH(1-EtPr)
1-1286	Pip	CH_2	NH(1-EtBu)

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1287	Pip	CH_2	NH(1-Et-2-MePr)
1-1288	Pip	CH_2	NH(1-EtPn)
1-1289	Pip	CH_2	NH(1-Et-3-MeBu)
1-1290	Pip	CH_2	NH(1-EtHx)
1-1291	Pip	CH_2	NH(1-EtHp)
1-1292	Pip	CH_2	NH(1-PrBu)
1-1293	Pip	CH_2	NH(1-iPrBu)
1-1294	Pip	CH_2	NH(1-PrPn)
1-1295	Pip	CH_2	NH(1-PrHx)
1-1296	Pip	CH_2	NH(1-PrHp)
1-1297	Pip	CH_2	NH(1-BuPn)
1-1298	Pip	CH_2	NH(1-PnHx)
1-1299	Pip	CH_2	NH(1-HxHp)
1-1300	Pip	CH_2	NH(1-PhEt)
1-1301	Pip	CH_2	NH(1-NaphEt)
1-1302	Pip	CH_2	NH(1-PhPr)
1-1303	Pip	CH_2	NH(1-PhBu)
1-1304	Pip	CH_2	$NHCHPh_2$
1-1305	Pip	CH_2	NHCHPh(4-MePh)
1-1306	Pip	CH_2	NHCHPh(4-MeOPh)
1-1307	Pip	CH_2	NHCHPh(4-FPh)
1-1308	Pip	CH_2	NHCHPh(4-ClPh)
1-1309	Pip	CH_2	NH(1-Me-2-PhEt)
1-1310	Pip	CH_2	NH(1-Me-3-PhPr)
1-1311	Pip	CH_2	NH(1-Et-2-PhEt)
1-1312	Pip	CH_2	NH[1-Me-2-(4-MePh)Et]
1-1313	Pip	CH_2	NH[1-Me-2-(4-MeOPh)Et]
1-1314	Pip	CH_2	NH[1-Me-2-(4-FPh)Et]

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1315	Pip	CH_2	NH[1-Me-2-(4-ClPh)Et]
1-1316	Pip	CH_2	NH(1,2-diPhEt)
1-1317	Pip	CH_2	NH(1-Bz-2-PhEt)
1-1318	Pip	CH_2	NHcPr
1-1319	Pip	CH_2	NHcBu
1-1320	Pip	CH_2	NHcPn
1-1321	Pip	CH_2	NHcHx
1-1322	Pip	CH_2	NHcHp
1-1323	Pip	CH_2	NHcOc
1-1324	Pip	$(CH_2)_3$	NHiPr
1-1325	Pip	$(CH_2)_3$	NHsBu
1-1326	Pip	$(CH_2)_3$	NH(1-MeBu)
1-1327	Pip	$(CH_2)_3$	NH(1-MePn)
1-1328	Pip	$(CH_2)_3$	NH(1-MeHx)
1-1329	Pip	$(CH_2)_3$	NH(1-MeHp)
1-1330	Pip	$(CH_2)_3$	NH(1-EtPr)
1-1331	Pip	$(CH_2)_3$	NH(1-EtBu)
1-1332	Pip	$(CH_2)_3$	NH(1-EtPn)
1-1333	Pip	$(CH_2)_3$	NH(1-PrBu)
1-1334	Pip	$(CH_2)_3$	NH(1-BuPn)
1-1335	Pip	$(CH_2)_3$	NH(1-PhEt)
1-1336	Pip	$(CH_2)_3$	NH(1-NaphEt)
1-1337	Pip	$(CH_2)_3$	NH(1-PhPr)
1-1338	Pip	$(CH_2)_3$	$NHCHPh_2$
1-1339	Pip	$(CH_2)_3$	NHCHPh(4-MePh)
1-1340	Pip	$(CH_2)_3$	NHCHPh(4-MeOPh)
1-1341	Pip	$(CH_2)_3$	NHCHPh(4-FPh)
1-1342	Pip	$(CH_2)_3$	NHCHPh(4-ClPh)

Table 1 (cont.)

Cpd. No.	R^1	A	R^2
1-1343	Pip	$(CH_2)_3$	NH(1-Me-2-PhEt)
1-1344	Pip	$(CH_2)_3$	NH[1-Me-2-(4-MePh)Et]
1-1345	Pip	$(CH_2)_3$	NH[1-Me-2-(4-MeOPh)Et]
1-1346	Pip	$(CH_2)_3$	NH[1-Me-2-(4-FPh)Et]
1-1347	Pip	$(CH_2)_3$	NH(1-Bz-2-PhEt)
1-1348	Pip	$(CH_2)_3$	NHcPr
1-1349	Pip	$(CH_2)_3$	NHcBu
1-1350	Pip	$(CH_2)_3$	NHcPn
1-1351	Pip	$(CH_2)_3$	NHcHx
1-1352	Pip	$(CH_2)_3$	NHcHp
1-1353	Pip	$(CH_2)_3$	NHcOc

Table 2

Cpd. No.	R^1	A	B	m	R^5
2-1	Pip	CH=CH	CH_2	0	CH_2OH
2-2	Pip	CH=CH	CH_2	0	2-HOEt
2-3	Pip	CH=CH	CH_2	0	2-FoOEt
2-4	Pip	CH=CH	CH_2	0	2-AcOEt
2-5	Pip	CH=CH	CH_2	0	2-PrnOEt
2-6	Pip	CH=CH	CH_2	0	2-ByrOEt
2-7	Pip	CH=CH	CH_2	0	2-iByrOEt
2-8	Pip	CH=CH	CH_2	0	2-ValOEt
2-9	Pip	CH=CH	CH_2	0	2-iValOEt
2-10	Pip	CH=CH	CH_2	0	2-(PhAcO)Et
2-11	Pip	CH=CH	CH_2	0	2-(HOOC.AcO)Et
2-12	Pip	CH=CH	CH_2	0	2-(3-HOOC.PrnO)Et
2-13	Pip	CH=CH	CH_2	0	2-(3-Mec.PrnO)Et
2-14	Pip	CH=CH	CH_2	0	2-(3-Etc.PrnO)Et
2-15	Pip	CH=CH	CH_2	0	2-(3-Prc.PrnO)Et
2-16	Pip	CH=CH	CH_2	0	2-(3-Phc.PrnO)Et
2-17	Pip	CH=CH	CH_2	0	2-[3-(4-MePhcO)PrnO]Et
2-18	Pip	CH=CH	CH_2	0	2-(3-PhPrnO)Et
2-19	Pip	CH=CH	CH_2	0	2-(3-PhPrnO)Et
2-20	Pip	CH=CH	CH_2	0	2-BozOEt
2-21	Pip	CH=CH	CH_2	0	2-(4-MeBozO)Et
2-22	Pip	CH=CH	CH_2	0	2-(4-MeOBozO)Et
2-23	Pip	CH=CH	CH_2	0	2-(4-FBozO)Et
2-24	Pip	CH=CH	CH_2	0	2-(4-ClBozO)Et
2-25	Pip	CH=CH	CH_2	0	2-(cPrCOO)Et
2-26	Pip	CH=CH	CH_2	0	2-(cBuCOO)Et

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-27	Pip	CH=CH	CH_2	0	2-(cPnCOO)Et
2-28	Pip	CH=CH	CH_2	0	2-(cHxCOO)Et
2-29	Pip	CH=CH	CH_2	0	2-HOPr
2-30	Pip	CH=CH	CH_2	0	2-FoOPr
2-31	Pip	CH=CH	CH_2	0	2-AcOPr
2-32	Pip	CH=CH	CH_2	0	2-PrnOPr
2-33	Pip	CH=CH	CH_2	0	2-(3-HOOC.PrnO)Pr
2-34	Pip	CH=CH	CH_2	0	2-(3-Mec.PrnO)Pr
2-35	Pip	CH=CH	CH_2	0	2-(3-Etc.PrnO)Pr
2-36	Pip	CH=CH	CH_2	0	2-(3-Phc.PrnO)Et
2-37	Pip	CH=CH	CH_2	0	2-[3-(4-MePhcO)PrnO]Et
2-38	Pip	CH=CH	CH_2	0	2-(PhAcO)Pr
2-39	Pip	CH=CH	CH_2	0	2-BozOPr
2-40	Pip	CH=CH	CH_2	0	2-(cPnCOO)Pr
2-41	Pip	CH=CH	CH_2	0	2-(cHxCOO)Pr
2-42	Pip	CH=CH	CH_2	0	3-HOPr
2-43	Pip	CH=CH	CH_2	0	3-FoOPr
2-44	Pip	CH=CH	CH_2	0	3-AcOPr
2-45	Pip	CH=CH	CH_2	0	3-PrnOPr
2-46	Pip	CH=CH	CH_2	0	3-(3-HOOC.PrnO)Pr
2-47	Pip	CH=CH	CH_2	0	3-(3-Mec.PrnO)Pr
2-48	Pip	CH=CH	CH_2	0	3-(3-Etc.PrnO)Pr
2-49	Pip	CH=CH	CH_2	0	3-BozOPr
2-50	Pip	CH=CH	CH_2	0	3-(cPnCOO)Pr
2-51	Pip	CH=CH	CH_2	0	3-(cHxCOO)Pr
2-52	Pip	CH=CH	CH_2	0	2-HOBu
2-53	Pip	CH=CH	CH_2	0	2-AcOBu
2-54	Pip	CH=CH	CH_2	0	2-(3-HOOC.PrnO)Bu

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-55	Pip	CH=CH	CH_2	0	2-BozOBu
2-56	Pip	CH=CH	CH_2	0	2-(cHxCOO)Bu
2-57	Pip	CH=CH	CH_2CH_2	0	2-HOEt
2-58	Pip	CH=CH	CH_2CH_2	0	2-FoOEt
2-59	Pip	CH=CH	CH_2CH_2	0	2-AcOEt
2-60	Pip	CH=CH	CH_2CH_2	0	2-PrnOEt
2-61	Pip	CH=CH	CH_2CH_2	0	2-ValOEt
2-62	Pip	CH=CH	CH_2CH_2	0	2-(PhAcO)Et
2-63	Pip	CH=CH	CH_2CH_2	0	2-(3-HOOC.PrnO)Et
2-64	Pip	CH=CH	CH_2CH_2	0	2-(3-Mec.PrnO)Et
2-65	Pip	CH=CH	CH_2CH_2	0	2-(3-Etc.PrnO)Et
2-66	Pip	CH=CH	CH_2CH_2	0	2-(3-PhPrnO)Et
2-67	Pip	CH=CH	CH_2CH_2	0	2-BozOEt
2-68	Pip	CH=CH	CH_2CH_2	0	2-(4-MeBozO)Et
2-69	Pip	CH=CH	CH_2CH_2	0	2-(4-FBozO)Et
2-70	Pip	CH=CH	CH_2CH_2	0	2-(4-ClBozO)Et
2-71	Pip	CH=CH	CH_2CH_2	0	2-(cPrCOO)Et
2-72	Pip	CH=CH	CH_2CH_2	0	2-(cBuCOO)Et
2-73	Pip	CH=CH	CH_2CH_2	0	2-(cPnCOO)Et
2-74	Pip	CH=CH	CH_2CH_2	0	2-(cHxCOO)Et
2-75	Pip	CH=CH	CH_2CH_2	0	2-HOPr
2-76	Pip	CH=CH	CH_2CH_2	0	2-FoOPr
2-77	Pip	CH=CH	CH_2CH_2	0	2-AcOPr
2-78	Pip	CH=CH	CH_2CH_2	0	2-PrnOPr
2-79	Pip	CH=CH	CH_2CH_2	0	2-(3-HOOC.PrnO)Pr
2-80	Pip	CH=CH	CH_2CH_2	0	2-(3-Mec.PrnO)Pr
2-81	Pip	CH=CH	CH_2CH_2	0	2-BozOPr
2-82	Pip	CH=CH	CH_2CH_2	0	2-(cPnCOO)Pr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-83	Pip	CH=CH	CH_2CH_2	0	2-(cHxCOO)Pr
2-84	Pip	CH=CH	CH_2CH_2	0	3-HOPr
2-85	Pip	CH=CH	CH_2CH_2	0	3-AcOPr
2-86	Pip	CH=CH	CH_2CH_2	0	3-PrnOPr
2-87	Pip	CH=CH	CH_2CH_2	0	3-(3-HOOC.PrnO)Pr
2-88	Pip	CH=CH	CH_2CH_2	0	3-BozOPr
2-89	Pip	CH=CH	CH_2CH_2	0	3-(cPnCOO)Pr
2-90	Pip	CH=CH	CH_2CH_2	0	3-(cHxCOO)Pr
2-91	Pip	CH=CH	CH_2CH_2	0	2-HOBu
2-92	Pip	CH=CH	CH_2CH_2	0	2-AcOBu
2-93	Pip	CH=CH	CH_2CH_2	0	2-(3-HOOC.PrnO)Bu
2-94	Pip	CH=CH	CH_2CH_2	0	2-BozOBu
2-95	Pip	CH=CH	CH_2CH_2	0	2-(cHxCOO)Bu
2-96	Pip	CH=CH	$(CH_2)_3$	0	2-HOEt
2-97	Pip	CH=CH	$(CH_2)_3$	0	2-FoOEt
2-98	Pip	CH=CH	$(CH_2)_3$	0	2-AcOEt
2-99	Pip	CH=CH	$(CH_2)_3$	0	2-PrnOEt
2-100	Pip	CH=CH	$(CH_2)_3$	0	2-ByrOEt
2-101	Pip	CH=CH	$(CH_2)_3$	0	2-iByrOEt
2-102	Pip	CH=CH	$(CH_2)_3$	0	2-ValOEt
2-103	Pip	CH=CH	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Et
2-104	Pip	CH=CH	$(CH_2)_3$	0	2-(3-Mec.PrnO)Et
2-105	Pip	CH=CH	$(CH_2)_3$	0	2-(3-Etc.PrnO)Et
2-106	Pip	CH=CH	$(CH_2)_3$	0	2-(PhAcO)Et
2-107	Pip	CH=CH	$(CH_2)_3$	0	2-BozOEt
2-108	Pip	CH=CH	$(CH_2)_3$	0	2-(4-MeBozO)Et
2-109	Pip	CH=CH	$(CH_2)_3$	0	2-(4-MeOBozO)Et
2-110	Pip	CH=CH	$(CH_2)_3$	0	2-(4-FBozO)Et

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-111	Pip	CH=CH	$(CH_2)_3$	0	2-(4-ClBozO)Et
2-112	Pip	CH=CH	$(CH_2)_3$	0	2-(cPrCOO)Et
2-113	Pip	CH=CH	$(CH_2)_3$	0	2-(cBuCOO)Et
2-114	Pip	CH=CH	$(CH_2)_3$	0	2-(cPnCOO)Et
2-115	Pip	CH=CH	$(CH_2)_3$	0	2-(cHxCOO)Et
2-116	Pip	CH=CH	$(CH_2)_3$	0	2-HOPr
2-117	Pip	CH=CH	$(CH_2)_3$	0	2-AcOPr
2-118	Pip	CH=CH	$(CH_2)_3$	0	2-PrnOPr
2-119	Pip	CH=CH	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Pr
2-120	Pip	CH=CH	$(CH_2)_3$	0	2-(3-Etc.PrnO)Pr
2-121	Pip	CH=CH	$(CH_2)_3$	0	2-BozOPr
2-122	Pip	CH=CH	$(CH_2)_3$	0	2-(cHxCOO)Pr
2-123	Pip	CH=CH	$(CH_2)_3$	0	3-HOPr
2-124	Pip	CH=CH	$(CH_2)_3$	0	3-AcOPr
2-125	Pip	CH=CH	$(CH_2)_3$	0	3-(3-HOOC.PrnO)Pr
2-126	Pip	CH=CH	$(CH_2)_3$	0	3-(3-Mec.PrnO)Pr
2-127	Pip	CH=CH	$(CH_2)_3$	0	3-BozOPr
2-128	Pip	CH=CH	$(CH_2)_3$	0	3-(cHxCOO)Pr
2-129	Pip	CH=CH	$(CH_2)_3$	0	2-HOBu
2-130	Pip	CH=CH	$(CH_2)_3$	0	2-AcOBu
2-131	Pip	CH=CH	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Bu
2-132	Pip	CH=CH	$(CH_2)_3$	0	2-BozOBu
2-133	Pip	CH=CH	$(CH_2)_3$	0	2-(cHxCOO)Bu
2-134	Pip	CH=CH	$(CH_2)_4$	0	2-HOEt
2-135	Pip	CH=CH	$(CH_2)_4$	0	2-FoOEt
2-136	Pip	CH=CH	$(CH_2)_4$	0	2-AcOEt
2-137	Pip	CH=CH	$(CH_2)_4$	0	2-PrnOEt
2-138	Pip	CH=CH	$(CH_2)_4$	0	2-(3-HOOC.PrnO)Et

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-139	Pip	CH=CH	$(CH_2)_4$	0	2-(3-Mec.PrnO)Et
2-140	Pip	CH=CH	$(CH_2)_4$	0	2-BozOEt
2-141	Pip	CH=CH	$(CH_2)_4$	0	2-(cHxCOO)Et
2-142	Pip	CH=CH	$(CH_2)_4$	0	2-HOPr
2-143	Pip	CH=CH	$(CH_2)_4$	0	2-AcOPr
2-144	Pip	CH=CH	$(CH_2)_4$	0	2-(3-HOOC.PrnO)Pr
2-145	Pip	CH=CH	$(CH_2)_4$	0	2-BozOPr
2-146	Pip	CH=CH	$(CH_2)_4$	0	2-(cHxCOO)Pr
2-147	Pip	CH=CH	$(CH_2)_4$	0	3-HOPr
2-148	Pip	CH=CH	$(CH_2)_4$	0	3-AcOPr
2-149	Pip	CH=CH	$(CH_2)_4$	0	3-(3-HOOC.PrnO)Pr
2-150	Pip	CH=CH	$(CH_2)_4$	0	3-BozOPr
2-151	Pip	CH=CH	$(CH_2)_4$	0	3-(cHxCOO)Pr
2-152	Pip	CH=CH	$(CH_2)_4$	0	2-HOBu
2-153	Pip	CH=CH	$(CH_2)_4$	0	2-AcOBu
2-154	Pip	CH=CH	$(CH_2)_4$	0	2-(3-HOOC.PrnO)Bu
2-155	Pip	CH=CH	$(CH_2)_4$	0	2-(cHxCOO)Bu
2-156	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-HOEt
2-157	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-AcOEt
2-158	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-(3-HOOC.PrnO)Et
2-159	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-BozOEt
2-160	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-(cHxCOO)Et
2-161	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-HOPr
2-162	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-AcOPr
2-163	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-BozOPr
2-164	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-(cHxCOO)Pr
2-165	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	3-HOPr
2-166	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	3-AcOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-167	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	3-(3-HOOC.PrnO)Pr
2-168	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	3-BozOPr
2-169	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	3-(cHxCOO)Pr
2-170	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-HOBu
2-171	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-AcOBu
2-172	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-(3-Etc.PrnO)Bu
2-173	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	2-(cHxCOO)Bu
2-174	Pip	CH=CH	$(CH_2)_5$	0	2-HOEt
2-175	Pip	CH=CH	$(CH_2)_5$	0	2-AcOEt
2-176	Pip	CH=CH	$(CH_2)_5$	0	2-(3-HOOC.PrnO)Et
2-177	Pip	CH=CH	$(CH_2)_5$	0	2-BozOEt
2-178	Pip	CH=CH	$(CH_2)_5$	0	2-(cHxCOO)Et
2-179	Pip	CH=CH	$(CH_2)_5$	0	2-HOPr
2-180	Pip	CH=CH	$(CH_2)_5$	0	2-AcOPr
2-181	Pip	CH=CH	$(CH_2)_5$	0	2-(3-HOOC.PrnO)Pr
2-182	Pip	CH=CH	$(CH_2)_5$	0	2-BozOPr
2-183	Pip	CH=CH	$(CH_2)_5$	0	2-(cHxCOO)Pr
2-184	Pip	CH=CH	$(CH_2)_5$	0	3-HOPr
2-185	Pip	CH=CH	$(CH_2)_5$	0	3-AcOPr
2-186	Pip	CH=CH	$(CH_2)_5$	0	3-(3-HOOC.PrnO)Pr
2-187	Pip	CH=CH	$(CH_2)_5$	0	3-BozOPr
2-188	Pip	CH=CH	$(CH_2)_5$	0	3-(cHxCOO)Pr
2-189	Pip	CH=CH	$(CH_2)_5$	0	2-HOBu
2-190	Pip	CH=CH	$(CH_2)_5$	0	2-AcOBu
2-191	Pip	CH=CH	$(CH_2)_5$	0	2-(3-HOOC.PrnO)Bu
2-192	Pip	CH=CH	$(CH_2)_5$	0	2-(cHxCOO)Bu
2-193	Pip	CH=CH	$(CH_2)_6$	0	2-HOEt
2-194	Pip	CH=CH	$(CH_2)_6$	0	2-AcOEt

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-195	Pip	CH=CH	$(CH_2)_6$	0	2-(3-HOOC.PrnO)Et
2-196	Pip	CH=CH	$(CH_2)_6$	0	2-(cHxCOO)Et
2-197	Pip	CH=CH	$(CH_2)_6$	0	2-HOPr
2-198	Pip	CH=CH	$(CH_2)_6$	0	2-AcOPr
2-199	Pip	CH=CH	$(CH_2)_6$	0	2-(3-HOOC.PrnO)Pr
2-200	Pip	CH=CH	$(CH_2)_6$	0	2-(cHxCOO)Pr
2-201	Pip	CH=CH	$(CH_2)_6$	0	3-HOPr
2-202	Pip	CH=CH	$(CH_2)_6$	0	3-AcOPr
2-203	Pip	CH=CH	$(CH_2)_6$	0	3-(3-HOOC.PrnO)Pr
2-204	Pip	CH=CH	$(CH_2)_6$	0	3-(cHxCOO)Pr
2-205	Pip	CH=CH	$(CH_2)_6$	0	2-HOBu
2-206	Pip	CH=CH	$(CH_2)_6$	0	2-AcOBu
2-207	Pip	CH=CH	$(CH_2)_6$	0	2-(3-HOOC.PrnO)Bu
2-208	Pip	CH=CH	$(CH_2)_6$	0	2-(cHxCOO)Bu
2-209	Pyr	CH=CH	CH_2	0	2-HOEt
2-210	Pyr	CH=CH	CH_2	0	2-FoOEt
2-211	Pyr	CH=CH	CH_2	0	2-AcOEt
2-212	Pyr	CH=CH	CH_2	0	2-PrnOEt
2-213	Pyr	CH=CH	CH_2	0	2-(3-HOOC.PrnO)Et
2-214	Pyr	CH=CH	CH_2	0	2-(3-Mec.PrnO)Et
2-215	Pyr	CH=CH	CH_2	0	2-(3-Etc.PrnO)Et
2-216	Pyr	CH=CH	CH_2	0	2-BozOEt
2-217	Pyr	CH=CH	CH_2	0	2-(cPnCOO)Et
2-218	Pyr	CH=CH	CH_2	0	2-(cHxCOO)Et
2-219	Pyr	CH=CH	CH_2	0	2-HOPr
2-220	Pyr	CH=CH	CH_2	0	2-AcOPr
2-221	Pyr	CH=CH	CH_2	0	2-(3-HOOC.PrnO)Pr
2-222	Pyr	CH=CH	CH_2	0	2-BozOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-223	Pyr	CH=CH	CH_2	0	2-(cHxCOO)Pr
2-224	Pyr	CH=CH	CH_2	0	3-HOPr
2-225	Pyr	CH=CH	CH_2	0	3-FoOPr
2-226	Pyr	CH=CH	CH_2	0	3-AcOPr
2-227	Pyr	CH=CH	CH_2	0	3-(3-HOOC.PrnO)Pr
2-228	Pyr	CH=CH	CH_2	0	3-(3-Mec.PrnO)Pr
2-229	Pyr	CH=CH	CH_2	0	3-BozOPr
2-230	Pyr	CH=CH	CH_2	0	3-(cHxCOO)Pr
2-231	Pyr	CH=CH	CH_2	0	2-HOBu
2-232	Pyr	CH=CH	CH_2	0	2-AcOBu
2-233	Pyr	CH=CH	CH_2CH_2	0	2-HOEt
2-234	Pyr	CH=CH	CH_2CH_2	0	2-AcOEt
2-235	Pyr	CH=CH	CH_2CH_2	0	2-(3-HOOC.PrnO)Et
2-236	Pyr	CH=CH	CH_2CH_2	0	2-(3-Mec.PrnO)Et
2-237	Pyr	CH=CH	CH_2CH_2	0	2-BozOEt
2-238	Pyr	CH=CH	CH_2CH_2	0	2-(cPnCOO)Et
2-239	Pyr	CH=CH	CH_2CH_2	0	2-(cHxCOO)Et
2-240	Pyr	CH=CH	CH_2CH_2	0	2-HOPr
2-241	Pyr	CH=CH	CH_2CH_2	0	2-AcOPr
2-242	Pyr	CH=CH	CH_2CH_2	0	2-(3-HOOC.PrnO)Pr
2-243	Pyr	CH=CH	CH_2CH_2	0	2-(cHxCOO)Pr
2-244	Pyr	CH=CH	CH_2CH_2	0	3-HOPr
2-245	Pyr	CH=CH	CH_2CH_2	0	3-AcOPr
2-246	Pyr	CH=CH	CH_2CH_2	0	3-(cHxCOO)Pr
2-247	Pyr	CH=CH	CH_2CH_2	0	2-HOBu
2-248	Pyr	CH=CH	CH_2CH_2	0	2-AcOBu
2-249	Pyr	CH=CH	$(CH_2)_3$	0	2-HOEt
2-250	Pyr	CH=CH	$(CH_2)_3$	0	2-AcOEt

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-251	Pyr	CH=CH	$(CH_2)_3$	0	2-PrnOEt
2-252	Pyr	CH=CH	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Et
2-253	Pyr	CH=CH	$(CH_2)_3$	0	2-BozOEt
2-254	Pyr	CH=CH	$(CH_2)_3$	0	2-(cPnCOO)Et
2-255	Pyr	CH=CH	$(CH_2)_3$	0	2-(cHxCOO)Et
2-256	Pyr	CH=CH	$(CH_2)_3$	0	2-HOPr
2-257	Pyr	CH=CH	$(CH_2)_3$	0	2-AcOPr
2-258	Pyr	CH=CH	$(CH_2)_3$	0	2-BozOPr
2-259	Pyr	CH=CH	$(CH_2)_3$	0	3-HOPr
2-260	Pyr	CH=CH	$(CH_2)_3$	0	3-AcOPr
2-261	Pyr	CH=CH	$(CH_2)_3$	0	3-(3-HOOC.PrnO)Pr
2-262	Pyr	CH=CH	$(CH_2)_3$	0	2-HOBu
2-263	Pyr	CH=CH	$(CH_2)_3$	0	2-AcOBu
2-264	Pyr	CH=CH	$(CH_2)_4$	0	2-HOEt
2-265	Pyr	CH=CH	$(CH_2)_4$	0	2-AcOEt
2-266	Pyr	CH=CH	$(CH_2)_4$	0	2-(3-HOOC.PrnO)Et
2-267	Pyr	CH=CH	$(CH_2)_4$	0	2-(cHxCOO)Et
2-268	Pyr	CH=CH	$(CH_2)_4$	0	2-HOPr
2-269	Pyr	CH=CH	$(CH_2)_4$	0	2-AcOPr
2-270	Pyr	CH=CH	$(CH_2)_4$	0	3-HOPr
2-271	Pyr	CH=CH	$(CH_2)_4$	0	3-AcOPr
2-272	Pyr	CH=CH	$(CH_2)_4$	0	2-HOBu
2-273	Pyr	CH=CH	$(CH_2)_4$	0	2-AcOBu
2-274	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	2-HOEt
2-275	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	2-AcOEt
2-276	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	2-HOPr
2-277	Pye	CH=CH	$CH_2CH(Me)CH_2$	0	2-AcOPr
2-278	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	3-HOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-279	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	3-AcOPr
2-280	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	2-HOBu
2-281	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	2-AcOBu
2-282	Pyr	CH=CH	$(CH_2)_5$	0	2-HOEt
2-283	Pyr	CH=CH	$(CH_2)_5$	0	2-AcOEt
2-284	Pyr	CH=CH	$(CH_2)_5$	0	2-HOPr
2-285	Pyr	CH=CH	$(CH_2)_5$	0	2-AcOPr
2-286	Pyr	CH=CH	$(CH_2)_5$	0	2-(cHxCOO)Pr
2-287	Pyr	CH=CH	$(CH_2)_5$	0	3-HOPr
2-288	Pyr	CH=CH	$(CH_2)_5$	0	3-AcOPr
2-289	Pyr	CH=CH	$(CH_2)_5$	0	2-AcOBu
2-290	Pyr	CH=CH	$(CH_2)_6$	0	2-HOEt
2-291	Pyr	CH=CH	$(CH_2)_6$	0	2-AcOEt
2-292	Pyr	CH=CH	$(CH_2)_6$	0	2-HOPr
2-293	Pyr	CH=CH	$(CH_2)_6$	0	2-AcOPr
2-294	Pyr	CH=CH	$(CH_2)_6$	0	3-HOPr
2-295	Pyr	CH=CH	$(CH_2)_6$	0	3-AcOPr
2-296	Pyr	CH=CH	$(CH_2)_6$	0	2-AcOBu
2-297	NMe_2	CH=CH	CH_2	0	2-HOEt
2-298	NMe_2	CH=CH	CH_2	0	2-AcOEt
2-299	NMe_2	CH=CH	CH_2	0	2-PrnOEt
2-300	NMe_2	CH=CH	CH_2	0	2-(3-HOOC.PrnO)Et
2-301	NMe_2	CH=CH	CH_2	0	2-(3-Mec.PrnO)Et
2-302	NMe_2	CH=CH	CH_2	0	2-BozOEt
2-303	NMe_2	CH=CH	CH_2	0	2-(cHxCOO)Et
2-304	NMe_2	CH=CH	CH_2	0	2-HOPr
2-305	NMe_2	CH=CH	CH_2	0	2-(cHxCOO)Pr
2-306	NMe_2	CH=CH	CH_2	0	3-HOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-307	NMe_2	CH=CH	CH_2	0	3-AcOPr
2-308	NMe_2	CH=CH	CH_2	0	2-HOBu
2-309	NMe_2	CH=CH	CH_2	0	2-AcOBu
2-310	NMe_2	CH=CH	CH_2CH_2	0	2-HOEt
2-311	NMe_2	CH=CH	CH_2CH_2	0	2-AcOEt
2-312	NMe_2	CH=CH	CH_2CH_2	0	3-HOPr
2-313	NMe_2	CH=CH	CH_2CH_2	0	3-AcOPr
2-314	NMe_2	CH=CH	$(CH_2)_3$	0	2-HOEt
2-315	NMe_2	CH=CH	$(CH_2)_3$	0	2-AcOEt
2-316	NMe_2	CH=CH	$(CH_2)_3$	0	2-PrnOEt
2-317	NMe_2	CH=CH	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Et
2-318	NMe_2	CH=CH	$(CH_2)_3$	0	2-BozOEt
2-319	NMe_2	CH=CH	$(CH_2)_3$	0	2-(cHxCOO)Et
2-320	NMe_2	CH=CH	$(CH_2)_3$	0	2-(cPnCOO)Pr
2-321	NMe_2	CH=CH	$(CH_2)_3$	0	2-(cHxCOO)Pr
2-322	NMe_2	CH=CH	$(CH_2)_3$	0	3-HOPr
2-323	NMe_2	CH=CH	$(CH_2)_3$	0	3-AcOPr
2-324	NMe_2	CH=CH	$(CH_2)_3$	0	2-HOBu
2-325	NMe_2	CH=CH	$(CH_2)_3$	0	2-AcOBu
2-326	NMe_2	CH=CH	$(CH_2)_4$	0	2-HOEt
2-327	NMe_2	CH=CH	$(CH_2)_4$	0	2-AcOEt
2-328	NMe_2	CH=CH	$(CH_2)_4$	0	3-HOPr
2-329	NMe_2	CH=CH	$(CH_2)_4$	0	3-AcOPr
2-330	NMe_2	CH=CH	$(CH_2)_4$	0	2-AcOBu
2-331	NMe_2	CH=CH	$CH_2CH(Me)CH_2$	0	2-HOEt
2-332	NMe_2	CH=CH	$CH_2CH(Me)CH_2$	0	2-AcOEt
2-333	NMe_2	CH=CH	$CH_2CH(Me)CH_2$	0	2-HOPr
2-334	NMe_2	CH=CH	$CH_2CH(Me)CH_2$	0	2-AcOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-335	NMe_2	CH=CH	$CH_2CH(Me)CH_2$	0	3-AcOPr
2-336	NMe_2	CH=CH	$CH_2CH(Me)CH_2$	0	2-AcOBu
2-337	NMe_2	CH=CH	$(CH_2)_5$	0	2-HOEt
2-338	NMe_2	CH=CH	$(CH_2)_5$	0	2-AcOEt
2-339	NMe_2	CH=CH	$(CH_2)_5$	0	3-HOPr
2-340	NMe_2	CH=CH	$(CH_2)_5$	0	3-AcOPr
2-341	NMe_2	CH=CH	$(CH_2)_6$	0	2-HOEt
2-342	NMe_2	CH=CH	$(CH_2)_6$	0	2-AcOEt
2-343	NMe_2	CH=CH	$(CH_2)_6$	0	3-HOPr
2-344	NMe_2	CH=CH	$(CH_2)_6$	0	3-AcOPr
2-345	NEt_2	CH=CH	CH_2	0	2-HOEt
2-346	NEt_2	CH=CH	CH_2	0	2-AcOEt
2-347	NEt_2	CH=CH	CH_2	0	2-PrnOEt
2-348	NEt_2	CH=CH	CH_2	0	2-(3-HOOC.PrnO)Et
2-349	NEt_2	CH=CH	CH_2	0	2-BozOEt
2-350	NEt_2	CH=CH	CH_2	0	2-(cHxCOO)Et
2-351	NEt_2	CH=CH	CH_2	0	3-HOPr
2-352	NEt_2	CH=CH	CH_2	0	3-AcOPr
2-353	NEt_2	CH=CH	CH_2	0	3-(3-HOOC.PrnO)Pr
2-354	NEt_2	CH=CH	CH_2	0	3-BozOPr
2-355	NEt_2	CH=CH	CH_2	0	3-(cHxCOO)Pr
2-356	NEt_2	CH=CH	CH_2	0	2-AcOBu
2-357	NEt_2	CH=CH	CH_2CH_2	0	2-HOEt
2-358	NEt_2	CH=CH	CH_2CH_2	0	2-AcOEt
2-359	NEt_2	CH=CH	CH_2CH_2	0	3-AcOPr
2-360	NEt_2	CH=CH	CH_2CH_2	0	3-BozOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-361	NEt_2	CH=CH	CH_2CH_2	0	2-AcOBu
2-362	NEt_2	CH=CH	$(CH_2)_3$	0	2-HOEt
2-363	NEt_2	CH=CH	$(CH_2)_3$	0	2-AcOEt
2-364	NEt_2	CH=CH	$(CH_2)_3$	0	3-HOPr
2-365	NEt_2	CH=CH	$(CH_2)_3$	0	3-AcOPr
2-366	NEt_2	CH=CH	$(CH_2)_3$	0	2-AcOBu
2-367	NEt_2	CH=CH	$(CH_2)_4$	0	2-HOEt
2-368	NEt_2	CH=CH	$(CH_2)_4$	0	2-AcOEt
2-369	NEt_2	CH=CH	$(CH_2)_4$	0	3-HOPr
2-370	NEt_2	CH=CH	$(CH_2)_4$	0	3-AcOPr
2-371	NEt_2	CH=CH	$(CH_2)_4$	0	2-AcOBu
2-372	NEt_2	CH=CH	$CH_2CH(Me)CH_2$	0	2-HOEt
2-373	NEt_2	CH=CH	$CH_2CH(Me)CH_2$	0	2-AcOEt
2-374	NEt_2	CH=CH	$CH_2CH(Me)CH_2$	0	2-HOPr
2-375	NEt_2	CH=CH	$CH_2CH(Me)CH_2$	0	2-AcOPr
2-376	NEt_2	CH=CH	$CH_2CH(Me)CH_2$	0	3-HOPr
2-377	NEt_2	CH=CH	$CH_2CH(Me)CH_2$	0	3-AcOPr
2-378	NEt_2	CH=CH	$(CH_2)_5$	0	2-HOEt
2-379	NEt_2	CH=CH	$(CH_2)_5$	0	2-AcOEt
2-380	NEt_2	CH=CH	$(CH_2)_5$	0	3-HOPr
2-381	NEt_2	CH=CH	$(CH_2)_5$	0	3-AcOPr
2-382	NEt_2	CH=CH	$(CH_2)_5$	0	2-AcOBu
2-383	NEt_2	CH=CH	$(CH_2)_6$	0	2-HOEt
2-384	NEt_2	CH=CH	$(CH_2)_6$	0	2-AcOEt
2-385	NEt_2	CH=CH	$(HC2)_6$	0	3-HOPr
2-386	NEt_2	CH=CH	$(CH_2)_6$	0	3-AcOPr
2-387	NEt_2	CH=CH	$(CH_2)_6$	0	2-AcOBu
2-388	Azi	CH=CH	CH_2	0	2-AcOEt

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-389	Aze	CH=CH	CH_2	0	2-AcOEt
2-390	Pip	CH=CH	CH_2	1	2-HOEt
2-391	Pip	CH=CH	CH_2	1	2-AcOEt
2-392	Pip	CH=CH	CH_2	1	2-PrnOEt
2-393	Pip	CH=CH	CH_2	1	2-(3-HOOC.PrnO)Et
2-394	Pip	CH=CH	CH_2	1	2-(3-Mec.PrnO)Et
2-395	Pip	CH=CH	CH_2	1	2-BozOEt
2-396	Pip	CH=CH	CH_2	1	2-(cHxCOO)Et
2-397	Pip	CH=CH	CH_2	1	2-HOPr
2-398	Pip	CH=CH	CH_2	1	2-FoOPr
2-399	Pip	CH=CH	CH_2	1	2-AcOPr
2-400	Pip	CH=CH	CH_2	1	2-(3-HOOC.PrnO)Pr
2-401	Pip	CH=CH	CH_2	1	2-(cHxCOO)Pr
2-402	Pip	CH=CH	CH_2	1	3-HOPr
2-403	Pip	CH=CH	CH_2	1	3-AcOPr
2-404	Pip	CH=CH	CH_2	1	3-(3-HOOC.PrnO)Pr
2-405	Pip	CH=CH	CH_2	1	3-BozOPr
2-406	Pip	CH=CH	CH_2	1	3-(cHxCOO)Pr
2-407	Pip	CH=CH	CH_2	1	2-HOBu
2-408	Pip	CH=CH	CH_2	1	2-AcOBu
2-409	Pip	CH=CH	CH_2CH_2	1	2-HOEt
2-410	Pip	CH=CH	CH_2CH_2	1	2-AcOEt
2-411	Pip	CH=CH	CH_2CH_2	1	2-(3-HOOC.PrnO)Et
2-412	Pip	CH=CH	CH_2CH_2	1	2-BozOEt
2-413	Pip	CH=CH	CH_2CH_2	1	2-(cHxCOO)Et
2-414	Pip	CH=CH	CH_2CH_2	1	3-HOPr
2-415	Pip	CH=CH	CH_2CH_2	1	3-AcOPr
2-416	Pip	CH=CH	CH_2CH_2	1	2-HOBu

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-417	Pip	CH=CH	CH_2CH_2	1	2-AcOBu
2-418	Pip	CH=CH	$(CH_2)_3$	1	2-HOEt
2-419	Pip	CH=CH	$(CH_2)_3$	1	2-AcOEt
2-420	Pip	CH=CH	$(CH_2)_3$	1	2-PrnOEt
2-421	Pip	CH=CH	$(CH_2)_3$	1	2-(3-HOOC.PrnO)Et
2-422	Pip	CH=CH	$(CH_2)_3$	1	2-BozOEt
2-423	Pip	CH=CH	$(CH_2)_3$	1	2-(cHxCOO)Et
2-424	Pip	CH=CH	$(CH_2)_3$	1	2-HOPr
2-425	Pip	CH=CH	$(CH_2)_3$	1	2-AcOPr
2-426	Pip	CH=CH	$(CH_2)_3$	1	2-(3-HOOC.PrnO)Pr
2-427	Pip	CH=CH	$(CH_2)_3$	1	2-(cPnCOO)Pr
2-428	Pip	CH=CH	$(CH_2)_3$	1	2-(cHxCOO)Pr
2-429	Pip	CH=CH	$(CH_2)_3$	1	3-HOPr
2-430	Pip	CH=CH	$(CH_2)_3$	1	3-AcOPr
2-431	Pip	CH=CH	$(CH_2)_3$	1	2-HOBu
2-432	Pip	CH=CH	$(CH_2)_3$	1	2-AcOBu
2-433	Pip	CH=CH	$(CH_2)_4$	1	2-HOEt
2-434	Pip	CH=CH	$(CH_2)_4$	1	2-AcOEt
2-435	Pip	CH=CH	$(CH_2)_4$	1	2-(cHxCOO)Et
2-436	Pip	CH=CH	$(CH_2)_4$	1	2-HOPr
2-437	Pip	CH=CH	$(CH_2)_4$	1	2-AcOPr
2-438	Pip	CH=CH	$(CH_2)_4$	1	3-HOPr
2-439	Pip	CH=CH	$(CH_2)_4$	1	3-AcOPr
2-440	Pip	CH=CH	$(CH_2)_4$	1	2-AcOBu
2-441	Pip	CH=CH	$CH_2CH(Me)CH_2$	1	2-HOEt
2-442	Pip	CH=CH	$CH_2CH(Me)CH_2$	1	2-AcOEt
2-443	Pip	CH=CH	$CH_2CH(Me)CH_2$	1	2-HOPr
2-444	Pip	CH=CH	$CH_2CH(Me)CH_2$	1	2-AcOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-445	Pip	CH=CH	$CH_2CH(Me)CH_2$	1	3-AcOPr
2-446	Pip	CH=CH	$CH_2CH(Me)CH_2$	1	2-AcOBu
2-447	Pip	CH=CH	$(CH_2)_5$	1	2-HOEt
2-448	Pip	CH=CH	$(CH_2)_5$	1	2-AcOEt
2-449	Pip	CH=CH	$(CH_2)_5$	1	2-HOPr
2-450	Pip	CH=CH	$(CH_2)_5$	1	2-AcOPr
2-451	Pip	CH=CH	$(CH_2)_5$	1	3-HOPr
2-452	Pip	CH=CH	$(CH_2)_5$	1	3-AcOPr
2-453	Pip	CH=CH	$(CH_2)_5$	1	2-AcOBu
2-454	Pip	CH=CH	$(CH_2)6$	1	2-HOEt
2-455	Pip	CH=CH	$(CH_2)6$	1	2-AcOEt
2-456	Pip	CH=CH	$(CH_2)6$	1	2-HOPr
2-457	Pip	CH=CH	$(CH_2)6$	1	2-AcOPr
2-458	Pip	CH=CH	$(CH_2)6$	1	3-HOPr
2-459	Pip	CH=CH	$(CH_2)6$	1	3-AcOPr
2-460	Pip	CH=CH	CH_2	2	2-HOEt
2-461	Pip	CH=CH	CH_2	2	2-AcOEt
2-462	Pip	CH=CH	CH_2	2	2-PrnOEt
2-463	Pip	CH=CH	CH_2	2	2-(3-HOOC.PrnO)Et
2-464	Pip	CH=CH	CH_2	2	2-BozOEt
2-465	Pip	CH=CH	CH_2	2	2-(cHxCOO)Et
2-466	Pip	CH=CH	CH_2	2	3-HOPr
2-467	Pip	CH=CH	CH_2	2	3-AcOPr
2-468	Pip	CH=CH	CH_2	2	3-(3-HOOC.PrnO)Pr
2-469	Pip	CH=CH	CH_2	2	3-BozOPr
2-470	Pip	CH=CH	CH_2	2	3-(cHxCOO)Pr
2-471	Pip	CH=CH	CH_2	2	2-AcOBu
2-472	Pip	CH=CH	CH_2CH_2	2	2-HOEt

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-473	Pip	CH=CH	CH_2CH_2	2	2-AcOEt
2-474	Pip	CH=CH	CH_2CH_2	2	3-AcOPr
2-475	Pip	CH=CH	CH_2CH_2	2	3-BozOPr
2-476	Pip	CH=CH	CH_2CH_2	2	2-AcOBu
2-477	Pip	CH=CH	$(CH_2)3$	2	2-HOEt
2-478	Pip	CH=CH	$(CH_2)3$	2	2-AcOEt
2-479	Pip	CH=CH	$(CH_2)3$	2	3-HOPr
2-480	Pip	CH=CH	$(CH_2)3$	2	3-AcOPr
2-481	Pip	CH=CH	$(CH_2)3$	2	2-AcOBu
2-482	Pip	CH=CH	$(CH_2)4$	2	2-HOEt
2-483	Pip	CH=CH	$(CH_2)4$	2	2-AcOEt
2-484	Pip	CH=CH	$(CH_2)4$	2	3-HOPr
2-485	Pip	CH=CH	$(CH_2)4$	2	3-AcOPr
2-486	Pip	CH=CH	$(CH_2)4$	2	2-AcOBu
2-487	Pip	CH=CH	$CH_2CH(Me)CH_2$	2	2-HOEt
2-488	Pip	CH=CH	$CH_2CH(Me)CH_2$	2	2-AcOEt
2-489	Pip	CH=CH	$CH_2CH(Me)CH_2$	2	2-HOPr
2-490	Pip	CH=CH	$CH_2CH(Me)CH_2$	2	2-AcOPr
2-491	Pip	CH=CH	$CH_2CH(Me)CH_2$	2	3-HOPr
2-492	Pip	CH=CH	$CH_2CH(Me)CH_2$	2	3-AcOPr
2-493	Pip	CH=CH	$(CH_2)_5$	2	2-HOEt
2-494	Pip	CH=CH	$(CH_2)_5$	2	2-AcOEt
2-495	Pip	CH=CH	$(CH_2)_5$	2	3-HOPr
2-496	Pip	CH=CH	$(CH_2)_5$	2	3-AcOPr
2-497	Pip	CH=CH	$(CH_2)_5$	2	2-AcOBu
2-498	Pip	CH=CH	$(CH_2)_6$	2	2-HOEt
2-499	Pip	CH=CH	$(CH_2)_6$	2	2-AcOEt
2-500	Pip	CH=CH	$(CH_2)_6$	2	3-HOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-501	Pip	CH=CH	$(CH_2)_6$	2	3-AcOPr
2-502	Pip	CH=CH	$(CH_2)_6$	2	2-AcOBu
2-503	Pyr	CH=CH	CH_2	1	2-AcOEt
2-504	Pyr	CH=CH	CH_2	1	2-AcOEt
2-505	Pip	CH_2CH_2	CH_2	0	CH_2OH
2-506	Pip	CH_2CH_2	CH_2	0	2-HOEt
2-507	Pip	CH_2CH_2	CH_2	0	2-FoOEt
2-508	Pip	CH_2CH_2	CH_2	0	2-AcOEt
2-509	Pip	CH_2CH_2	CH_2	0	2-PrnOEt
2-510	Pip	CH_2CH_2	CH_2	0	2-ByrOEt
2-511	Pip	CH_2CH_2	CH_2	0	2-iByrOEt
2-512	Pip	CH_2CH_2	CH_2	0	2-ValOEt
2-513	Pip	CH_2CH_2	CH_2	0	2-iValOEt
2-514	Pip	CH_2CH_2	CH_2	0	2-(PhAcO)Et
2-515	Pip	CH_2CH_2	CH_2	0	2-(HOOC.AcO)Et
2-516	Pip	CH_2CH_2	CH_2	0	2-(3-HOOC.PrnO)Et
2-517	Pip	CH_2CH_2	CH_2	0	2-(3-Mec.PrnO)Et
2-518	Pip	CH_2CH_2	CH_2	0	2-(3-Etc.PrnO)Et
2-519	Pip	CH_2CH_2	CH_2	0	2-(3-Prc.PrnO)Et
2-520	Pip	CH_2CH_2	CH_2	0	2-(3-Phc.PrnO)Et
2-521	Pip	CH_2CH_2	CH_2	0	2-[3-(4-MePhcO)PrnO]Et
2-522	Pip	CH_2CH_2	CH_2	0	2-(3-PhPrnO)Et
2-523	Pip	CH_2CH_2	CH_2	0	2-(3-PhPrnO)Et
2-524	Pip	CH_2CH_2	CH_2	0	2-BozOEt
2-525	Pip	CH_2CH_2	CH_2	0	2-(4-MeBozO)Et
2-526	Pip	CH_2CH_2	CH_2	0	2-(4-MeOBozO)Et
2-527	Pip	CH_2CH_2	CH_2	0	2-(4-FBozO)Et

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-528	Pip	CH_2CH_2	CH_2	0	2-(4-ClBozO)Et
2-529	Pip	CH_2CH_2	CH_2	0	2-(cPrCOO)Et
2-530	Pip	CH_2CH_2	CH_2	0	2-(cBuCOO)Et
2-531	Pip	CH_2CH_2	CH_2	0	2-(cPnCOO)Et
2-532	Pip	CH_2CH_2	CH_2	0	2-(cHxCOO)Et
2-533	Pip	CH_2CH_2	CH_2	0	2-HOPr
2-534	Pip	CH_2CH_2	CH_2	0	2-FoOPr
2-535	Pip	CH_2CH_2	CH_2	0	2-AcOPr
2-536	Pip	CH_2CH_2	CH_2	0	2-PrnOPr
2-537	Pip	CH_2CH_2	CH_2	0	2-(3-HOOC.PrnO)Pr
2-538	Pip	CH_2CH_2	CH_2	0	2-(3-Mec.PrnO)Pr
2-539	Pip	CH_2CH_2	CH_2	0	2-(3-Etc.PrnO)Pr
2-540	Pip	CH_2CH_2	CH_2	0	2-(3-Phc.PrnO)Et
2-541	Pip	CH_2CH_2	CH_2	0	2-[3-(4-MePhcO)PrnO]Et
2-542	Pip	CH_2CH_2	CH_2	0	2-(PhAcO)Pr
2-543	Pip	CH_2CH_2	CH_2	0	2-BozOPr
2-544	Pip	CH_2CH_2	CH_2	0	2-(cPnCOO)Pr
2-545	Pip	CH_2CH_2	CH_2	0	2-(cHxCOO)Pr
2-546	Pip	CH_2CH_2	CH_2	0	3-HOPr
2-547	Pip	CH_2CH_2	CH_2	0	3-FoOPr
2-548	Pip	CH_2CH_2	CH_2	0	3-AcOPr
2-549	Pip	CH_2CH_2	CH_2	0	3-PrnOPr
2-550	Pip	CH_2CH_2	CH_2	0	3-(3-HOOC.PrnO)Pr
2-551	Pip	CH_2CH_2	CH_2	0	3-(3-Mec.PrnO)Pr
2-552	Pip	CH_2CH_2	CH_2	0	3-(3-Etc.PrnO)Pr
2-553	Pip	CH_2CH_2	CH_2	0	3-BozOPr
2-554	Pip	CH_2CH_2	CH_2	0	3-(cPnCOO)Pr
2-555	Pip	CH_2CH_2	CH_2	0	3-(cHxCOO)Pr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-556	Pip	CH_2CH_2	CH_2	0	2-HOBu
2-557	Pip	CH_2CH_2	CH_2	0	2-AcOBu
2-558	Pip	CH_2CH_2	CH_2	0	2-(3-HOOC.PrnO)Bu
2-559	Pip	CH_2CH_2	CH_2	0	2-BozOBu
2-560	Pip	CH_2CH_2	CH_2	0	2-(cHxCOO)Bu
2-561	Pip	CH_2CH_2	CH_2CH_2	0	2-HOEt
2-562	Pip	CH_2CH_2	CH_2CH_2	0	2-FoOEt
2-563	Pip	CH_2CH_2	CH_2CH_2	0	2-AcOEt
2-564	Pip	CH_2CH_2	CH_2CH_2	0	2-PrnOEt
2-565	Pip	CH_2CH_2	CH_2CH_2	0	2-ValOEt
2-566	Pip	CH_2CH_2	CH_2CH_2	0	2-(PhAcO)Et
2-567	Pip	CH_2CH_2	CH_2CH_2	0	2-(3-HOOC.PrnO)Et
2-568	Pip	CH_2CH_2	CH_2CH_2	0	2-(3-Mec.PrnO)Et
2-569	Pip	CH_2CH_2	CH_2CH_2	0	2-(3-Etc.PrnO)Et
2-570	Pip	CH_2CH_2	CH_2CH_2	0	2-(3-PhPrnO)Et
2-571	Pip	CH_2CH_2	CH_2CH_2	0	2-BozOEt
2-572	Pip	CH_2CH_2	CH_2CH_2	0	2-(4-MeBozO)Et
2-573	Pip	CH_2CH_2	CH_2CH_2	0	2-(4-FBozO)Et
2-574	Pip	CH_2CH_2	CH_2CH_2	0	2-(4-ClBozO)Et
2-575	Pip	CH_2CH_2	CH_2CH_2	0	2-(cPrCOO)Et
2-576	Pip	CH_2CH_2	CH_2CH_2	0	2-(cBuCOO)Et
2-577	Pip	CH_2CH_2	CH_2CH_2	0	2-(cPnCOO)Et
2-578	Pip	CH_2CH_2	CH_2CH_2	0	2-(cHxCOO)Et
2-579	Pip	CH_2CH_2	CH_2CH_2	0	2-HOPr
2-580	Pip	CH_2CH_2	CH_2CH_2	0	2-FoOPr
2-581	Pip	CH_2CH_2	CH_2CH_2	0	2-AcOPr
2-582	Pip	CH_2CH_2	CH_2CH_2	0	2-PrnOPr
2-583	Pip	CH_2CH_2	CH_2CH_2	0	2-(3-HOOC.PrnO)Pr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-584	Pip	CH_2CH_2	CH_2CH_2	0	2-(3-Mec.PrnO)Pr
2-585	Pip	CH_2CH_2	CH_2CH_2	0	2-BozOPr
2-586	Pip	CH_2CH_2	CH_2CH_2	0	2-(cPnCOO)Pr
2-587	Pip	CH_2CH_2	CH_2CH_2	0	2-(cHxCOO)Pr
2-588	Pip	CH_2CH_2	CH_2CH_2	0	3-HOPr
2-589	Pip	CH_2CH_2	CH_2CH_2	0	3-AcOPr
2-590	Pip	CH_2CH_2	CH_2CH_2	0	3-PrnOPr
2-591	Pip	CH_2CH_2	CH_2CH_2	0	3-(3-HOOC.PrnO)Pr
2-592	Pip	CH_2CH_2	CH_2CH_2	0	3-BozOPr
2-593	Pip	CH_2CH_2	CH_2CH_2	0	3-(cPnCOO)Pr
2-594	Pip	CH_2CH_2	CH_2CH_2	0	3-(cHxCOO)Pr
2-595	Pip	CH_2CH_2	CH_2CH_2	0	2-HOBu
2-596	Pip	CH_2CH_2	CH_2CH_2	0	2-AcOBu
2-597	Pip	CH_2CH_2	CH_2CH_2	0	2-(3-HOOC.PrnO)Bu
2-598	Pip	CH_2CH_2	CH_2CH_2	0	2-BozOBu
2-599	Pip	CH_2CH_2	CH_2CH_2	0	2-(cHxCOO)Bu
2-600	Pip	CH_2CH_2	$(CH_2)_3$	0	2-HOEt
2-601	Pip	CH_2CH_2	$(CH_2)_3$	0	2-FoOEt
2-602	Pip	CH_2CH_2	$(CH_2)_3$	0	2-AcOEt
2-603	Pip	CH_2CH_2	$(CH_2)_3$	0	2-PrnOEt
2-604	Pip	CH_2CH_2	$(CH_2)_3$	0	2-ByrOEt
2-605	Pip	CH_2CH_2	$(CH_2)_3$	0	2-iByrOEt
2-606	Pip	CH_2CH_2	$(CH_2)_3$	0	2-ValOEt
2-607	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Et
2-608	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(3-Mec.PrnO)Et
2-609	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(3-Etc.PrnO)Et
2-610	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(PhAcO)Et
2-611	Pip	CH_2CH_2	$(CH_2)_3$	0	2-BozOEt

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-612	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(4-MeBozO)Et
2-613	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(4-MeOBozO)Et
2-614	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(4-FBozO)Et
2-615	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(4-ClBozO)Et
2-616	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(cPrCOO)Et
2-617	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(cBuCOO)Et
2-618	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(cPnCOO)Et
2-619	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(cHxCOO)Et
2-620	Pip	CH_2CH_2	$(CH_2)_3$	0	2-HOPr
2-621	Pip	CH_2CH_2	$(CH_2)_3$	0	2-AcOPr
2-622	Pip	CH_2CH_2	$(CH_2)_3$	0	2-PrnOPr
2-623	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Pr
2-624	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(3-Etc.PrnO)Pr
2-625	Pip	CH_2CH_2	$(CH_2)_3$	0	2-BozOPr
2-626	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(cHxCOO)Pr
2-627	Pip	CH_2CH_2	$(CH_2)_3$	0	3-HOPr
2-628	Pip	CH_2CH_2	$(CH_2)_3$	0	3-AcOPr
2-629	Pip	CH_2CH_2	$(CH_2)_3$	0	3-(3-HOOC.PrnO)Pr
2-630	Pip	CH_2CH_2	$(CH_2)_3$	0	3-(3-Mec.PrnO)Pr
2-631	Pip	CH_2CH_2	$(CH_2)_3$	0	3-BozOPr
2-632	Pip	CH_2CH_2	$(CH_2)_3$	0	3-(cHxCOO)Pr
2-633	Pip	CH_2CH_2	$(CH_2)_3$	0	2-HOBu
2-634	Pip	CH_2CH_2	$(CH_2)_3$	0	2-AcOBu
2-635	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Bu
2-636	Pip	CH_2CH_2	$(CH_2)_3$	0	2-BozOBu
2-637	Pip	CH_2CH_2	$(CH_2)_3$	0	2-(cHxCOO)Bu
2-638	Pip	CH_2CH_2	$(CH_2)_4$	0	2-HOEt
2-639	Pip	CH_2CH_2	$(CH_2)_4$	0	2-FoOEt

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-640	Pip	CH_2CH_2	$(CH_2)_4$	0	2-AcOEt
2-641	Pip	CH_2CH_2	$(CH_2)_4$	0	2-PrnOEt
2-642	Pip	CH_2CH_2	$(CH_2)_4$	0	2-(3-HOOC.PrnO)Et
2-643	Pip	CH_2CH_2	$(CH_2)_4$	0	2-(3-Mec.PrnO)Et
2-644	Pip	CH_2CH_2	$(CH_2)_4$	0	2-BozOEt
2-645	Pip	CH_2CH_2	$(CH_2)_4$	0	2-(cHxCOO)Et
2-646	Pip	CH_2CH_2	$(CH_2)_4$	0	2-HOPr
2-647	Pip	CH_2CH_2	$(CH_2)_4$	0	2-AcOPr
2-648	Pip	CH_2CH_2	$(CH_2)_4$	0	2-(3-HOOC.PrnO)Pr
2-649	Pip	CH_2CH_2	$(CH_2)_4$	0	2-BozOPr
2-650	Pip	CH_2CH_2	$(CH_2)_4$	0	2-(cHxCOO)Pr
2-651	Pip	CH_2CH_2	$(CH_2)_4$	0	3-HOPr
2-652	Pip	CH_2CH_2	$(CH_2)_4$	0	3-AcOPr
2-653	Pip	CH_2CH_2	$(CH_2)_4$	0	3-(3-HOOC.PrnO)Pr
2-654	Pip	CH_2CH_2	$(CH_2)_4$	0	3-BozOPr
2-655	Pip	CH_2CH_2	$(CH_2)_4$	0	3-(cHxCOO)Pr
2-656	Pip	CH_2CH_2	$(CH_2)_4$	0	2-HOBu
2-657	Pip	CH_2CH_2	$(CH_2)_4$	0	2-AcOBu
2-658	Pip	CH_2CH_2	$(CH_2)_4$	0	2-(3-HOOC.PrnO)Bu
2-659	Pip	CH_2CH_2	$(CH_2)_4$	0	2-(cHxCOO)Bu
2-660	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-HOEt
2-661	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-AcOEt
2-662	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-(3-HOOC.PrnO)Et
2-663	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-BozOEt
2-664	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-(cHxCOO)Et
2-665	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-HOPr
2-666	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-AcOPr
2-667	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-BozOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-668	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-(cHxCOO)Pr
2-669	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	3-HOPr
2-670	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	3-AcOPr
2-671	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	3-(3-HOOC.PrnO)Pr
2-672	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	3-BozOPr
2-673	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	3-(cHxCOO)Pr
2-674	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-HOBu
2-675	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-AcOBu
2-676	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-(3-Etc.PrnO)Bu
2-677	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-(cHxCOO)Bu
2-678	Pip	CH_2CH_2	$(CH_2)_5$	0	2-HOEt
2-679	Pip	CH_2CH_2	$(CH_2)_5$	0	2-AcOEt
2-680	Pip	CH_2CH_2	$(CH_2)_5$	0	2-(3-HOOC.PrnO)Et
2-681	Pip	CH_2CH_2	$(CH_2)_5$	0	2-BozOEt
2-682	Pip	CH_2CH_2	$(CH_2)_5$	0	2-(cHxCOO)Et
2-683	Pip	CH_2CH_2	$(CH_2)_5$	0	2-HOPr
2-684	Pip	CH_2CH_2	$(CH_2)_5$	0	2-AcOPr
2-685	Pip	CH_2CH_2	$(CH_2)_5$	0	2-(3-HOOC.PrnO)Pr
2-686	Pip	CH_2CH_2	$(CH_2)_5$	0	2-BozOPr
2-687	Pip	CH_2CH_2	$(CH_2)_5$	0	2-(cHxCOO)Pr
2-688	Pip	CH_2CH_2	$(CH_2)_5$	0	3-HOPr
2-689	Pip	CH_2CH_2	$(CH_2)_5$	0	3-AcOPr
2-690	Pip	CH_2CH_2	$(CH_2)_5$	0	3-(3-HOOC.PrnO)Pr
2-691	Pip	CH_2CH_2	$(CH_2)_5$	0	3-BozOPr
2-692	Pip	CH_2CH_2	$(CH_2)_5$	0	3-(cHxCOO)Pr
2-693	Pip	CH_2CH_2	$(CH_2)_5$	0	2-HOBu
2-694	Pip	CH_2CH_2	$(CH_2)_5$	0	2-AcOBu
2-695	Pip	CH_2CH_2	$(CH_2)_5$	0	2-(3-HOOC.PrnO)Bu

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-696	Pip	CH_2CH_2	$(CH_2)_5$	0	2-(cHxCOO)Bu
2-697	Pip	CH_2CH_2	$(CH_2)_6$	0	2-HOEt
2-698	Pip	CH_2CH_2	$(CH_2)_6$	0	2-AcOEt
2-699	Pip	CH_2CH_2	$(CH_2)_6$	0	2-(3-HOOC.PrnO)Et
2-700	Pip	CH_2CH_2	$(CH_2)_6$	0	2-(cHxCOO)Et
2-701	Pip	CH_2CH_2	$(CH_2)_6$	0	2-HOPr
2-702	Pip	CH_2CH_2	$(CH_2)_6$	0	2-AcOPr
2-703	Pip	CH_2CH_2	$(CH_2)_6$	0	2-(3-HOOC.PrnO)Pr
2-704	Pip	CH_2CH_2	$(CH_2)_6$	0	2-(cHxCOO)Pr
2-705	Pip	CH_2CH_2	$(CH_2)_6$	0	3-HOPr
2-706	Pip	CH_2CH_2	$(CH_2)_6$	0	3-AcOPr
2-707	Pip	CH_2CH_2	$(CH_2)_6$	0	3-(3-HOOC.PrnO)Pr
2-708	Pip	CH_2CH_2	$(CH_2)_6$	0	3-(cHxCOO)Pr
2-709	Pip	CH_2CH_2	$(CH_2)_6$	0	2-HOBu
2-710	Pip	CH_2CH_2	$(CH_2)_6$	0	2-AcOBu
2-711	Pip	CH_2CH_2	$(CH_2)_6$	0	2-(3-HOOC.PrnO)Bu
2-712	Pip	CH_2CH_2	$(CH_2)_6$	0	2-(cHxCOO)Bu
2-713	Pyr	CH_2CH_2	CH_2	0	2-HOEt
2-714	Pyr	CH_2CH_2	CH_2	0	2-FoOEt
2-715	Pyr	CH_2CH_2	CH_2	0	2-AcOEt
2-716	Pyr	CH_2CH_2	CH_2	0	2-PrnOEt
2-717	Pyr	CH_2CH_2	CH_2	0	2-(3-HOOC.PrnO)Et
2-718	Pyr	CH_2CH_2	CH_2	0	2-(3-Mec.PrnO)Et
2-719	Pyr	CH_2CH_2	CH_2	0	2-(3-Etc.PrnO)Et
2-720	Pyr	CH_2CH_2	CH_2	0	2-BozOEt
2-721	Pyr	CH_2CH_2	CH_2	0	2-(cPnCOO)Et
2-722	Pyr	CH_2CH_2	CH_2	0	2-(cHxCOO)Et
2-723	Pyr	CH_2CH_2	CH_2	0	2-HOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-724	Pyr	CH_2CH_2	CH_2	0	2-AcOPr
2-725	Pyr	CH_2CH_2	CH_2	0	2-(3-HOOC.PrnO)Pr
2-726	Pyr	CH_2CH_2	CH_2	0	2-BozOPr
2-727	Pyr	CH_2CH_2	CH_2	0	2-(cHxCOO)Pr
2-728	Pyr	CH_2CH_2	CH_2	0	3-HOPr
2-729	Pyr	CH_2CH_2	CH_2	0	3-FoOPr
2-730	Pyr	CH_2CH_2	CH_2	0	3-AcOPr
2-731	Pyr	CH_2CH_2	CH_2	0	3-(3-HOOC.PrnO)Pr
2-732	Pyr	CH_2CH_2	CH_2	0	3-(3-Mec.PrnO)Pr
2-733	Pyr	CH_2CH_2	CH_2	0	3-BozOPr
2-734	Pyr	CH_2CH_2	CH_2	0	3-(cHxCOO)Pr
2-735	Pyr	CH_2CH_2	CH_2	0	2-HOBu
2-736	Pyr	CH_2CH_2	CH_2	0	2-AcOBu
2-737	Pyr	CH_2CH_2	CH_2CH_2	0	2-HOEt
2-738	Pyr	CH_2CH_2	CH_2CH_2	0	2-AcOEt
2-739	Pyr	CH_2CH_2	CH_2CH_2	0	2-(3-HOOC.PrnO)Et
2-740	Pyr	CH_2CH_2	CH_2CH_2	0	2-(3-Mec.PrnO)Et
2-741	Pyr	CH_2CH_2	CH_2CH_2	0	2-BozOEt
2-742	Pyr	CH_2CH_2	CH_2CH_2	0	2-(cPnCOO)Et
2-743	Pyr	CH_2CH_2	CH_2CH_2	0	2-(cHxCOO)Et
2-744	Pyr	CH_2CH_2	CH_2CH_2	0	2-HOPr
2-745	Pyr	CH_2CH_2	CH_2CH_2	0	2-AcOPr
2-746	Pyr	CH_2CH_2	CH_2CH_2	0	2-(3-HOOC.PrnO)Pr
2-747	Pyr	CH_2CH_2	CH_2CH_2	0	2-(cHxCOO)Pr
2-748	Pyr	CH_2CH_2	CH_2CH_2	0	3-HOPr
2-749	Pyr	CH_2CH_2	CH_2CH_2	0	3-AcOPr
2-750	Pyr	CH_2CH_2	CH_2CH_2	0	3-(cHxCOO)Pr
2-751	Pyr	CH_2CH_2	CH_2CH_2	0	2-HOBu

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-752	Pyr	CH_2CH_2	CH_2CH_2	0	2-AcOBu
2-753	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-HOEt
2-754	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-AcOEt
2-755	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-PrnOEt
2-756	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Et
2-757	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-BozOEt
2-758	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-(cPnCOO)Et
2-759	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-(cHxCOO)Et
2-760	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-HOPr
2-761	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-AcOPr
2-762	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-BozOPr
2-763	Pyr	CH_2CH_2	$(CH_2)_3$	0	3-HOPr
2-764	Pyr	CH_2CH_2	$(CH_2)_3$	0	3-AcOPr
2-765	Pyr	CH_2CH_2	$(CH_2)_3$	0	3-(3-HOOC.PrnO)Pr
2-766	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-HOBu
2-767	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-AcOBu
2-768	Pyr	CH_2CH_2	$(CH_2)_4$	0	2-HOEt
2-769	Pyr	CH_2CH_2	$(CH_2)_4$	0	2-AcOEt
2-770	Pyr	CH_2CH_2	$(CH_2)_4$	0	2-(3-HOOC.PrnO)Et
2-771	Pyr	CH_2CH_2	$(CH_2)_4$	0	2-(cHxCOO)Et
2-772	Pyr	CH_2CH_2	$(CH_2)_4$	0	2-HOPr
2-773	Pyr	CH_2CH_2	$(CH_2)_4$	0	2-AcOPr
2-774	Pyr	CH_2CH_2	$(CH_2)_4$	0	3-HOPr
2-775	Pyr	CH_2CH_2	$(CH_2)_4$	0	3-AcOPr
2-776	Pyr	CH_2CH_2	$(CH_2)_4$	0	2-HOBu
2-777	Pyr	CH_2CH_2	$(CH_2)_4$	0	2-AcOBu
2-778	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-HOEt
2-779	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-AcOEt

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-780	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-HOPr
2-781	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-AcOPr
2-782	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	3-HOPr
2-783	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	3-AcOPr
2-784	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-HOBu
2-785	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-AcOBu
2-786	Pyr	CH_2CH_2	$(CH_2)_5$	0	2-HOEt
2-787	Pyr	CH_2CH_2	$(CH_2)_5$	0	2-AcOEt
2-788	Pyr	CH_2CH_2	$(CH_2)_5$	0	2-HOPr
2-789	Pyr	CH_2CH_2	$(CH_2)_5$	0	2-AcOPr
2-790	Pyr	CH_2CH_2	$(CH_2)_5$	0	2-(cHxCOO)Pr
2-791	Pyr	CH_2CH_2	$(CH_2)_5$	0	3-HOPr
2-792	Pyr	CH_2CH_2	$(CH_2)_5$	0	3-AcOPr
2-793	Pyr	CH_2CH_2	$(CH_2)_5$	0	2-AcOBu
2-794	Pyr	CH_2CH_2	$(CH_2)_6$	0	2-HOEt
2-795	Pyr	CH_2CH_2	$(CH_2)_6$	0	2-AcOEt
2-796	Pyr	CH_2CH_2	$(CH_2)_6$	0	2-HOPr
2-797	Pyr	CH_2CH_2	$(CH_2)_6$	0	2-AcOPr
2-798	Pyr	CH_2CH_2	$(CH_2)_6$	0	3-HOPr
2-799	Pyr	CH_2CH_2	$(CH_2)_6$	0	3-AcOPr
2-800	Pyr	CH_2CH_2	$(CH_2)_6$	0	2-AcOBu
2-801	NMe_2	CH_2CH_2	CH_2	0	2-HOEt
2-802	NMe_2	CH_2CH_2	CH_2	0	2-AcOEt
2-803	NMe_2	CH_2CH_2	CH_2	0	2-PrnOEt
2-804	NMe_2	CH_2CH_2	CH_2	0	2-(3-HOOC.PrnO)Et
2-805	NMe_2	CH_2CH_2	CH_2	0	2-(3-Mec.PrnO)Et
2-806	NMe_2	CH_2CH_2	CH_2	0	2-BozOEt
2-807	NMe_2	CH_2CH_2	CH_2	0	2-(cHxCOO)Et

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-808	NMe_2	CH_2CH_2	CH_2	0	2-HOPr
2-809	NMe_2	CH_2CH_2	CH_2	0	2-(cHxCOO)Pr
2-810	NMe_2	CH_2CH_2	CH_2	0	3-HOPr
2-811	NMe_2	CH_2CH_2	CH_2	0	3-AcOPr
2-812	NMe_2	CH_2CH_2	CH_2	0	2-HOBu
2-813	NMe_2	CH_2CH_2	CH_2	0	2-AcOBu
2-814	NMe_2	CH_2CH_2	CH_2CH_2	0	2-HOEt
2-815	NMe_2	CH_2CH_2	CH_2CH_2	0	2-AcOEt
2-816	NMe_2	CH_2CH_2	CH_2CH_2	0	3-HOPr
2-817	NMe_2	CH_2CH_2	CH_2CH_2	0	3-AcOPr
2-818	NMe_2	CH_2CH_2	$(CH_2)_3$	0	2-HOEt
2-819	NMe_2	CH_2CH_2	$(CH_2)_3$	0	2-AcOEt
2-820	NMe_2	CH_2CH_2	$(CH_2)_3$	0	2-PrnOEt
2-821	NMe_2	CH_2CH_2	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Et
2-822	NMe_2	CH_2CH_2	$(CH_2)_3$	0	2-BozOEt
2-823	NMe_2	CH_2CH_2	$(CH_2)_3$	0	2-(cHxCOO)Et
2-824	NMe_2	CH_2CH_2	$(CH_2)_3$	0	2-(cPnCOO)Pr
2-825	NMe_2	CH_2CH_2	$(CH_2)_3$	0	2-(cHxCOO)Pr
2-826	NMe_2	CH_2CH_2	$(CH_2)_3$	0	3-HOPr
2-827	NMe_2	CH_2CH_2	$(CH_2)_3$	0	3-AcOPr
2-828	NMe_2	CH_2CH_2	$(CH_2)_3$	0	2-HOBu
2-829	NMe_2	CH_2CH_2	$(CH_2)_3$	0	2-AcOBu
2-830	NMe_2	CH_2CH_2	$(CH_2)_4$	0	2-HOEt
2-831	NMe_2	CH_2CH_2	$(CH_2)_4$	0	2-AcOEt
2-832	NMe_2	CH_2CH_2	$(CH_2)_4$	0	3-HOPr
2-833	NMe_2	CH_2CH_2	$(CH_2)_4$	0	3-AcOPr
2-834	NMe_2	CH_2CH_2	$(CH_2)_4$	0	2-AcOBu
2-835	NMe_2	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-HOEt

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-836	NMe_2	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-AcOEt
2-837	NMe_2	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-HOPr
2-838	NMe_2	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-AcOPr
2-839	NMe_2	CH_2CH_2	$CH_2CH(Me)CH_2$	0	3-AcOPr
2-840	NMe_2	CH_2CH_2	$CH_2CH(Me)CH_2$	0	2-AcOBu
2-841	NMe_2	CH_2CH_2	$(CH_2)_5$	0	2-HOEt
2-842	NMe_2	CH_2CH_2	$(CH_2)_5$	0	2-AcOPr
2-843	NMe_2	CH_2CH_2	$(CH_2)_5$	0	3-HOPr
2-844	NMe_2	CH_2CH_2	$(CH_2)_5$	0	3-AcOPr
2-845	NMe_2	CH_2CH_2	$(CH_2)_6$	0	2-HOEt
2-846	NMe_2	CH_2CH_2	$(CH_2)_6$	0	2-AcOEt
2-847	NMe_2	CH_2CH_2	$(CH_2)_6$	0	3-HOPr
2-848	NMe_2	CH_2CH_2	$(CH_2)_6$	0	3-AcOPr
2-849	Azi	CH_2CH_2	CH_2	0	2-AcOEt
2-850	Aze	CH_2CH_2	CH_2	0	2-AcOEt
2-851	Pip	$(CH_2)_3$	CH_2	0	CH_2OH
2-852	Pip	$(CH_2)_3$	CH_2	0	2-HOEt
2-853	Pip	$(CH_2)_3$	CH_2	0	2-FoOEt
2-854	Pip	$(CH_2)_3$	CH_2	0	2-AcOEt
2-855	Pip	$(CH_2)_3$	CH_2	0	2-PrnOEt
2-856	Pip	$(CH_2)_3$	CH_2	0	2-ByrOEt
2-857	Pip	$(CH_2)_3$	CH_2	0	2-iByrOEt
2-858	Pip	$(CH_2)_3$	CH_2	0	2-ValOEt
2-859	Pip	$(CH_2)_3$	CH_2	0	2-iValOEt
2-860	Pip	$(CH_2)_3$	CH_2	0	2-(PhAcO)Et
2-861	Pip	$(CH_2)_3$	CH_2	0	2-(HOOC.AcO)Et

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-862	Pip	$(CH_2)_3$	CH_2	0	2-(3-HOOC.PrnO)Et
2-863	Pip	$(CH_2)_3$	CH_2	0	2-(3-Mec.PrnO)Et
2-864	Pip	$(CH_2)_3$	CH_2	0	2-(3-Etc.PrnO)Et
2-865	Pip	$(CH_2)_3$	CH_2	0	2-(3-Prc.PrnO)Et
2-866	Pip	$(CH_2)_3$	CH_2	0	2-(3-Phc.PrnO)Et
2-867	Pip	$(CH_2)_3$	CH_2	0	2-[3-(4-MePhcO)PrnO]Et
2-868	Pip	$(CH_2)_3$	CH_2	0	2-(3-PhPrnO)Et
2-869	Pip	$(CH_2)_3$	CH_2	0	2-(3-PhPrnO)Et
2-870	Pip	$(CH_2)_3$	CH_2	0	2-BozOEt
2-871	Pip	$(CH2)_3$	CH_2	0	2-(4-MeBozO)Et
2-872	Pip	$(CH_2)_3$	CH_2	0	2-(4-MeOBozO)Et
2-873	Pip	$(CH_2)_3$	CH_2	0	2-(4-FBozO)Et
2-874	Pip	$(CH_2)_3$	CH_2	0	2-(4-ClBozO)Et
2-875	Pip	$(CH_2)_3$	CH_2	0	2-(cPrCOO)Et
2-876	Pip	$(CH_2)_3$	CH_2	0	2-(cBuCOO)Et
2-877	Pip	$(CH_2)_3$	CH_2	0	2-(cPnCOO)Et
2-878	Pip	$(CH_2)_3$	CH_2	0	2-(cHxCOO)Et
2-879	Pip	$(CH_2)_3$	CH_2	0	2-HOPr
2-880	Pip	$(CH_2)_3$	CH_2	0	2-FoOPr
2-881	Pip	$(CH_2)_3$	CH_2	0	2-AcOPr
2-882	Pip	$(CH_2)_3$	CH_2	0	2-PrnOPr
2-883	Pip	$(CH_2)_3$	CH_2	0	2-(3-HOOC.PrnO)Pr
2-884	Pip	$(CH_2)_3$	CH_2	0	2-(3-Mec.PrnO)Pr
2-885	Pip	$(CH_2)_3$	CH_2	0	2-(3-Etc.PrnO)Pr
2-886	Pip	$(CH_2)_3$	CH_2	0	2-(3-Phc.PrnO)Et
2-887	Pip	$(CH_2)_3$	CH_2	0	2-[3-(4-MePhcO)PrnO]Et
2-888	Pip	$(CH_2)_3$	CH_2	0	2-(PhAcO)Pr
2-889	Pip	$(CH_2)_3$	CH_2	0	2-BozOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-890	Pip	$(CH_2)_3$	CH_2	0	2-(cPnCOO)Pr
2-891	Pip	$(CH_2)_3$	CH_2	0	2-(cHxCOO)Pr
2-892	Pip	$(CH_2)_3$	CH_2	0	3-HOPr
2-893	Pip	$(CH_2)_3$	CH_2	0	3-FoOPr
2-894	Pip	$(CH_2)_3$	CH_2	0	3-AcOPr
2-895	Pip	$(CH_2)_3$	CH_2	0	3-PrnOPr
2-896	Pip	$(CH_2)_3$	CH_2	0	3-(3-HOOC.PrnO)Pr
2-897	Pip	$(CH_2)_3$	CH_2	0	3-(3-Mec.PrnO)Pr
2-898	Pip	$(CH_2)_3$	CH_2	0	3-(3-Etc.PrnO)Pr
2-899	Pip	$(CH_2)_3$	CH_2	0	3-BozOPr
2-900	Pip	$(CH_2)_3$	CH_2	0	3-(cPnCOO)Pr
2-901	Pip	$(CH_2)_3$	CH_2	0	3-(cHxCOO)Pr
2-902	Pip	$(CH_2)_3$	CH_2	0	2-HOBu
2-903	Pip	$(CH_2)_3$	CH_2	0	2-AcOBu
2-904	Pip	$(CH_2)3$	CH_2	0	2-(3-HOOC.PrnO)Bu
2-905	Pip	$(CH_2)_3$	CH_2	0	2-BozOBu
2-906	Pip	$(CH_2)_3$	CH_2	0	2-(cHxCOO)Bu
2-907	Pip	$(CH_2)_3$	CH_2CH_2	0	2-HOEt
2-908	Pip	$(CH_2)_3$	CH_2CH_2	0	2-FoOEt
2-909	Pip	$(CH_2)_3$	CH_2CH_2	0	2-AcOEt
2-910	Pip	$(CH_2)_3$	CH_2CH_2	0	2-PrnOEt
2-911	Pip	$(CH_2)_3$	CH_2CH_2	0	2-ValOEt
2-912	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(PhAcO)Et
2-913	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(3-HOOC.PrnO)Et
2-914	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(3-Mec.PrnO)Et
2-915	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(3-Etc.PrnO)Et
2-916	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(3-PhPrnO)Et
2-917	Pip	$(CH_2)_3$	CH_2CH_2	0	2-BozOEt

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-918	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(4-MeBozO)Et
2-919	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(4-FBozO)Et
2-920	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(4-ClBozO)Et
2-921	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(cPrCOO)Et
2-922	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(cBuCOO)Et
2-923	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(cPnCOO)Et
2-924	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(cHxCOO)Et
2-925	Pip	$(CH_2)_3$	CH_2CH_2	0	2-HOPr
2-926	Pip	$(CH_2)_3$	CH_2CH_2	0	2-FoOPr
2-927	Pip	$(CH_2)_3$	CH_2CH_2	0	2-AcOPr
2-928	Pip	$(CH_2)_3$	CH_2CH_2	0	2-PrnOPr
2-929	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(3-HOOC.PrnO)Pr
2-930	Pip	$(CH_2)_3$	$CH2CH_2$	0	2-(3-Mec.PrnO)Pr
2-931	Pip	$(CH_2)_3$	$CH2CH_2$	0	2-BozOPr
2-932	Pip	$(CH_2)_3$	$CH2CH_2$	0	2-(cPnCOO)Pr
2-933	Pip	$(CH_2)_3$	$CH2CH_2$	0	2-(cHxCOO)Pr
2-934	Pip	$(CH_2)_3$	CH_2CH_2	0	3-HOPr
2-935	Pip	$(CH_2)_3$	CH_2CH_2	0	3-AcOPr
2-936	Pip	$(CH_2)_3$	CH_2CH_2	0	3-PrnOPr
2-937	Pip	$(CH_2)_3$	CH_2CH_2	0	3-(3-HOOC.PrnO)Pr
2-938	Pip	$(CH_2)_3$	CH_2CH_2	0	3-BozOPr
2-939	Pip	$(CH_2)_3$	CH_2CH_2	0	3-(cPnCOO)Pr
2-940	Pip	$(CH_2)_3$	CH_2CH_2	0	3-(cHxCOO)Pr
2-941	Pip	$(CH_2)_3$	CH_2CH_2	0	2-HOBu
2-942	Pip	$(CH_2)_3$	CH_2CH_2	0	2-AcOBu
2-943	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(3-HOOC.PrnO)Bu
2-944	Pip	$(CH_2)_3$	CH_2CH_2	0	2-BozOBu
2-945	Pip	$(CH_2)_3$	CH_2CH_2	0	2-(cHxCOO)Bu

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-946	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-HOEt
2-947	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-FoOEt
2-948	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-AcOEt
2-949	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-PrnOEt
2-950	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-ByrOEt
2-951	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-iByrOEt
2-952	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-ValOEt
2-953	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Et
2-954	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(3-Mec.PrnO)Et
2-955	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(3-Etc.PrnO)Et
2-956	Pip	$(CH_2)_3$	$(CH2)_3$	0	2-(PhAcO)Et
2-957	Pip	$(CH_2)_3$	$(CH2)_3$	0	2-BozOEt
2-958	Pip	$(CH_2)_3$	$(CH2)_3$	0	2-(4-MeBozO)Et
2-959	Pip	$(CH_2)_3$	$(CH2)_3$	0	2-(4-MeOBozO)Et
2-960	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(4-FBozO)Et
2-961	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(4-ClBozO)Et
2-962	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(cPrCOO)Et
2-963	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(cBuCOO)Et
2-964	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(cPnCOO)Et
2-965	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(cHxCOO)Et
2-966	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-HOPr
2-967	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-AcOPr
2-968	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-PrnOPr
2-969	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Pr
2-970	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(3-Etc.PrnO)Pr
2-971	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-BozOPr
2-972	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(cHxCOO)Pr
2-973	Pip	$(CH_2)_3$	$(CH_2)_3$	0	3-HOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-974	Pip	$(CH_2)_3$	$(CH_2)_3$	0	3-AcOPr
2-975	Pip	$(CH_2)_3$	$(CH_2)_3$	0	3-(3-HOOC.PrnO)Pr
2-976	Pip	$(CH_2)_3$	$(CH_2)_3$	0	3-(3-Mec.PrnO)Pr
2-977	Pip	$(CH_2)_3$	$(CH_2)_3$	0	3-BozOPr
2-978	Pip	$(CH_2)_3$	$(CH_2)_3$	0	3-(cHxCOO)Pr
2-979	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-HOBu
2-980	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-AcOBu
2-981	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Bu
2-982	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-BozOBu
2-983	Pip	$(CH_2)_3$	$(CH_2)_3$	0	2-(cHxCOO)Bu
2-984	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-HOEt
2-985	Pip	$(CH_2)_3$	$(CH_2)4$	0	2-FoOEt
2-986	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-AcOEt
2-987	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-PrnOEt
2-988	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-(3-HOOC.PrnO)Et
2-989	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-(3-Mec.PrnO)Et
2-990	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-BozOEt
2-991	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-(cHxCOO)Et
2-992	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-HOPr
2-993	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-AcOPr
2-994	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-(3-HOOC.PrnO)Pr
2-995	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-BozOPr
2-996	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-(cHxCOO)Pr
2-997	Pip	$(CH_2)_3$	$(CH_2)_4$	0	3-HOPr
2-998	Pip	$(CH_2)_3$	$(CH_2)_4$	0	3-AcOPr
2-999	Pip	$(CH_2)_3$	$(CH_2)_4$	0	3-(3-HOOC.PrnO)Pr
2-1000	Pip	$(CH_2)_3$	$(CH_2)_4$	0	3-BozOPr
2-1001	Pip	$(CH_2)_3$	$(CH_2)_4$	0	3-(cHxCOO)Pr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-1002	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-HOBu
2-1003	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-AcOBu
2-1004	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-(3-HOOC.PrnO)Bu
2-1005	Pip	$(CH_2)_3$	$(CH_2)_4$	0	2-(cHxCOO)Bu
2-1006	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-HOEt
2-1007	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-AcOEt
2-1008	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-(3-HOOC.PrnO)Et
2-1009	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-BozOEt
2-1010	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-(cHxCOO)Et
2-1011	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-HOPr
2-1012	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-AcOPr
2-1013	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-BozOPr
2-1014	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-(cHxCOO)Pr
2-1015	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	3-HOPr
2-1016	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	3-AcOPr
2-1017	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	3-(3-HOOC.PrnO)Pr
2-1018	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	3-BozOPr
2-1019	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	3-(cHxCOO)Pr
2-1020	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-HOBu
2-1021	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-AcOBu
2-1022	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-(3-Etc.PrnO)Bu
2-1023	Pip	$(CH_2)_3$	$CH_2CH(Me)CH_2$	0	2-(cHxCOO)Bu
2-1024	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-HOEt
2-1025	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-AcOEt
2-1026	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-(3-HOOC.PrnO)Et
2-1027	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-BozOEt
2-1028	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-(cHxCOO)Et
2-1029	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-HOPr

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-1030	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-AcOPr
2-1031	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-(3-HOOC.PrnO)Pr
2-1032	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-BozOPr
2-1033	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-(cHxCOO)Pr
2-1034	Pip	$(CH_2)_3$	$(CH_2)_5$	0	3-HOPr
2-1035	Pip	$(CH_2)_3$	$(CH_2)_5$	0	3-AcOPr
2-1036	Pip	$(CH_2)_3$	$(CH_2)_5$	0	3-(3-HOOC.PrnO)Pr
2-1037	Pip	$(CH_2)_3$	$(CH_2)_5$	0	3-BozOPr
2-1038	Pip	$(CH_2)_3$	$(CH_2)_5$	0	3-(cHxCOO)Pr
2-1039	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-HOBu
2-1040	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-AcOBu
2-1041	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-(3-HOOC.PrnO)Bu
2-1042	Pip	$(CH_2)_3$	$(CH_2)_5$	0	2-(cHxCOO)Bu
2-1043	Pip	$(CH_2)_3$	$(CH_2)_6$	0	2-HOEt
2-1044	Pip	$(CH_2)_3$	$(CH_2)_6$	0	2-AcOEt
2-1045	Pip	$(CH_2)_3$	$(CH_2)_6$	0	2-(3-HOOC.PrnO)Et
2-1046	Pip	$(CH_2)_3$	$(CH_2)_6$	0	2-(cHxCOO)Et
2-1047	Pip	$(CH_2)_3$	$(CH_2)_6$	0	2-HOPr
2-1048	Pip	$(CH_2)_3$	$(CH_2)_6$	0	2-AcOPr
2-1049	Pip	$(CH_2)_3$	$(CH_2)_6$	0	2-(3-HOOC.PrnO)Pr
2-1050	Pip	$(CH_2)_3$	$(CH_2)_6$	0	2-(cHxCOO)Pr
2-1051	Pip	$(CH_2)_3$	$(CH_2)_6$	0	3-HOPr
2-1052	Pip	$(CH_2)_3$	$(CH_2)_6$	0	3-AcOPr
2-1053	Pip	$(CH_2)_3$	$(CH_2)_6$	0	3-(3-HOOC.PrnO)Pr
2-1054	Pip	$(CH_2)_3$	$(CH_2)_6$	0	3-(cHxCOO)Pr
2-1055	Pip	$(CH_2)_3$	$(CH_2)_6$	0	2-HOBu
2-1056	Pip	$(CH_2)_3$	$(CH_2)_6$	0	2-AcOBu
2-1057	Pip	$(CH_2)_3$	$(CH_2)_6$	0	2-(3-HOOC.PrnO)Bu

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-1058	Pip	$(CH_2)_3$	$(CH_2)_6$	0	2-(cHxCOO)Bu
2-1059	Pip	CH_2	CH_2	0	2-HOEt
2-1060	Pip	CH_2	CH_2	0	2-FoOEt
2-1061	Pip	CH_2	CH_2	0	2-AcOEt
2-1062	Pip	CH_2	CH_2	0	2-PrnOEt
2-1063	Pip	CH_2	CH_2	0	2-(3-HOOC.PrnO)Et
2-1064	Pip	CH_2	CH_2	0	2-(3-Mec.PrnO)Et
2-1065	Pip	CH_2	CH_2	0	2-(3-Etc.PrnO)Et
2-1066	Pip	CH_2	CH_2	0	2-BozOEt
2-1067	Pip	CH_2	CH_2	0	2-(cPnCOO)Et
2-1068	Pip	CH_2	CH_2	0	2-(cHxCOO)Et
2-1069	Pip	CH_2	CH_2	0	2-HOPr
2-1070	Pip	CH_2	CH_2	0	2-AcOPr
2-1071	Pip	CH_2	CH_2	0	2-(3-HOOC.PrnO)Pr
2-1072	Pip	CH_2	CH_2	0	2-BozOPr
2-1073	Pip	CH_2	CH_2	0	2-(cHxCOO)Pr
2-1074	Pip	CH_2	CH_2	0	3-HOPr
2-1075	Pip	CH_2	CH_2	0	3-FoOPr
2-1076	Pip	CH_2	CH_2	0	3-AcOPr
2-1077	Pip	CH_2	CH_2	0	3-(3-HOOC.PrnO)Pr
2-1078	Pip	CH_2	CH_2	0	3-(3-Mec.PrnO)Pr
2-1079	Pip	CH_2	CH_2	0	3-BozOPr
2-1080	Pip	CH_2	CH_2	0	3-(cHxCOO)Pr
2-1081	Pip	CH_2	CH_2	0	2-HOBu
2-1082	Pip	CH_2	CH_2	0	2-AcOBu
2-1083	Pip	CH_2	CH_2CH_2	0	2-HOEt
2-1084	Pip	CH_2	CH_2CH_2	0	2-AcOEt
2-1085	Pip	CH_2	CH_2CH_2	0	2-(3-HOOC.PrnO)Et

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-1086	Pip	CH_2	CH_2CH_2	0	2-(3-Mec.PrnO)Et
2-1087	Pip	CH_2	CH_2CH_2	0	2-BozOEt
2-1088	Pip	CH_2	CH_2CH_2	0	2-(cPnCOO)Et
2-1089	Pip	CH_2	CH_2CH_2	0	2-(cHxCOO)Et
2-1090	Pip	CH_2	CH_2CH_2	0	2-HOPr
2-1091	Pip	CH_2	CH_2CH_2	0	2-AcOPr
2-1092	Pip	CH_2	CH_2CH_2	0	2-(3-HOOC.PrnO)Pr
2-1093	Pip	CH_2	CH_2CH_2	0	2-(cHxCOO)Pr
2-1094	Pip	CH_2	CH_2CH_2	0	3-HOPr
2-1095	Pip	CH_2	CH_2CH_2	0	3-AcOPr
2-1096	Pip	CH_2	CH_2CH_2	0	3-(cHxCOO)Pr
2-1097	Pip	CH_2	CH_2CH_2	0	2-HOBu
2-1098	Pip	CH_2	CH_2CH_2	0	2-AcOBu
2-1099	Pip	CH_2	$(CH_2)_3$	0	2-HOEt
2-1100	Pip	CH_2	$(CH_2)_3$	0	2-AcOEt
2-1101	Pip	CH_2	$(CH_2)_3$	0	2-PrnOEt
2-1102	Pip	CH_2	$(CH_2)_3$	0	2-(3-HOOC.PrnO)Et
2-1103	Pip	CH_2	$(CH_2)_3$	0	2-BozOEt
2-1104	Pip	CH_2	$(CH_2)_3$	0	2-(cPnCOO)Et
2-1105	Pip	CH_2	$(CH_2)_3$	0	2-(cHxCOO)Et
2-1106	Pip	CH_2	$(CH_2)_3$	0	2-HOPr
2-1107	Pip	CH_2	$(CH_2)_3$	0	2-AcOPr
2-1108	Pip	CH_2	$(CH_2)_3$	0	2-BozOPr
2-1109	Pip	CH_2	$(CH_2)_3$	0	3-HOPr
2-1110	Pip	CH_2	$(CH_2)_3$	0	3-AcOPr
2-1111	Pip	CH_2	$(CH_2)_3$	0	3-(3-HOOC.PrnO)Pr
2-1112	Pip	CH_2	$(CH_2)_3$	0	2-HOBu
2-1113	Pip	CH_2	$(CH_2)_3$	0	2-AcOBu

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-1114	Pip	CH_2	$(CH_2)_4$	0	2-HOEt
2-1115	Pip	CH_2	$(CH_2)_4$	0	2-AcOEt
2-1116	Pip	CH_2	$(CH_2)_4$	0	2-(3-HOOC.PrnO)Et
2-1117	Pip	CH_2	$(CH_2)_4$	0	2-(cHxCOO)Et
2-1118	Pip	CH_2	$(CH_2)_4$	0	2-HOPr
2-1119	Pip	CH_2	$(CH_2)_4$	0	2-AcOPr
2-1120	Pip	CH_2	$(CH_2)_4$	0	3-HOPr
2-1121	Pip	CH_2	$(CH_2)_4$	0	3-AcOPr
2-1122	Pip	CH_2	$(CH_2)_4$	0	2-HOBu
2-1123	Pip	CH_2	$(CH_2)_4$	0	2-AcOBu
2-1124	Pip	CH_2	$CH_2CH(Me)CH_2$	0	2-HOEt
2-1125	Pip	CH_2	$CH_2CH(Me)CH_2$	0	2-AcOEt
2-1126	Pip	CH_2	$CH_2CH(Me)CH_2$	0	2-HOPr
2-1127	Pip	CH_2	$CH_2CH(Me)CH_2$	0	2-AcOPr
2-1128	Pip	CH_2	$CH_2CH(Me)CH_2$	0	3-HOPr
2-1129	Pip	CH_2	$CH_2CH(Me)CH_2$	0	3-AcOPr
2-1130	Pip	CH_2	$CH_2CH(Me)CH_2$	0	2-HOBu
2-1131	Pip	CH_2	$CH_2CH(Me)CH_2$	0	2-AcOBu
2-1132	Pip	CH_2	$(CH_2)_5$	0	2-HOEt
2-1133	Pip	CH_2	$(CH_2)_5$	0	2-AcOEt
2-1134	Pip	CH_2	$(CH_2)_5$	0	2-HOPr
2-1135	Pip	CH_2	$(CH_2)_5$	0	2-AcOPr
2-1136	Pip	CH_2	$(CH_2)_5$	0	2-(cHxCOO)Pr
2-1137	Pip	CH_2	$(CH_2)_5$	0	3-HOPr
2-1138	Pip	CH_2	$(CH_2)_5$	0	3-AcOPr
2-1139	Pip	CH_2	$(CH_2)_5$	0	2-AcOBu
2-1140	Pip	CH_2	$(CH_2)_6$	0	2-HOEt
2-1141	Pip	CH_2	$(CH_2)_6$	0	2-AcOEt

Table 2 (cont.)

Cpd. No.	R^1	A	B	m	R^5
2-1142	Pip	CH_2	$(CH_2)_6$	0	2-HOPr
2-1143	Pip	CH_2	$(CH_2)_6$	0	2-AcOPr
2-1144	Pip	CH_2	$(CH_2)_6$	0	3-HOPr
2-1145	Pip	CH_2	$(CH_2)_6$	0	3-AcOPr
2-1146	Pip	CH_2	$(CH_2)_6$	0	2-AcOBu
2-1147	Pip	CH=CH	CH_2	0	2-(nPnCOO)Et
2-1148	Pip	CH=CH	CH_2	0	2-PivOEt
2-1149	Pip	CH_2	CH_2	0	2-(nPnCOO)Et
2-1150	Pip	CH_2	CH_2	0	2-PivOEt
2-1151	Pyr	CH=CH	CH_2	0	2-(nPnCOO)Et
2-1152	Pyr	CH=CH	CH_2	0	2-PivOEt

Table 3

Cpd. No.	R^1	A	B	m	R^5
3-1	Pip	CH=CH	CH_2	0	Imdazo-2-yl
3-2	Pip	CH=CH	CH_2	0	Imdazo-4-yl
3-3	Pip	CH=CH	CH_2	0	1-Me-Imdazo-2-yl
3-4	Pip	CH=CH	CH_2	0	1,3,4-Oxadiazo-2-yl
3-5	Pip	CH=CH	CH_2	0	5-Me-1,3,4-Oxadiazo-2-yl
3-6	Pip	CH=CH	CH_2	0	1,3,4-Thiadiazo-2-yl
3-7	Pip	CH=CH	CH_2	0	5-Me-1,3,4-Thiadiazo-2-yl
3-8	Pip	CH=CH	CH_2	0	1,2,4-Triazo-3-yl
3-9	Pip	CH=CH	CH_2	0	1,2,4-Triazo-5-yl
3-10	Pip	CH=CH	CH_2	0	1-Me-1,2,4-Triazo-3-yl
3-11	Pip	CH=CH	CH_2	0	1-Me-1,2,4-Triazo-5-yl
3-12	Pip	CH=CH	CH_2	0	5-Me-1,2,4-Triazo-3-yl
3-13	Pip	CH=CH	CH_2	0	Tetrazo-5-yl
3-14	Pip	CH=CH	CH_2	0	1-Me-Tetrazo-5-yl
3-15	Pip	CH=CH	CH_2	0	Pyz-2-yl
3-16	Pip	CH=CH	CH_2	0	Pyz-3-yl
3-17	Pip	CH=CH	CH_2	0	Pyz-4-yl
3-18	Pip	CH=CH	CH_2	0	3-Me-Pyz-2-yl
3-19	Pip	CH=CH	CH_2	0	2-Me-Pyz-4-yl
3-20	Pip	CH=CH	CH_2	0	3-NHz-Pyz-2-yl
3-21	Pip	CH=CH	CH_2	0	4-NH_2-Pyz-3-yl
3-22	Pip	CH=CH	CH_2	0	3-NH_2-Pyz-4-yl
3-23	Pip	CH=CH	CH_2	0	3-HO-Pyz-2-yl
3-24	Pip	CH=CH	CH_2	0	2-HO-Pyz-4-yl
3-25	Pip	CH=CH	CH_2	0	Pymz-2-yl
3-26	Pip	CH=CH	CH_2	0	Pymz-4-yl
3-27	Pip	CH=CH	CH_2	0	4-Me-Pymz-2-yl
3-28	Pip	CH=CH	CH_2	0	5-Me-Pymz-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-29	Pip	CH=CH	CH_2	0	2-Me-Pymz-4-yl
3-30	Pip	CH=CH	CH_2	0	5-Me-Pymz-4-yl
3-31	Pip	CH=CH	CH_2	0	6-Me-Pymz-4-yl
3-32	Pip	CH=CH	CH_2	0	2-Me-Pymz-5-yl
3-33	Pip	CH=CH	CH_2	0	4-NH_2-Pymz-2-yl
3-34	Pip	CH=CH	CH_2	0	5-NH_2-Pymz-2-yl
3-35	Pip	CH=CH	CH_2	0	2-NH_2-Pymz-4-yl
3-36	Pip	CH=CH	CH_2	0	4-NH_2-5-HO-Pymz-2-yl
3-37	Pip	CH=CH	CH_2	0	2-NH_2-5-HO-Pymz-4-yl
3-38	Pip	CH=CH	CH_2	0	5-NH_2-2-HO-Pymz-4-yl
3-39	Pip	CH=CH	CH_2CH_2	0	Imdazo-2-yl
3-40	Pip	CH=CH	CH_2CH_2	0	Imdazo-4-yl
3-41	Pip	CH=CH	CH_2CH_2	0	1-Me-Imdazo-2-yl
3-42	Pip	CH=CH	CH_2CH_2	0	1,3,4-Oxadiazo-2-yl
3-43	Pip	CH=CH	CH_2CH_2	0	5-Me-1,3,4-Oxadiazo-2-yl
3-44	Pip	CH=CH	CH_2CH_2	0	1,3,4-Thiadiazo-2-yl
3-45	Pip	CH=CH	CH_2CH_2	0	5-Me-1,3,4-Thiadiazo-2-yl
3-46	Pip	CH=CH	CH_2CH_2	0	1,2,4-Triazo-3-yl
3-47	Pip	CH=CH	CH_2CH_2	0	1,2,4-Triazo-5-yl
3-48	Pip	CH=CH	CH_2CH_2	0	1-Me-1,2,4-Triazo-3-yl
3-49	Pip	CH=CH	CH_2CH_2	0	1-Me-1,2,4-Triazo-5-yl
3-50	Pip	CH=CH	CH_2CH_2	0	5-Me-1,2,4-Triazo-3-yl
3-51	Pip	CH=CH	CH_2CH_2	0	Tetrazo-5-yl
3-52	Pip	CH=CH	CH_2CH_2	0	1-Me-Tetrazo-5-yl
3-53	Pip	CH=CH	CH_2CH_2	0	Pyz-2-yl
3-54	Pip	CH=CH	CH_2CH_2	0	Pyz-3-yl
3-55	Pip	CH=CH	CH_2CH_2	0	Pyz-4-yl
3-56	Pip	CH=CH	CH_2CH_2	0	4-Me-Pyz-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-57	Pip	CH=CH	CH_2CH_2	0	2-Me-Pyz-4-yl
3-58	Pip	CH=CH	CH_2CH_2	0	3-NH_2-Pyz-2-yl
3-59	Pip	CH=CH	CH_2CH_2	0	3-NH_2-Pyz-4-yl
3-60	Pip	CH=CH	CH_2CH_2	0	3-HO-Pyz-2-yl
3-61	Pip	CH=CH	CH_2CH_2	0	2-HO-Pyz-4-yl
3-62	Pip	CH=CH	CH_2CH_2	0	Pymz-2-yl
3-63	Pip	CH=CH	CH_2CH_2	0	Pymz-4-yl
3-64	Pip	CH=CH	CH_2CH_2	0	Pymz-5-yl
3-65	Pip	CH=CH	CH_2CH_2	0	4-Me-Pymz-2-yl
3-66	Pip	CH=CH	CH_2CH_2	0	5-Me-Pymz-2-yl
3-67	Pip	CH=CH	CH_2CH_2	0	2-Me-Pymz-4-yl
3-68	Pip	CH=CH	CH_2CH_2	0	5-Me-Pymz-4-yl
3-69	Pip	CH=CH	CH_2CH_2	0	6-Me-Pymz-4-yl
3-70	Pip	CH=CH	CH_2CH_2	0	4-NH_2-Pymz-2-yl
3-71	Pip	CH=CH	CH_2CH_2	0	5-NH_2-Pymz-2-yl
3-72	Pip	CH=CH	CH_2CH_2	0	2-NH_2-Pymz-4-yl
3-73	Pip	CH=CH	CH_2CH_2	0	4-HO-Pymz-5-yl
3-74	Pip	CH=CH	CH_2CH_2	0	4-NH_2-5-HO-Pymz-2-yl
3-75	Pip	CH=CH	CH_2CH_2	0	2-NH_2-5-HO-Pymz-4-yl
3-76	Pip	CH=CH	CH_2CH_2	0	5-NH_2-2-HO-Pymz-4-yl
3-77	Pip	CH=CH	$(CH_2)_3$	0	Imdazo-2-yl
3-78	Pip	CH=CH	$(CH_2)_3$	0	Imdazo-4-yl
3-79	Pip	CH=CH	$(CH_2)_3$	0	1-Me-Imdazo-2-yl
3-80	Pip	CH=CH	$(CH_2)_3$	0	2-Me-Imdazo-4-yl
3-81	Pip	CH=CH	$(CH_2)_3$	0	1,3,4-Oxadiazo-2-yl
3-82	Pip	CH=CH	$(CH_2)_3$	0	5-Me-1,3,4-Oxadiazo-2-yl
3-83	Pip	CH=CH	$(CH_2)_3$	0	5-Et-1,3,4-Oxadiazo-2-yl
3-84	Pip	CH=CH	$(CH_2)_3$	0	5-NH_2-1,3,4-Oxadiazo-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-85	Pip	CH=CH	$(CH_2)_3$	0	5-AcNH-1,3,4-Oxadiazo-2-yl
3-86	Pip	CH=CH	$(CH_2)_3$	0	1,3,4-Thiadiazo-2-yl
3-87	Pip	CH=CH	$(CH_2)_3$	0	5-Me-1,3,4-Thiadiazo-2-yl
3-88	Pip	CH=CH	$(CH_2)_3$	0	5-NH_2-1,3,4-Thiadiazo-2-yl
3-89	Pip	CH=CH	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-90	Pip	CH=CH	$(CH_2)_3$	0	1,2,4-Triazo-5-yl
3-91	Pip	CH=CH	$(CH_2)_3$	0	1-Me-1,2,4-Triazo-3-yl
3-92	Pip	CH=CH	$(CH_2)_3$	0	1-Me-1,2,4-Triazo-5-yl
3-93	Pip	CH=CH	$(CH_2)_3$	0	5-Me-1,2,4-Triazo-3-yl
3-94	Pip	CH=CH	$(CH_2)_3$	0	5-Cl-1,2,4-Triazo-3-yl
3-95	Pip	CH=CH	$(CH_2)_3$	0	5-NH_2-1,2,4-Triazo-3-yl
3-96	Pip	CH=CH	$(CH_2)_3$	0	5-AcNH-1,2,4-Triazo-3-yl
3-97	Pip	CH=CH	$(CH_2)_3$	0	Tetrazo-5-yl
3-98	Pip	CH=CH	$(CH_2)_3$	0	1-Me-Tetrazo-5-yl
3-99	Pip	CH=CH	$(CH_2)_3$	0	1-Et-Tetrazo-5-yl
3-100	Pip	CH=CH	$(CH_2)_3$	0	1-(2-HOEt)-Tetrazo-5-yl
3-101	Pip	CH=CH	$(CH_2)_3$	0	Pyz-2-yl
3-102	Pip	CH=CH	$(CH_2)_3$	0	Pyz-3-yl
3-103	Pip	CH=CH	$(CH_2)_3$	0	Pyz-4-yl
3-104	Pip	CH=CH	$(CH_2)_3$	0	3-Me-Pyz-2-yl
3-105	Pip	CH=CH	$(CH_2)_3$	0	5-Me-Pyz-2-yl
3-106	Pip	CH=CH	$(CH_2)_3$	0	2-Me-Pyz-4-yl
3-107	Pip	CH=CH	$(CH_2)_3$	0	3-Me-Pyz-4-yl
3-108	Pip	CH=CH	$(CH_2)_3$	0	3-Cl-Pyz-2-yl
3-109	Pip	CH=CH	$(CH_2)_3$	0	3-Cl-Pyz-4-yl
3-110	Pip	CH=CH	$(CH_2)_3$	0	3-NH_2-Pyz-2-yl
3-111	Pip	CH=CH	$(CH_2)_3$	0	5-NH_2-Pyz-2-yl
3-112	Pip	CH=CH	$(CH_2)_3$	0	4-NH_2-Pyz-3-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-113	Pip	CH=CH	$(CH_2)_3$	0	3-NH_2-Pyz-4-yl
3-114	Pip	CH=CH	$(CH_2)_3$	0	3-HO-Pyz-2-yl
3-115	Pip	CH=CH	$(CH_2)_3$	0	5-HO-Pyz-2-yl
3-116	Pip	CH=CH	$(CH_2)_3$	0	2-HO-Pyz-4-yl
3-117	Pip	CH=CH	$(CH_2)_3$	0	3-HO-Pyz-4-yl
3-118	Pip	CH=CH	$(CH_2)_3$	0	Pymz-2-yl
3-119	Pip	CH=CH	$(CH_2)_3$	0	Pymz-4-yl
3-120	Pip	CH=CH	$(CH_2)_3$	0	Pymz-5-yl
3-121	Pip	CH=CH	$(CH_2)_3$	0	4-Me-Pymz-2-yl
3-122	Pip	CH=CH	$(CH_2)_3$	0	5-Me-Pymz-2-yl
3-123	Pip	CH=CH	$(CH_2)_3$	0	2-Me-Pymz-4-yl
3-124	Pip	CH=CH	$(CH_2)_3$	0	5-Me-Pymz-4-yl
3-125	Pip	CH=CH	$(CH_2)_3$	0	6-Me-Pymz-4-yl
3-126	Pip	CH=CH	$(CH_2)_3$	0	4-Cl-Pymz-2-yl
3-127	Pip	CH=CH	$(CH_2)_3$	0	2-Me-Pymz-4-yl
3-128	Pip	CH=CH	$(CH_2)_3$	0	4-NH_2-Pymz-2-yl
3-129	Pip	CH=CH	$(CH_2)_3$	0	5-NH_2-Pymz-2-yl
3-130	Pip	CH=CH	$(CH_2)_3$	0	2-NH_2-Pymz-4-yl
3-131	Pip	CH=CH	$(CH_2)_3$	0	5-NH_2-Pymz-4-yl
3-132	Pip	CH=CH	$(CH_2)_3$	0	4-AcNH-Pymz-2-yl
3-133	Pip	CH=CH	$(CH_2)_3$	0	2-AcNH-Pymz-4-yl
3-134	Pip	CH=CH	$(CH_2)_3$	0	4-NH_2-5-HO-Pymz-2-yl
3-135	Pip	CH=CH	$(CH_2)_3$	0	2-NH_2-5-HO-Pymz-4-yl
3-136	Pip	CH=CH	$(CH_2)_3$	0	4,6-diNH_2-Pymz-2-yl
3-137	Pip	CH=CH	$(CH_2)_3$	0	2,5-diNH_2-Pymz-4-yl
3-138	Pip	CH=CH	$(CH_2)_4$	0	Imdazo-2-yl
3-139	Pip	CH=CH	$(CH_2)_4$	0	1,3,4-Oxadiazo-2-yl
3-140	Pip	CH=CH	$(CH_2)_4$	0	1,3,4-thiadiazo-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-141	Pip	CH=CH	$(CH_2)_4$	0	1,2,4-Triazo-3-yl
3-142	Pip	CH=CH	$(CH_2)_4$	0	1,2,4-Triazo-5-yl
3-143	Pip	CH=CH	$(CH_2)_4$	0	Tetrazo-5-yl
3-144	Pip	CH=CH	$(CH_2)_4$	0	Pyz-2-yl
3-145	Pip	CH=CH	$(CH_2)_4$	0	Pyz-3-yl
3-146	Pip	CH=CH	$(CH_2)_4$	0	Pyz-4-yl
3-147	Pip	CH=CH	$(CH_2)_4$	0	Pymz-2-yl
3-148	Pip	CH=CH	$(CH_2)_4$	0	Pymz-4-yl
3-149	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	Imdazo-2-yl
3-150	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	1,3,4-Oxadiazo-2-yl
3-151	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	1,3,4-Thiadiazo-2-yl
3-152	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-3-yl
3-153	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-5-yl
3-154	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	Tetrazo-5-yl
3-155	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	Pyz-2-yl
3-156	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	Pyz-4-yl
3-157	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	Pymz-2-yl
3-158	Pip	CH=CH	$CH_2CH(Me)CH_2$	0	Pymz-4-yl
3-159	Pip	CH=CH	$(CH_2)_5$	0	Imdazo-2-yl
3-160	Pip	CH=CH	$(CH_2)_5$	0	1,3,4-Oxadiazo-2-yl
3-161	Pip	CH=CH	$(CH_2)_5$	0	1,3,4-Thiadiazo-2-yl
3-162	Pip	CH=CH	$(CH_2)_5$	0	1,2,4-Triazo-3-yl
3-163	Pip	CH=CH	$(CH_2)_5$	0	1,2,4-Triazo-5-yl
3-164	Pip	CH=CH	$(CH_2)_5$	0	Tetrazo-5-yl
3-165	Pip	CH=CH	$(CH_2)_5$	0	Pyz-2-yl
3-166	Pip	CH=CH	$(CH_2)_5$	0	Pyz-4-yl
3-167	Pip	CH=CH	$(CH_2)_5$	0	Pymz-2-yl
3-168	Pip	CH=CH	$(CH_2)_5$	0	Pymz-4-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-169	Pip	CH=CH	$(CH_2)_6$	0	Imdazo-2-yl
3-170	Pip	CH=CH	$(CH_2)_6$	0	1,3,4-Oxadiazo-2-yl
3-171	Pip	CH=CH	$(CH_2)_6$	0	1,3,4-Thiadiazo-2-yl
3-172	Pip	CH=CH	$(CH_2)_6$	0	1,2,4-Triazo-3-yl
3-173	Pip	CH=CH	$(CH_2)_6$	0	1,2,4-Triazo-5-yl
3-174	Pip	CH=CH	$(CH_2)_6$	0	Tetrazo-5-yl
3-175	Pip	CH=CH	$(CH_2)_6$	0	Pyz-3-yl
3-176	Pip	CH=CH	$(CH_2)_6$	0	Pyz-4-yl
3-177	Pip	CH=CH	$(CH_2)_6$	0	Pymz-2-yl
3-178	Pip	CH=CH	$(CH_2)_6$	0	Pymz-4-yl
3-179	Pyr	CH=CH	CH_2	0	Imdazo-2-yl
3-180	Pyr	CH=CH	CH_2	0	Imdazo-4-yl
3-181	Pyr	CH=CH	CH_2	0	1,3,4-Oxadiazo-2-yl
3-182	Pyr	CH=CH	CH_2	0	1,3,4-Thiadiazo-2-yl
3-183	Pyr	CH=CH	CH_2	0	1,2,4-Triazo-3-yl
3-184	Pyr	CH=CH	CH_2	0	1,2,4-Triazo-5-yl
3-185	Pyr	CH=CH	CH_2	0	Tetrazo-5-yl
3-186	Pye	CH=CH	CH_2	0	Pyz-2-yl
3-187	Pyr	CH=CH	CH_2	0	Pyz-4-yl
3-188	Pyr	CH=CH	CH_2	0	3-Me-Pyz-2-yl
3-189	Pyr	CH=CH	CH_2	0	2-Me-Pyz-3-yl
3-190	Pyr	CH=CH	CH_2	0	3-NH_2-Pyz-2-yl
3-191	Pyr	CH=CH	CH_2	0	2-HO-Pyz-3-yl
3-192	Pyr	CH=CH	CH_2	0	Pymz-2-yl
3-193	Pyr	CH=CH	CH_2	0	Pymz-4-yl
3-194	Pyr	CH=CH	CH_2	0	4-Me-Pymz-2-yl
3-195	Pyr	CH=CH	CH_2	0	5-Me-Pymz-2-yl
3-196	Pyr	CH=CH	CH_2	0	2-Me-Pymz-4-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-197	Pyr	CH=CH	CH_2	0	6-Me-Pymz-4-yl
3-198	Pyr	CH=CH	CH_2	0	4-NH_2-Pymz-2-yl
3-199	Pyr	CH=CH	CH_2	0	4-HO-Pymz-2-yl
3-200	Pyr	CH=CH	CH_2	0	4-NH_2-5-HO-Pymz-2-yl
3-201	Pyr	CH=CH	CH_2CH_2	0	Imdazo-2-yl
3-202	Pyr	CH=CH	CH_2CH_2	0	Imdazo-4-yl
3-203	Pyr	CH=CH	CH_2CH_2	0	1,3,4-Oxadiazo-2-yl
3-204	Pyr	CH=CH	CH_2CH_2	0	1,3,4-Thiadiazo-2-yl
3-205	Pyr	CH=CH	CH_2CH_2	0	1,2,4-Triazo-3-yl
3-206	Pyr	CH=CH	CH_2CH_2	0	1,2,4-Triazo-5-yl
3-207	Pyr	CH=CH	CH_2CH_2	0	Tetrazo-5-yl
3-208	Pyr	CH=CH	CH_2CH_2	0	Pyz-2-yl
3-209	Pyr	CH=CH	CH_2CH_2	0	Pyz-4-yl
3-210	Pyr	CH=CH	CH_2CH_2	0	3-Me-Pyz-2-yl
3-211	Pyr	CH=CH	CH_2CH_2	0	3-NH_2-Pyz-2-yl
3-212	Pyr	CH=CH	CH_2CH_2	0	3-HO-Pyz-2-yl
3-213	Pyr	CH=CH	CH_2CH_2	0	Pymz-2-yl
3-214	Pyr	CH=CH	CH_2CH_2	0	Pymz-4-yl
3-215	Pyr	CH=CH	CH_2CH_2	0	Pymz-5-yl
3-216	Pyr	CH=CH	CH_2CH_2	0	4-Me-Pymz-2-yl
3-217	Pyr	CH=CH	CH_2CH_2	0	5-Me-Pymz-2-yl
3-218	Pyr	CH=CH	CH_2CH_2	0	2-Me-Pymz-4-yl
3-219	Pyr	CH=CH	CH_2CH_2	0	5-Me-Pymz-4-yl
3-220	Pyr	CH=CH	CH_2CH_2	0	4-NH_2-Pymz-2-yl
3-221	Pyr	CH=CH	CH_2CH_2	0	2-HO-Pymz-2-yl
3-222	Pyr	CH=CH	$(CH_2)_3$	0	Imdazo-2-yl
3-223	Pyr	CH=CH	$(CH_2)_3$	0	Imdazo-4-yl
3-224	Pyr	CH=CH	$(CH_2)_3$	0	1,3,4-Oxadiazo-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-225	Pyr	CH=CH	$(CH_2)_3$	0	1,3,4-Thiadiazo-2-yl
3-226	Pyr	CH=CH	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-227	Pyr	CH=CH	$(CH_2)_3$	0	1,2,4-Triazo-5-yl
3-228	Pyr	CH=CH	$(CH_2)_3$	0	Tetrazo-5-yl
3-229	Pyr	CH=CH	$(CH_2)_3$	0	Pyz-2-yl
3-230	Pyr	CH=CH	$(CH_2)_3$	0	Pyz-3-yl
3-231	Pyr	CH=CH	$(CH_2)_3$	0	Pyz-4-yl
3-232	Pyr	CH=CH	$(CH_2)_3$	0	3-Me-Pyz-2-yl
3-233	Pyr	CH=CH	$(CH_2)_3$	0	2-Me-Pyz-4-yl
3-234	Pyr	CH=CH	$(CH_2)_3$	0	2-Cl-Pyz-3-yl
3-235	Pyr	CH=CH	$(CH_2)_3$	0	3-NH_2-Pyz-2-yl
3-236	Pyr	CH=CH	$(CH_2)_3$	0	3-NH_2-Pyz-4-yl
3-237	Pyr	CH=CH	$(CH_2)_3$	0	3-HO-Pyz-2-yl
3-238	Pyr	CH=CH	$(CH_2)_3$	0	Pymz-2-yl
3-239	Pyr	CH=CH	$(CH_2)_3$	0	Pymz-4-yl
3-240	Pyr	CH=CH	$(CH_2)_3$	0	4-Me-Pymz-2-yl
3-241	Pyr	CH=CH	$(CH_2)_3$	0	2-Me-Pymz-4-yl
3-242	Pyr	CH=CH	$(CH_2)_3$	0	5-Me-Pymz-4-yl
3-243	Pyr	CH=CH	$(CH_2)_3$	0	4-Me-Pymz-5-yl
3-244	Pyr	CH=CH	$(CH_2)_3$	0	5-NH_2-Pymz-2-yl
3-245	Pyr	CH=CH	$(CH_2)_3$	0	2-NH_2-Pymz-4-yl
3-246	Pyr	CH=CH	$(CH_2)_3$	0	2-HO-Pymz-4-yl
3-247	Pyr	CH=CH	$(CH_2)_3$	0	4-NH_2-5-HO-Pymz-2-yl
3-248	Pyr	CH=CH	$(CH_2)_3$	0	2-NH_2-5-HO-Pymz-4-yl
3-249	Pyr	CH=CH	$(CH_2)_3$	0	4,6-diNH_2-Pymz-2-yl
3-250	Pyr	CH=CH	$(CH_2)_4$	0	Imdazo-2-yl
3-251	Pyr	CH=CH	$(CH_2)_4$	0	1,3,4-Oxadiazo-2-yl
3-252	Pyr	CH=CH	$(CH_2)_4$	0	1,3,4-Thiadiazo-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-253	Pyr	CH=CH	$(CH_2)_4$	0	1,2,4-Triazo-3-yl
3-254	Pyr	CH=CH	$(CH_2)_4$	0	1,2,4-Triazo-5-yl
3-255	Pyr	CH=CH	$(CH_2)_4$	0	Tetrazo-5-yl
3-256	Pyr	CH=CH	$(CH_2)_4$	0	Pyz-2-yl
3-257	Pyr	CH=CH	$(CH_2)_4$	0	Pyz-3-yl
3-258	Pyr	CH=CH	$(CH_2)_4$	0	Pyz-4-yl
3-259	Pyr	CH=CH	$(CH_2)_4$	0	Pymz-2-yl
3-260	Pyr	CH=CH	$(CH_2)_4$	0	Pymz-4-yl
3-261	Pyr	CH=CH	$(CH_2)_4$	0	Pymz-5-yl
3-262	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	Imdazo-2-yl
3-263	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	1,3,4-Oxadiazo-2-yl
3-264	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	1,3,4-Thiadiazo-2-yl
3-265	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-3-yl
3-266	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-5-yl
3-267	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	Tetrazo-5-yl
3-268	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	Pyz-2-yl
3-269	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	Pyz-3-yl
3-270	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	Pymz-2-yl
3-271	Pyr	CH=CH	$CH_2CH(Me)CH_2$	0	Pymz-4-yl
3-272	Pyr	CH=CH	$(CH_2)_5$	0	Imdazo-2-yl
3-273	Pyr	CH=CH	$(CH_2)_5$	0	1,3,4-Oxadiazo-2-yl
3-274	Pyr	CH=CH	$(CH_2)_5$	0	1,3,4-Thiadiazo-2-yl
3-275	Pyr	CH=CH	$(CH_2)_5$	0	1,2,4-Triazo-3-yl
3-276	Pyr	CH=CH	$(CH_2)_5$	0	1,2,4-Triazo-5-yl
3-277	Pyr	CH=CH	$(CH_2)_5$	0	Tetrazo-5-yl
3-278	Pyr	CH=CH	$(CH_2)_5$	0	Pyz-2-yl
3-279	Pyr	CH=CH	$(CH_2)_5$	0	Pyz-3-yl
3-280	Pyr	CH=CH	$(CH_2)_5$	0	Pymz-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-281	Pyr	CH=CH	$(CH_2)_5$	0	Pymz-4-yl
3-282	Pyr	CH=CH	$(CH_2)_6$	0	Imdazo-2-yl
3-283	Pyr	CH=CH	$(CH_2)_6$	0	1,3,4-Oxadiazo-2-yl
3-284	Pyr	CH=CH	$(CH_2)_6$	0	1,3,4-Thiadiazo-2-yl
3-285	Pyr	CH=CH	$(CH_2)_6$	0	1,2,4-Triazo-3-yl
3-286	Pyr	CH=CH	$(CH_2)_6$	0	1,2,4-Triazo-5-yl
3-287	Pyr	CH=CH	$(CH_2)_6$	0	Tetrazo-5-yl
3-288	Pyr	CH=CH	$(CH_2)_6$	0	Pyz-2-yl
3-289	Pyr	CH=CH	$(CH_2)_6$	0	Pyz-3-yl
3-290	Pyr	CH=CH	$(CH_2)_6$	0	Pymz-2-yl
3-291	Pyr	CH=CH	$(CH_2)_6$	0	Pymz-4-yl
3-292	NMe_2	CH=CH	CH_2	0	Imdazo-2-yl
3-293	NMe_2	CH=CH	CH_2	0	1,3,4-Oxadiazo-2-yl
3-294	NMe_2	CH=CH	CH_2	0	1,3,4-Thiadiazo-2-yl
3-295	NMe_2	CH=CH	CH_2	0	1,2,4-Triazo-3-yl
3-296	NMe_2	CH=CH	CH_2	0	1,2,4-Triazo-5-yl
3-297	NMe_2	CH=CH	CH_2	0	Tetrazo-5-yl
3-298	NMe_2	CH=CH	CH_2	0	Pyz-2-yl
3-299	NMe_2	CH=CH	CH_2	0	3-Me-Pyz-2-yl
3-300	NMe_2	CH=CH	CH_2	0	Pymz-2-yl
3-301	NMe_2	CH=CH	CH_2	0	4-Me-Pymz-2-yl
3-302	NMe_2	CH=CH	CH_2	0	6-Me-Pymz-4-yl
3-303	NMe_2	CH=CH	CH_2CH_2	0	1,3,4-Oxadiazo-2-yl
3-304	NMe_2	CH=CH	CH_2CH_2	0	1,2,4-Triazo-3-yl
3-305	NMe_2	CH=CH	CH_2CH_2	0	1,2,4-Triazo-5-yl
3-306	NMe_2	CH=CH	CH_2CH_2	0	Pyz-2-yl
3-307	NMe_2	CH=CH	CH_2CH_2	0	3-Me-Pyz-2-yl
3-308	NMe_2	CH=CH	CH_2CH_2	0	Pymz-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-309	NMe_2	CH=CH	CH_2CH_2	0	Pymz-4-yl
3-310	NMe_2	CH=CH	CH_2CH_2	0	4-Me-Pymz-2-yl
3-311	NMe_2	CH=CH	CH_2CH_2	0	2-Me-Pymz-4-yl
3-312	NMe_2	CH=CH	$(CH_2)_3$	0	Imdazo-2-yl
3-313	NMe_2	CH=CH	$(CH_2)_3$	0	Imdazo-4-yl
3-314	NMe_2	CH=CH	$(CH_2)_3$	0	1,3,4-Oxadiazo-2-yl
3-315	NMe_2	CH=CH	$(CH_2)_3$	0	1,3,4-Thiadiazo-2-yl
3-316	NMe_2	CH=CH	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-317	NMe_2	CH=CH	$(CH_2)_3$	0	1,2,4-Triazo-5-yl
3-318	NMe_2	CH=CH	$(CH_2)_3$	0	Tetrazo-5-yl
3-319	NMe_2	CH=CH	$(CH_2)_3$	0	Pyz-2-yl
3-320	NMe_2	CH=CH	$(CH_2)_3$	0	Pymz-2-yl
3-321	NMe_2	CH=CH	$(CH_2)_3$	0	Pymz-4-yl
3-322	NMe_2	CH=CH	$(CH_2)_4$	0	1,3,4-Oxadiazo-2-yl
3-323	NMe_2	CH=CH	$(CH_2)_4$	0	1,2,4-Triazol-3-yl
3-324	NMe_2	CH=CH	$(CH_2)_4$	0	1,2,4-Triazo-5-yl
3-325	NMe_2	CH=CH	$(CH_2)_4$	0	Tetrazo-5-yl
3-326	NMe_2	CH=CH	$(CH_2)_4$	0	Pyz-2-yl
3-327	NMe_2	CH=CH	$(CH_2)_4$	0	Pymz-2-yl
3-328	NMe_2	CH=CH	$(CH_2)_4$	0	Pymz-4-yl
3-329	NMe_2	CH=CH	$CH_2CH(Me)CH_2$	0	1,3,4-Oxadiazo-2-yl
3-330	NMe_2	CH=CH	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-3-yl
3-331	NMe_2	CH=CH	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-5-yl
3-332	NMe_2	CH=CH	$CH_2CH(Me)CH_2$	0	Pymz-2-yl
3-333	NMe_2	CH=CH	$CH_2CH(Me)CH_2$	0	Pymz-4-yl
3-334	NMe_2	CH=CH	$(CH_2)_5$	0	1,3,4-Oxadiazo-2-yl
3-335	NMe_2	CH=CH	$(CH_2)_5$	0	1,2,4-Triazo-3-yl
3-336	NMe_2	CH=CH	$(CH_2)_5$	0	1,2,4-Triazo-5-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-337	NMe_2	CH=CH	$(CH_2)_5$	0	Pymz-2-yl
3-338	NMe_2	CH=CH	$(CH_2)_5$	0	Pymz-4-yl
3-339	NMe_2	CH=CH	$(CH_2)_6$	0	1,3,4-Oxadiazo-2-yl
3-340	NMe_2	CH=CH	$(CH_2)_6$	0	1,2,4-Triazo-3-yl
3-341	NMe_2	CH=CH	$(CH_2)_6$	0	1,2,4-Triazo-5-yl
3-342	NMe_2	CH=CH	$(CH_2)_6$	0	Pymz-2-yl
3-343	NMe_2	CH=CH	$(CH_2)_6$	0	Pymz-4-yl
3-344	NEt_2	CH=CH	CH_2	0	1,3,4-Oxadiazo-2-yl
3-345	NEt_2	CH=CH	CH_2	0	1,2,4-Triazo-3-yl
3-346	NEt_2	CH=CH	CH_2	0	Pymz-2-yl
3-347	NEt_2	CH=CH	CH_2CH_2	0	1,3,4-Oxadiazo-2-yl
3-348	NEt_2	CH=CH	CH_2CH_2	0	1,2,4-Triazo-3-yl
3-349	NEt_2	CH=CH	CH_2CH_2	0	Pymz-2-yl
3-350	NEt_2	CH=CH	CH_2CH_2	0	Pymz-4-yl
3-351	NEt_2	CH=CH	$(CH_2)_3$	0	Imdazo-2-yl
3-352	NEt_2	CH=CH	$(CH_2)_3$	0	Imdazo-4-yl
3-353	NEt_2	CH=CH	$(CH_2)_3$	0	1,3,4-Oxadiazo-2-yl
3-354	NEt_2	CH=CH	$(CH_2)_3$	0	1,3,4-Thiadiazo-2-yl
3-355	NEt_2	CH=CH	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-356	NEt_2	CH=CH	$(CH_2)_3$	0	1,2,4-Triazo-5-yl
3-357	NEt_2	CH=CH	$(CH_2)_3$	0	Tetrazo-5-yl
3-358	NEt_2	CH=CH	$(CH_2)_3$	0	Pyz-2-yl
3-359	NEt_2	CH=CH	$(CH_2)_3$	0	Pymz-2-yl
3-360	NEt_2	CH=CH	$(CH_2)_3$	0	Pymz-4-yl
3-361	NEt_2	CH=CH	$(CH_2)_4$	0	1,3,4-Oxadiazo-2-yl
3-362	NEt_2	CH=CH	$(CH_2)_4$	0	1,2,4-Triazo-3-yl
3-363	NEt_2	CH=CH	$(CH_2)_4$	0	Pymz-2-yl
3-364	NEt_2	CH=CH	$(CH_2)_4$	0	Pymz-4-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-365	NEt_2	CH=CH	$(CH_2)_5$	0	1,3,4-Oxadiazo-2-yl
3-366	NEt_2	CH=CH	$(CH_2)_5$	0	1,2,4-Triazo-3-yl
3-367	NEt_2	CH=CH	$(CH_2)_5$	0	Pymz-2-yl
3-368	NEt_2	CH=CH	$(CH_2)_5$	0	Pymz-4-yl
3-369	NEt_2	CH=CH	$(CH_2)_6$	0	1,3,4-Oxadiazo-2-yl
3-370	NEt_2	CH=CH	$(CH_2)_6$	0	1,2,4-Triazo-5-yl
3-371	NEt_2	CH=CH	$(CH_2)_6$	0	Pymz-2-yl
3-372	NEt_2	CH=CH	$(CH_2)_6$	0	Pymz-4-yl
3-373	Azi	CH=CH	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-374	Azi	CH=CH	$(CH_2)_3$	0	Pymz-2-yl
3-375	Aze	CH=CH	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-376	Aze	CH=CH	$(CH_2)_3$	0	Pymz-2-yl
3-377	Pip	CH=CH	CH_2	1	Imdazo-2-yl
3-378	Pip	CH=CH	CH_2	1	1,3,4-Oxadiazo-2-yl
3-379	Pip	CH=CH	CH_2	1	1,3,4-Thiadiazo-2-yl
3-380	Pip	CH=CH	CH_2	1	1,2,4-Triazo-3-yl
3-381	Pip	CH=CH	CH_2	1	1,2,4-Triazo-5-yl
3-382	Pip	CH=CH	CH_2	1	Tetrazo-5-yl
3-383	Pip	CH=CH	CH_2	1	Pyz-2-yl
3-384	Pip	CH=CH	CH_2	1	3-Me-Pyz-2-yl
3-385	Pip	CH=CH	CH_2	1	Pymz-2-yl
3-386	Pip	CH=CH	CH_2	1	4-Me-Pymz-2-yl
3-387	Pip	CH=CH	CH_2	1	6-Me-Pymz-4-yl
3-388	Pip	CH=CH	CH_2CH_2	1	1,3,4-Oxadiazo-2-yl
3-389	Pip	CH=CH	CH_2CH_2	1	1,2,4-Triazo-3-yl
3-390	Pip	CH=CH	CH_2CH_2	1	1,2,4-Triazo-5-yl
3-391	Pip	CH=CH	CH_2CH_2	1	Pyz-2-yl
3-392	Pip	CH=CH	CH_2CH_2	1	3-Me-Pyz-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-393	Pip	CH=CH	CH_2CH_2	1	Pymz-2-yl
3-394	Pip	CH=CH	CH_2CH_2	1	Pymz-4-yl
3-395	Pip	CH=CH	CH_2CH_2	1	4-Me-Pymz-2-yl
3-396	Pip	CH=CH	CH_2CH_2	1	2-Me-Pymz-4-yl
3-397	Pip	CH=CH	$(CH_2)_3$	1	Imdazo-2-yl
3-398	Pip	CH=CH	$(CH_2)_3$	1	Imdazo-4-yl
3-399	Pip	CH=CH	$(CH_2)_3$	1	1,3,4-Oxadiazo-2-yl
3-400	Pip	CH=CH	$(CH_2)_3$	1	1,3,4-Thiadiazo-2-yl
3-401	Pip	CH=CH	$(CH_2)_3$	1	1,2,4-Triazo-3-yl
3-402	Pip	CH=CH	$(CH_2)_3$	1	1,2,4-Triazo-5-yl
3-403	Pip	CH=CH	$(CH_2)_3$	1	Tetrazo-5-yl
3-404	Pip	CH=CH	$(CH_2)_3$	1	Pyz-2-yl
3-405	Pip	CH=CH	$(CH_2)_3$	1	Pymz-2-yl
3-406	Pip	CH=CH	$(CH_2)_3$	1	Pymz-4-yl
3-407	Pip	CH=CH	$(CH_2)_4$	1	1,3,4-Oxadiazo-2-yl
3-408	Pip	CH=CH	$(CH_2)_4$	1	1,2,4-Triazo-3-yl
3-409	Pip	CH=CH	$(CH_2)_4$	1	1,2,4-Triazo-5-yl
3-410	Pip	CH=CH	$(CH_2)_4$	1	Tetrazo-5-yl
3-411	Pip	CH=CH	$(CH_2)_4$	1	Pyz-2-yl
3-412	Pip	CH=CH	$(CH_2)_4$	1	Pymz-2-yl
3-413	Pip	CH=CH	$(CH_2)_4$	1	Pymz-4-yl
3-414	Pip	CH=CH	$CH_2CH(Me)CH_2$	1	1,3,4-Oxadiazo-2-yl
3-415	Pip	CH=CH	$CH_2CH(Me)CH_2$	1	1,2,4-Triazo-3-yl
3-416	Pip	CH=CH	$CH_2CH(Me)CH_2$	1	1,2,4-Triazo-5-yl
3-417	Pip	CH=CH	$CH_2CH(Me)CH_2$	1	Pymz-2-yl
3-418	Pip	CH=CH	$CH_2CH(Me)CH_2$	1	Pymz-4-yl
3-419	Pip	CH=CH	$(CH_2)_5$	1	1,3,4-Oxadiazo-2-yl
3-420	Pip	CH=CH	$(CH_2)_5$	1	1,2,4-Triazo-3-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-421	Pip	CH=CH	$(CH_2)_5$	1	1,2,4-Triazo-5-yl
3-422	Pip	CH=CH	$(CH_2)_5$	1	Pymz-2-yl
3-423	Pip	CH=CH	$(CH_2)_5$	1	Pymz-4-yl
3-424	Pip	CH=CH	$(CH_2)_6$	1	1,3,4-Oxadiazo-2-yl
3-425	Pip	CH=CH	$(CH_2)_6$	1	1,2,4-Triazo-3-yl
3-426	Pip	CH=CH	$(CH_2)_6$	1	1,2,4-Triazo-5-yl
3-427	Pip	CH=CH	$(CH_2)_6$	1	Pymz-2-yl
3-428	Pip	CH=CH	$(CH_2)_6$	1	Pymz-4-yl
3-429	Pip	CH=CH	CH_2	2	1,3,4-Oxadiazo-2-yl
3-430	Pip	CH=CH	CH_2	2	1,2,4-Triazo-3-yl
3-431	Pip	CH=CH	CH_2	2	Pymz-2-yl
3-432	Pip	CH=CH	CH_2CH_2	2	1,3,4-Oxadiazo-2-yl
3-433	Pip	CH=CH	CH_2CH_2	2	1,2,4-Triazo-3-yl
3-434	Pip	CH=CH	CH_2CH_2	2	Pymz-2-yl
3-435	Pip	CH=CH	CH_2CH_2	2	Pymz-4-yl
3-436	Pip	CH=CH	$(CH_2)_3$	2	Imdazo-2-yl
3-437	Pip	CH=CH	$(CH_2)_3$	2	Imdazo-4-yl
3-438	Pip	CH=CH	$(CH_2)_3$	2	1,3,4-Oxadiazo-2-yl
3-439	Pip	CH=CH	$(CH_2)_3$	2	1,3,4-Thiadiazo-2-yl
3-440	Pip	CH=CH	$(CH_2)_3$	2	1,2,4-Triazo-3-yl
3-441	Pip	CH=CH	$(CH_2)_3$	2	1,2,4-Triazo-5-yl
3-442	Pip	CH=CH	$(CH_2)_3$	2	Tetrazo-5-yl
3-443	Pip	CH=CH	$(CH_2)_3$	2	Pyz-2-yl
3-444	Pip	CH=CH	$(CH_2)_3$	2	Pymz-2-yl
3-445	Pip	CH=CH	$(CH_2)_3$	2	Pymz-4-yl
3-446	Pip	CH=CH	$(CH_2)_4$	2	1,3,4-Oxadiazo-2-yl
3-447	Pip	CH=CH	$(CH_2)_4$	2	1,2,4-Triazo-3-yl
3-448	Pip	CH=CH	$(CH_2)_4$	2	Pymz-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-449	Pip	CH=CH	$(CH_2)_4$	2	Pymz-4-yl
3-450	Pip	CH=CH	$(CH_2)_5$	2	1,3,4-Oxadiazo-2-yl
3-451	Pip	CH=CH	$(CH_2)_5$	2	1,2,4-Triazo-3-yl
3-452	Pip	CH=CH	$(CH_2)_5$	2	Pymz-2-yl
3-453	Pip	CH=CH	$(CH_2)_5$	2	Pymz-4-yl
3-454	Pip	CH=CH	$(CH_2)_6$	2	1,3,4-Oxadiazo-2-yl
3-455	Pip	CH=CH	$(CH_2)_6$	2	1,2,4-Triazo-5-yl
3-456	Pip	CH=CH	$(CH_2)_6$	2	Pymz-2-yl
3-457	Pip	CH=CH	$(CH_2)_6$	2	Pymz-4-yl
3-458	Azi	CH=CH	$(CH_2)_3$	1	1,2,4-Triazo-3-yl
3-459	Azi	CH=CH	$(CH_2)_3$	1	Pymz-2-yl
3-460	Aze	CH=CH	$(CH_2)_3$	1	1,2,4-Triazo-3-yl
3-461	Aze	CH=CH	$(CH_2)_3$	1	Pymz-2-yl
3-462	Pip	CH_2CH_2	CH_2	0	Imdazo-2-yl
3-463	Pip	CH_2CH_2	CH_2	0	Imdazo-4-yl
3-464	Pip	CH_2CH_2	CH_2	0	1-Me-Imdazo-2-yl
3-465	Pip	CH_2CH_2	CH_2	0	1,3,4-Oxadiazo-2-yl
3-466	Pip	CH_2CH_2	CH_2	0	5-Me-1,3,4-Oxadiazo-2-yl
3-467	Pip	CH_2CH_2	CH_2	0	1,3,4-Thiadiazo-2-yl
3-468	Pip	CH_2CH_2	CH_2	0	5-Me-1,3,4-Thiadiazo-2-yl
3-469	Pip	CH_2CH_2	CH_2	0	1,2,4-Triazo-3-yl
3-470	Pip	CH_2CH_2	CH_2	0	1,2,4-Triazo-5-yl
3-471	Pip	CH_2CH_2	CH_2	0	1-Me-1,2,4-Triazo-3-yl
3-472	Pip	CH_2CH_2	CH_2	0	1-Me-1,2,4-Triazo-5-yl
3-473	Pip	CH_2CH_2	CH_2	0	5-Me-1,2,4-Triazo-3-yl
3-474	Pip	CH_2CH_2	CH_2	0	Tetrazo-5-yl
3-475	Pip	CH_2CH_2	CH_2	0	1-Me-Tetrazo-5-yl
3-476	Pip	CH_2CH_2	CH_2	0	Pyz-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-477	Pip	CH_2CH_2	CH_2	0	Pyz-3-yl
3-478	Pip	CH_2CH_2	CH_2	0	Pyz-4-yl
3-479	Pip	CH_2CH_2	CH_2	0	3-Me-Pyz-2-yl
3-480	Pip	CH_2CH_2	CH_2	0	2-Me-Pyz-4-yl
3-481	Pip	CH_2CH_2	CH_2	0	3-NH_2-Pyz-2-yl
3-482	Pip	CH_2CH_2	CH_2	0	4-NH_2-Pyz-3-yl
3-483	Pip	CH_2CH_2	CH_2	0	3-NH_2-Pyz-4-yl
3-484	Pip	CH_2CH_2	CH_2	0	3-HO-Pyz-2-yl
3-485	Pip	CH_2CH_2	CH_2	0	2-HO-Pyz-4-yl
3-486	Pip	CH_2CH_2	CH_2	0	Pymz-2-yl
3-487	Pip	CH_2CH_2	CH_2	0	Pymz-4-yl
3-488	Pip	CH_2CH_2	CH_2	0	4-Me-Pymz-2-yl
3-489	Pip	CH_2CH_2	CH_2	0	5-Me-Pymz-2-yl
3-490	Pip	CH_2CH_2	CH_2	0	2-Me-Pymz-4-yl
3-491	Pip	CH_2CH_2	CH_2	0	5-Me-Pymz-4-yl
3-492	Pip	CH_2CH_2	CH_2	0	6-Me-Pymz-4-yl
3-493	Pip	CH_2CH_2	CH_2	0	2-Me-Pymz-5-yl
3-494	Pip	CH_2CH_2	CH_2	0	4-NH_2-Pymz-2-yl
3-495	Pip	CH_2CH_2	CH_2	0	5-NH_2-Pymz-2-yl
3-496	Pip	CH_2CH_2	CH_2	0	2-NH_2-Pymz-4-yl
3-497	Pip	CH_2CH_2	CH_2	0	4-NH_2-5-HO-Pymz-2-yl
3-498	Pip	CH_2CH_2	CH_2	0	2-NH_2-5-HO-Pymz-4-yl
3-499	Pip	CH_2CH_2	CH_2	0	5-NH_2-2-HO-Pymz-4-yl
3-500	Pip	CH_2CH_2	CH_2CH_2	0	Imdazo-2-yl
3-501	Pip	CH_2CH_2	CH_2CH_2	0	Imdazo-4-yl
3-502	Pip	CH_2CH_2	CH_2CH_2	0	1-Me-Imdazo-2-yl
3-503	Pip	CH_2CH_2	CH_2CH_2	0	1,3,4-Oxadiazo-2-yl
3-504	Pip	CH_2CH_2	CH_2CH_2	0	5-Me-1,3,4-Oxadiazo-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-505	Pip	CH_2CH_2	CH_2CH_2	0	1,3,4-Thiadiazo-2-yl
3-506	Pip	CH_2CH_2	CH_2CH_2	0	5-Me-1,3,4-Thiadiazo-2-yl
3-507	Pip	CH_2CH_2	CH_2CH_2	0	1,2,4-Triazo-3-yl
3-508	Pip	CH_2CH_2	CH_2CH_2	0	1,2,4-Triazo-5-yl
3-509	Pip	CH_2CH_2	CH_2CH_2	0	1-Me-1,2,4-Triazo-3-yl
3-510	Pip	CH_2CH_2	CH_2CH_2	0	1-Me-1,2,4-Triazo-5-yl
3-511	Pip	CH_2CH_2	CH_2CH_2	0	5-Me-1,2,4-Triazo-3-yl
3-512	Pip	CH_2CH_2	CH_2CH_2	0	Tetrazo-5-yl
3-513	Pip	CH_2CH_2	CH_2CH_2	0	1-Me-Tetrazo-5-yl
3-514	Pip	CH_2CH_2	CH_2CH_2	0	Pyz-2-yl
3-515	Pip	CH_2CH_2	CH_2CH_2	0	Pyz-3-yl
3-516	Pip	CH_2CH_2	CH_2CH_2	0	Pyz-4-yl
3-517	Pip	CH_2CH_2	CH_2CH_2	0	4-Me-Pyz-2-yl
3-518	Pip	CH_2CH_2	CH_2CH_2	0	2-Me-Pyz-4-yl
3-519	Pip	CH_2CH_2	CH_2CH_2	0	3-NH_2-Pyz-2-yl
3-520	Pip	CH_2CH_2	CH_2CH_2	0	3-NH_2-Pyz-4-yl
3-521	Pip	CH_2CH_2	CH_2CH_2	0	3-HO-Pyz-2-yl
3-522	Pip	CH_2CH_2	CH_2CH_2	0	2-HO-Pyz-4-yl
3-523	Pip	CH_2CH_2	CH_2CH_2	0	Pymz-2-yl
3-524	Pip	CH_2CH_2	CH_2CH_2	0	Pymz-4-yl
3-525	Pip	CH_2CH_2	CH_2CH_2	0	Pymz-5-yl
3-526	Pip	CH_2CH_2	CH_2CH_2	0	4-Me-Pymz-2-yl
3-527	Pip	CH_2CH_2	CH_2CH_2	0	5-Me-Pymz-2-yl
3-528	Pip	CH_2CH_2	CH_2CH_2	0	2-Me-Pymz-4-yl
3-529	Pip	CH_2CH_2	CH_2CH_2	0	5-Me-Pymz-4-yl
3-530	Pip	CH_2CH_2	CH_2CH_2	0	6-Me-Pymz-4-yl
3-531	Pip	CH_2CH_2	CH_2CH_2	0	4-NH_2-Pymz-2-yl
3-532	Pip	CH_2CH_2	CH_2CH_2	0	5-NH_2-Pymz-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-533	Pip	CH_2CH_2	CH_2CH_2	0	2-NH_2-Pymz-4-yl
3-534	Pip	CH_2CH_2	CH_2CH_2	0	4-HO-Pymz-5-yl
3-535	Pip	CH_2CH_2	CH_2CH_2	0	4-NH_2-5-HO-Pymz-2-yl
3-536	Pip	CH_2CH_2	CH_2CH_2	0	2-NH_2-5-HO-Pymz-4-yl
3-537	Pip	CH_2CH_2	CH_2CH_2	0	5-NH_2-2-HO-Pymz-4-yl
3-538	Pip	CH_2CH_2	$(CH_2)_3$	0	Imdazo-2-yl
3-539	Pip	CH_2CH_2	$(CH_2)_3$	0	Imdazo-4-yl
3-540	Pip	CH_2CH_2	$(CH_2)_3$	0	1-Me-Imdazo-2-yl
3-541	Pip	CH_2CH_2	$(CH_2)_3$	0	2-Me-Imdazo-4-yl
3-542	Pip	CH_2CH_2	$(CH_2)_3$	0	1,3,4-Oxadiazo-2-yl
3-543	Pip	CH_2CH_2	$(CH_2)_3$	0	5-Me-1,3,4-Oxadiazo-2-yl
3-544	Pip	CH_2CH_2	$(CH_2)_3$	0	5-Et-1,3,4-Oxadiazo-2-yl
3-545	Pip	CH_2CH_2	$(CH_2)_3$	0	5-NH_2-1,3,4-Oxadiazo-2-yl
3-546	Pip	CH_2CH_2	$(CH_2)_3$	0	5-AcNH-1,3,4-Oxadiazo-2-yl
3-547	Pip	CH_2CH_2	$(CH_2)_3$	0	1,3,4-Thiadiazo-2-yl
3-548	Pip	CH_2CH_2	$(CH_2)_3$	0	5-Me-1,3,4-Thiadiazo-2-yl
3-549	Pip	CH_2CH_2	$(CH_2)_3$	0	5-NH_2-1,3,4-Thiadiazo-2-yl
3-550	Pip	CH_2CH_2	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-551	Pip	CH_2CH_2	$(CH_2)_3$	0	1,2,4-Triazo-5-yl
3-552	Pip	CH_2CH_2	$(CH_2)_3$	0	1-Me-1,2,4-Triazo-3-yl
3-553	Pip	CH_2CH_2	$(CH_2)_3$	0	1-Me-1,2,4-Triazo-5-yl
3-554	Pip	CH_2CH_2	$(CH_2)_3$	0	5-Me-1,2,4-Triazo-3-yl
3-555	Pip	CH_2CH_2	$(CH_2)_3$	0	5-Cl-1,2,4-Triazo-3-yl
3-556	Pip	CH_2CH_2	$(CH_2)_3$	0	5-NH_2-1,2,4-Triazo-3-yl
3-557	Pip	CH_2CH_2	$(CH_2)_3$	0	5-AcNH-1,2,4-Triazo-3-yl
3-558	Pip	CH_2CH_2	$(CH_2)_3$	0	Tetrazo-5-yl
3-559	Pip	CH_2CH_2	$(CH_2)_3$	0	1-Me-Tetrazo-5-yl
3-560	Pip	CH_2CH_2	$(CH_2)_3$	0	1-Et-Tetrazo-5-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-561	Pip	CH_2CH_2	$(CH_2)_3$	0	1-(2-HOEt)-Tetrazo-5-yl
3-562	Pip	CH_2CH_2	$(CH_2)_3$	0	Pyz-2-yl
3-563	Pip	CH_2CH_2	$(CH_2)_3$	0	Pyz-3-yl
3-564	Pip	CH_2CH_2	$(CH_2)_3$	0	Pyz-4-yl
3-565	Pip	CH_2CH_2	$(CH_2)_3$	0	3-Me-Pyz-2-yl
3-566	Pip	CH_2CH_2	$(CH_2)_3$	0	5-Me-Pyz-2-yl
3-567	Pip	CH_2CH_2	$(CH_2)_3$	0	2-Me-Pyz-4-yl
3-568	Pip	CH_2CH_2	$(CH_2)_3$	0	3-Me-Pyz-4-yl
3-569	Pip	CH_2CH_2	$(CH_2)_3$	0	3-Cl-Pyz-2-yl
3-570	Pip	CH_2CH_2	$(CH_2)_3$	0	3-Cl-Pyz-4-yl
3-571	Pip	CH_2CH_2	$(CH_2)_3$	0	3-NH_2-Pyz-2-yl
3-572	Pip	CH_2CH_2	$(CH_2)_3$	0	5-NH_2-Pyz-2-yl
3-573	Pip	CH_2CH_2	$(CH_2)_3$	0	4-NH_2-Pyz-3-yl
3-574	Pip	CH_2CH_2	$(CH_2)_3$	0	3-NH_2-Pyz-4-yl
3-575	Pip	CH_2CH_2	$(CH_2)_3$	0	3-HO-Pyz-2-yl
3-576	Pip	CH_2CH_2	$(CH_2)_3$	0	5-HO-Pyz-2-yl
3-577	Pip	CH_2CH_2	$(CH_2)_3$	0	2-HO-Pyz-4-yl
3-578	Pip	CH_2CH_2	$(CH_2)_3$	0	3-HO-Pyz-4-yl
3-579	Pip	CH_2CH_2	$(CH_2)_3$	0	Pymz-2-yl
3-580	Pip	CH_2CH_2	$(CH_2)_3$	0	Pymz-4-yl
3-581	Pip	CH_2CH_2	$(CH_2)_3$	0	Pymz-5-yl
3-582	Pip	CH_2CH_2	$(CH_2)_3$	0	4-Me-Pymz-2-yl
3-583	Pip	CH_2CH_2	$(CH_2)_3$	0	5-Me-Pymz-2-yl
3-584	Pip	CH_2CH_2	$(CH_2)_3$	0	2-Me-Pymz-4-yl
3-585	Pip	CH_2CH_2	$(CH_2)_3$	0	5-Me-Pymz-4-yl
3-586	Pip	CH_2CH_2	$(CH_2)_3$	0	6-Me-Pymz-4-yl
3-587	Pip	CH_2CH_2	$(CH_2)_3$	0	4-Cl-Pymz-2-yl
3-588	Pip	CH_2CH_2	$(CH_2)_3$	0	2-Me-Pymz-4-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-589	Pip	CH_2CH_2	$(CH_2)_3$	0	4-NH_2-Pymz-2-yl
3-590	Pip	CH_2CH_2	$(CH_2)_3$	0	5-NH_2-Pymz-2-yl
3-591	Pip	CH_2CH_2	$(CH_2)_3$	0	2-NH_2-Pymz-4-yl
3-592	Pip	CH_2CH_2	$(CH_2)_3$	0	5-NH_2-Pymz-4-yl
3-593	Pip	CH_2CH_2	$(CH_2)_3$	0	4-AcNH-Pymz-2-yl
3-594	Pip	CH_2CH_2	$(CH_2)_3$	0	2-AcNH-Pymz-4-yl
3-595	Pip	CH_2CH_2	$(CH_2)_3$	0	4-NH_2-5-HO-Pymz-2-yl
3-596	Pip	CH_2CH_2	$(CH_2)_3$	0	2-NH_2-5-HO-Pymz-4-yl
3-597	Pip	CH_2CH_2	$(CH_2)_3$	0	4,6-diNH_2-Pymz-2-yl
3-598	Pip	CH_2CH_2	$(CH_2)_3$	0	2,5-diNH_2-Pymz-4-yl
3-599	Pip	CH_2CH_2	$(CH_2)_4$	0	Imdazo-2-yl
3-600	Pip	CH_2CH_2	$(CH_2)_4$	0	1,3,4-Oxadiazo-2-yl
3-601	Pip	CH_2CH_2	$(CH_2)_4$	0	1,3,4-Thiadiazo-2-yl
3-602	Pip	CH_2CH_2	$(CH_2)_4$	0	1,2,4-Triazo-3-yl
3-603	Pip	CH_2CH_2	$(CH_2)_4$	0	1,2,4-Triazo-5-yl
3-604	Pip	CH_2CH_2	$(CH_2)_4$	0	Tetrazo-5-yl
3-605	Pip	CH_2CH_2	$(CH_2)_4$	0	Pyz-2-yl
3-606	Pip	CH_2CH_2	$(CH_2)_4$	0	Pyz-3-yl
3-607	Pip	CH_2CH_2	$(CH_2)_4$	0	Pyz-4-yl
3-608	Pip	CH_2CH_2	$(CH_2)_4$	0	Pymz-2-yl
3-609	Pip	CH_2CH_2	$(CH_2)_4$	0	Pymz-4-yl
3-610	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Imdazo-2-yl
3-611	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	1,3,4-Oxadiazo-2-yl
3-612	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	1,3,4-Thiadiazo-2-yl
3-613	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-3-yl
3-614	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-5-yl
3-615	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Tetrazo-5-yl
3-616	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Pyz-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-617	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Pyz-4-yl
3-618	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Pymz-2-yl
3-619	Pip	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Pymz-4-yl
3-620	Pip	CH_2CH_2	$(CH_2)_5$	0	Imdazo-2-yl
3-621	Pip	CH_2CH_2	$(CH_2)_5$	0	1,3,4-Oxadiazo-2-yl
3-622	Pip	CH_2CH_2	$(CH_2)_5$	0	1,3,4-Thiadiazo-2-yl
3-623	Pip	CH_2CH_2	$(CH_2)_5$	0	1,2,4-Triazo-3-yl
3-624	Pip	CH_2CH_2	$(CH_2)_5$	0	1,2,4-Triazo-5-yl
3-625	Pip	CH_2CH_2	$(CH_2)_5$	0	Tetrazo-5-yl
3-626	Pip	CH_2CH_2	$(CH_2)_5$	0	Pyz-2-yl
3-627	Pip	CH_2CH_2	$(CH_2)_5$	0	Pyz-4-yl
3-628	Pip	CH_2CH_2	$(CH_2)_5$	0	Pymz-2-yl
3-629	Pip	CH_2CH_2	$(CH_2)_5$	0	Pymz-4-yl
3-630	Pip	CH_2CH_2	$(CH_2)_6$	0	Imdazo-2-yl
3-631	Pip	CH_2CH_2	$(CH_2)_6$	0	1,3,4-Oxadiazo-2-yl
3-632	Pip	CH_2CH_2	$(CH_2)_6$	0	1,3,4-Thiadiazo-2-yl
3-633	Pip	CH_2CH_2	$(CH_2)_6$	0	1,2,4-Triazo-3-yl
3-634	Pip	CH_2CH_2	$(CH_2)_6$	0	1,2,4-Triazo-5-yl
3-635	Pip	CH_2CH_2	$(CH_2)_6$	0	Tetrazo-5-yl
3-636	Pip	CH_2CH_2	$(CH_2)_6$	0	Pyz-3-yl
3-637	Pip	CH_2CH_2	$(CH_2)_6$	0	Pyz-4-yl
3-638	Pip	CH_2CH_2	$(CH_2)_6$	0	Pymz-2-yl
3-639	Pip	CH_2CH_2	$(CH_2)_6$	0	Pymz-4-yl
3-640	Pyr	CH_2CH_2	CH_2	0	Imdazo-2-yl
3-641	Pyr	CH_2CH_2	CH_2	0	Imdazo-4-yl
3-642	Pyr	CH_2CH_2	CH_2	0	1,3,4-Oxadiazo-2-yl
3-643	Pyr	CH_2CH_2	CH_2	0	1,3,4-Thiadiazo-2-yl
3-644	Pyr	CH_2CH_2	CH_2	0	1,2,4-Triazo-3-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-645	Pyr	CH_2CH_2	CH_2	0	1,2,4-Triazo-5-yl
3-646	Pyr	CH_2CH_2	CH_2	0	Tetrazo-5-yl
3-647	Pyr	CH_2CH_2	CH_2	0	Pyz-2-yl
3-648	Pyr	CH_2CH_2	CH_2	0	Pyz-4-yl
3-649	Pyr	CH_2CH_2	CH_2	0	3-Me-Pyz-2-yl
3-650	Pyr	CH_2CH_2	CH_2	0	2-Me-Pyz-3-yl
3-651	Pyr	CH_2CH_2	CH_2	0	3-NH_2-Pyz-2-yl
3-652	Pyr	CH_2CH_2	CH_2	0	2-HO-Pyz-3-yl
3-653	Pyr	CH_2CH_2	CH_2	0	Pymz-2-yl
3-654	Pyr	CH_2CH_2	CH_2	0	Pymz-4-yl
3-655	Pyr	CH_2CH_2	CH_2	0	4-Me-Pymz-2-yl
3-656	Pyr	CH_2CH_2	CH_2	0	5-Me-Pymz-2-yl
3-657	Pyr	CH_2CH_2	CH_2	0	2-Me-Pymz-4-yl
3-658	Pyr	CH_2CH_2	CH_2	0	6-Me-Pymz-4-yl
3-659	Pyr	CH_2CH_2	CH_2	0	4-NH_2-Pymz-2-yl
3-660	Pyr	CH_2CH_2	CH_2	0	4-HO-Pymz-2-yl
3-661	Pyr	CH_2CH_2	CH_2	0	4-NH_2-5-HO-Pymz-2-yl
3-662	Pyr	CH_2CH_2	CH_2CH_2	0	Imdazo-2-yl
3-663	Pyr	CH_2CH_2	CH_2CH_2	0	Imdazo-4-yl
3-664	Pyr	CH_2CH_2	CH_2CH_2	0	1,3,4-Oxadiazo-2-yl
3-665	Pyr	CH_2CH_2	CH_2CH_2	0	1,3,4-Thiadiazo-2-yl
3-666	Pyr	CH_2CH_2	CH_2CH_2	0	1,2,4-Triazo-3-yl
3-667	Pyr	CH_2CH_2	CH_2CH_2	0	1,2,4-Triazo-5-yl
3-668	Pyr	CH_2CH_2	CH_2CH_2	0	Tetrazo-5-yl
3-669	Pyr	CH_2CH_2	CH_2CH_2	0	Pyz-2-yl
3-670	Pyr	CH_2CH_2	CH_2CH_2	0	Pyz-4-yl
3-671	Pyr	CH_2CH_2	CH_2CH_2	0	3-Me-Pyz-2-yl
3-672	Pyr	CH_2CH_2	CH_2CH_2	0	3-NH_2-Pyz-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-673	Pyr	CH_2CH_2	CH_2CH_2	0	3-HO-Pyz-2-yl
3-674	Pyr	CH_2CH_2	CH_2CH_2	0	Pymz-2-yl
3-675	Pyr	CH_2CH_2	CH_2CH_2	0	Pymz-4-yl
3-676	Pyr	CH_2CH_2	CH_2CH_2	0	Pymz-5-yl
3-677	Pyr	CH_2CH_2	CH_2CH_2	0	4-Me-Pymz-2-yl
3-678	Pyr	CH_2CH_2	CH_2CH_2	0	5-Me-Pymz-2-yl
3-679	Pyr	CH_2CH_2	CH_2CH_2	0	2-Me-Pymz-4-yl
3-680	Pyr	CH_2CH_2	CH_2CH_2	0	5-Me-Pymz-4-yl
3-681	Pyr	CH_2CH_2	CH_2CH_2	0	4-NH_2-Pymz-2-yl
3-682	Pyr	CH_2CH_2	CH_2CH_2	0	2-HO-Pymz-2-yl
3-683	Pyr	CH_2CH_2	$(CH_2)_3$	0	Imdazo-2-yl
3-684	Pyr	CH_2CH_2	$(CH_2)_3$	0	Imdazo-4-yl
3-685	Pyr	CH_2CH_2	$(CH_2)_3$	0	1,3,4-Oxadiazo-2-yl
3-686	Pyr	CH_2CH_2	$(CH_2)_3$	0	1,3,4-Thiadiazo-2-yl
3-687	Pyr	CH_2CH_2	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-688	Pyr	CH_2CH_2	$(CH_2)_3$	0	1,2,4-Triazo-5-yl
3-689	Pyr	CH_2CH_2	$(CH_2)_3$	0	Tetrazo-5-yl
3-690	Pyr	CH_2CH_2	$(CH_2)_3$	0	Pyz-2-yl
3-691	Pyr	CH_2CH_2	$(CH_2)_3$	0	Pyz-3-yl
3-692	Pyr	CH_2CH_2	$(CH_2)_3$	0	Pyz-4-yl
3-693	Pyr	CH_2CH_2	$(CH_2)_3$	0	3-Me-Pyz-2-yl
3-694	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-Me-Pyz-4-yl
3-695	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-Cl-Pyz-3-yl
3-696	Pyr	CH_2CH_2	$(CH_2)_3$	0	3-NH_2-Pyz-2-yl
3-697	Pyr	CH_2CH_2	$(CH_2)_3$	0	3-NH_2-Pyz-4-yl
3-698	Pyr	CH_2CH_2	$(CH_2)_3$	0	3-HO-Pyz-2-yl
3-699	Pyr	CH_2CH_2	$(CH_2)_3$	0	Pymz-2-yl
3-700	Pyr	CH_2CH_2	$(CH_2)_3$	0	Pymz-4-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-701	Pyr	CH_2CH_2	$(CH_2)_3$	0	4-Me-Pymz-2-yl
3-702	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-Me-Pymz-4-yl
3-703	Pyr	CH_2CH_2	$(CH_2)_3$	0	5-Me-Pymz-4-yl
3-704	Pyr	CH_2CH_2	$(CH_2)_3$	0	4-Me-Pymz-5-yl
3-705	Pyr	CH_2CH_2	$(CH_2)_3$	0	5-NH_2-Pymz-2-yl
3-706	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-NH_2-Pymz-4-yl
3-707	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-HO-Pymz-4-yl
3-708	Pyr	CH_2CH_2	$(CH_2)_3$	0	4-NH_2-5-HO-Pymz-2-yl
3-709	Pyr	CH_2CH_2	$(CH_2)_3$	0	2-NH_2-5-HO-Pymz-4-yl
3-710	Pyr	CH_2CH_2	$(CH_2)_3$	0	4,6-diNH_2-Pymz-2-yl
3-711	Pyr	CH_2CH_2	$(CH_2)_4$	0	Imdazo-2-yl
3-712	Pyr	CH_2CH_2	$(CH_2)_4$	0	1,3,4-Oxadiazo-2-yl
3-713	Pyr	CH_2CH_2	$(CH_2)_4$	0	1,3,4-Thiadiazo-2-yl
3-714	Pyr	CH_2CH_2	$(CH_2)_4$	0	1,2,4-Triazo-3-yl
3-715	Pyr	CH_2CH_2	$(CH_2)_4$	0	1,2,4-Triazo-5-yl
3-716	Pyr	CH_2CH_2	$(CH_2)_4$	0	Tetrazo-5-yl
3-717	Pyr	CH_2CH_2	$(CH_2)_4$	0	Pyz-2-yl
3-718	Pyr	CH_2CH_2	$(CH_2)_4$	0	Pyz-3-yl
3-719	Pyr	CH_2CH_2	$(CH_2)_4$	0	Pyz-4-yl
3-720	Pyr	CH_2CH_2	$(CH_2)_4$	0	Pymz-2-yl
3-721	Pyr	CH_2CH_2	$(CH_2)_4$	0	Pymz-4-yl
3-722	Pyr	CH_2CH_2	$(CH_2)_4$	0	Pymz-5-yl
3-723	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Imdazo-2-yl
3-724	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	1,3,4-Oxadiazo-2-yl
3-725	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	1,3,4-Thiadiazo-2-yl
3-726	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-3-yl
3-727	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-5-yl
3-728	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Tetrazo-5-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-729	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Pyz-2-yl
3-730	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Pyz-3-yl
3-731	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Pymz-2-yl
3-732	Pyr	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Pymz-4-yl
3-733	Pyr	CH_2CH_2	$(CH_2)_5$	0	Imdazo-2-yl
3-734	Pyr	CH_2CH_2	$(CH_2)_5$	0	1,3,4-Oxadiazo-2-yl
3-735	Pyr	CH_2CH_2	$(CH_2)_5$	0	1,3,4-Thiadiazo-2-yl
3-736	Pyr	CH_2CH_2	$(CH_2)_5$	0	1,2,4-Triazo-3-yl
3-737	Pyr	CH_2CH_2	$(CH_2)_5$	0	1,2,4-Triazo-5-yl
3-738	Pyr	CH_2CH_2	$(CH_2)_5$	0	Tetrazo-5-yl
3-739	Pyr	CH_2CH_2	$(CH_2)_5$	0	Pyz-2-yl
3-740	Pyr	CH_2CH_2	$(CH_2)_5$	0	Pyz-3-yl
3-741	Pyr	CH_2CH_2	$(CH_2)_5$	0	Pymz-2-yl
3-742	Pyr	CH_2CH_2	$(CH_2)_5$	0	Pymz-4-yl
3-743	Pyr	CH_2CH_2	$(CH_2)_6$	0	Imdazo-2-yl
3-744	Pyr	CH_2CH_2	$(CH_2)_6$	0	1,3,4-Oxadiazo-2-yl
3-745	Pyr	CH_2CH_2	$(CH_2)_6$	0	1,3,4-Thiadiazo-2-yl
3-746	Pyr	CH_2CH_2	$(CH_2)_6$	0	1,2,4-Triazo-3-yl
3-747	Pyr	CH_2CH_2	$(CH_2)_6$	0	1,2,4-Triazo-5-yl
3-748	Pyr	CH_2CH_2	$(CH_2)_6$	0	Tetrazo-5-yl
3-749	Pyr	CH_2CH_2	$(CH_2)_6$	0	Pyz-2-yl
3-750	Pyr	CH_2CH_2	$(CH_2)_6$	0	Pyz-3-yl
3-751	Pyr	CH_2CH_2	$(CH_2)_6$	0	Pymz-2-yl
3-752	Pyr	CH_2CH_2	$(CH_2)_6$	0	Pymz-4-yl
3-753	NMe_2	CH_2CH_2	CH_2	0	Imdazo-2-yl
3-754	NMe_2	CH_2CH_2	CH_2	0	1,3,4-Oxadiazo-2-yl
3-755	NMe_2	CH_2CH_2	CH_2	0	1,3,4-Thiadiazo-2-yl
3-756	NMe_2	CH_2CH_2	CH_2	0	1,2,4-Triazo-3-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-757	NMe_2	CH_2CH_2	CH_2	0	1,2,4-Triazo-5-yl
3-758	NMe_2	CH_2CH_2	CH_2	0	Tetrazo-5-yl
3-759	NMe_2	CH_2CH_2	CH_2	0	Pyz-2-yl
3-760	NMe_2	CH_2CH_2	CH_2	0	3-Me-Pyz-2-yl
3-761	NMe_2	CH_2CH_2	CH_2	0	Pymz-2-yl
3-762	NMe_2	CH_2CH_2	CH_2	0	4-Me-Pymz-2-yl
3-763	NMe_2	CH_2CH_2	CH_2	0	6-Me-Pymz-4-yl
3-764	NMe_2	CH_2CH_2	CH_2CH_2	0	1,3,4-Oxadiazo-2-yl
3-765	NMe_2	CH_2CH_2	CH_2CH_2	0	1,2,4-Triazo-3-yl
3-766	NMe_2	CH_2CH_2	CH_2CH_2	0	1,2,4-Triazo-5-yl
3-767	NMe_2	CH_2CH_2	CH_2CH_2	0	Pyz-2-yl
3-768	NMe_2	CH_2CH_2	CH_2CH_2	0	3-Me-Pyz-2-yl
3-769	NMe_2	CH_2CH_2	CH_2CH_2	0	Pymz-2-yl
3-770	NMe_2	CH_2CH_2	CH_2CH_2	0	Pymz-4-yl
3-771	NMe_2	CH_2CH_2	CH_2CH_2	0	4-Me-Pymz-2-yl
3-772	NMe_2	CH_2CH_2	CH_2CH_2	0	2-Me-Pymz-4-yl
3-773	NMe_2	CH_2CH_2	$(CH_2)_3$	0	Imdazo-2-yl
3-774	NMe_2	CH_2CH_2	$(CH_2)_3$	0	Imdazo-4-yl
3-775	NMe_2	CH_2CH_2	$(CH_2)_3$	0	1,3,4-Oxadiazo-2-yl
3-776	NMe_2	CH_2CH_2	$(CH_2)_3$	0	1,3,4-Thiadiazo-2-yl
3-777	NMe_2	CH_2CH_2	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-778	NMe_2	CH_2CH_2	$(CH_2)_3$	0	1,2,4-Triazo-5-yl
3-779	NMe_2	CH_2CH_2	$(CH_2)_3$	0	Tetrazo-5-yl
3-780	NMe_2	CH_2CH_2	$(CH_2)_3$	0	Pyz-2-yl
3-781	NMe_2	CH_2CH_2	$(CH_2)_3$	0	Pymz-2-yl
3-782	NMe_2	CH_2CH_2	$(CH_2)_3$	0	Pymz-4-yl
3-783	NMe_2	CH_2CH_2	$(CH_2)_4$	0	1,3,4-Oxadiazo-2-yl
3-784	NMe_2	CH_2CH_2	$(CH_2)_4$	0	1,2,4-Triazo-3-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-785	NMe_2	CH_2CH_2	$(CH_2)_4$	0	1,2,4-Triazo-5-yl
3-786	NMe_2	CH_2CH_2	$(CH_2)_4$	0	Tetrazo-5-yl
3-787	NMe_2	CH_2CH_2	$(CH_2)_4$	0	Pyz-2-yl
3-788	NMe_2	CH_2CH_2	$(CH_2)_4$	0	Pymz-2-yl
3-789	NMe_2	CH_2CH_2	$(CH_2)_4$	0	Pymz-4-yl
3-790	NMe_2	CH_2CH_2	$CH_2CH(Me)CH_2$	0	1,3,4-Oxadiazo-2-yl
3-791	NMe_2	CH_2CH_2	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-3-yl
3-792	NMe_2	CH_2CH_2	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-5-yl
3-793	NMe_2	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Pymz-2-yl
3-794	NMe_2	CH_2CH_2	$CH_2CH(Me)CH_2$	0	Pymz-4-yl
3-795	NMe_2	CH_2CH_2	$(CH_2)_5$	0	1,3,4-Oxadiazo-2-yl
3-796	NMe_2	CH_2CH_2	$(CH_2)_5$	0	1,2,4-Triazo-3-yl
3-797	NMe_2	CH_2CH_2	$(CH_2)_5$	0	1,2,4-Triazo-5-yl
3-798	NMe_2	CH_2CH_2	$(CH_2)_5$	0	Pymz-2-yl
3-799	NMe_2	CH_2CH_2	$(CH_2)_5$	0	Pymz-4-yl
3-800	NMe_2	CH_2CH_2	$(CH_2)_6$	0	1,3,4-Oxadiazo-2-yl
3-801	NMe_2	CH_2CH_2	$(CH_2)_6$	0	1,2,4-Triazo-3-yl
3-802	NMe_2	CH_2CH_2	$(CH_2)_6$	0	1,2,4-Triazo-5-yl
3-803	NMe_2	CH_2CH_2	$(CH_2)_6$	0	Pymz-2-yl
3-804	NMe_2	CH=CH	$(CH_2)_6$	0	Pymz-4-yl
3-805	Azi	CH_2CH_2	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-806	Azi	CH_2CH_2	$(CH_2)_3$	0	Pymz-2-yl
3-807	Aze	CH_2CH_2	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-808	Aze	CH_2CH_2	$(CH_2)_3$	0	Pymz-2-yl
3-809	Pip	CH_2	CH_2	0	Imdazo-2-yl
3-810	Pip	CH_2	CH_2	0	1,3,4-Oxadiazo-2-yl
3-811	Pip	CH_2	CH_2	0	1,3,4-Thiadiazo-2-yl
3-812	Pip	CH_2	CH_2	0	1,2,4-Triazo-3-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-813	Pip	CH_2	CH_2	0	1,2,4-Triazo-5-yl
3-814	Pip	CH_2	CH_2	0	Tetrazo-5-yl
3-815	Pip	CH_2	CH_2	0	Pyz-2-yl
3-816	Pip	CH_2	CH_2	0	3-Me-Pyz-2-yl
3-817	Pip	CH_2	CH_2	0	Pymz-2-yl
3-818	Pip	CH_2	CH_2	0	4-Me-Pymz-2-yl
3-819	Pip	CH_2	CH_2	0	6-Me-Pymz-4-yl
3-820	Pip	CH_2	CH_2CH_2	0	1,3,4-Oxadiazo-2-yl
3-821	Pip	CH_2	CH_2CH_2	0	1,2,4-Triazo-3-yl
3-822	Pip	CH_2	CH_2CH_2	0	1,2,4-Triazo-5-yl
3-823	Pip	CH_2	CH_2CH_2	0	Pyz-2-yl
3-824	Pip	CH_2	CH_2CH_2	0	3-Me-Pyz-2-yl
3-825	Pip	CH_2	CH_2CH_2	0	Pymz-2-yl
3-826	Pip	CH_2	CH_2CH_2	0	Pymz-4-yl
3-827	Pip	CH_2	CH_2CH_2	0	4-Me-Pymz-2-yl
3-828	Pip	CH_2	CH_2CH_2	0	2-Me-Pymz-4-yl
3-829	Pip	CH_2	$(CH_2)_3$	0	Imdazo-2-yl
3-830	Pip	CH_2	$(CH_2)_3$	0	Imdazo-4-yl
3-831	Pip	CH_2	$(CH_2)_3$	0	1,3,4-Oxadiazo-2-yl
3-832	Pip	CH_2	$(CH_2)_3$	0	1,3,4-Thiadiazo-2-yl
3-833	Pip	CH_2	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-834	Pip	CH_2	$(CH_2)_3$	0	1,2,4-Triazo-5-yl
3-835	Pip	CH_2	$(CH_2)_3$	0	Tetrazo-5-yl
3-836	Pip	CH_2	$(CH_2)_3$	0	Pyz-2-yl
3-837	Pip	CH_2	$(CH_2)_3$	0	Pymz-2-yl
3-838	Pip	CH_2	$(CH_2)_3$	0	Pymz-4-yl
3-839	Pip	CH_2	$(CH_2)_4$	0	1,3,4-Oxadiazo-2-yl
3-840	Pip	CH_2	$(CH_2)_4$	0	1,2,4-Triazo-3-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-841	Pip	CH_2	$(CH_2)_4$	0	1,2,4-Triazo-5-yl
3-842	Pip	CH_2	$(CH_2)_4$	0	Tetrazo-5-yl
3-843	Pip	CH_2	$(CH_2)_4$	0	Pyz-2-yl
3-844	Pip	CH_2	$(CH_2)_4$	0	Pymz-2-yl
3-845	Pip	CH_2	$(CH_2)_4$	0	Pymz-4-yl
3-846	Pip	CH_2	$CH_2CH(Me)CH_2$	0	1,3,4-Oxadiazo-2-yl
3-847	Pip	CH_2	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-3-yl
3-848	Pip	CH_2	$CH_2CH(Me)CH_2$	0	1,2,4-Triazo-5-yl
3-849	Pip	CH_2	$CH_2CH(Me)CH_2$	0	Pymz-2-yl
3-850	Pip	CH_2	$CH_2CH(Me)CH_2$	0	Pymz-4-yl
3-851	Pip	CH_2	$(CH_2)_5$	0	1,3,4-Oxadiazo-2-yl
3-852	Pip	CH_2	$(CH_2)_5$	0	1,2,4-Triazo-3-yl
3-853	Pip	CH_2	$(CH_2)_5$	0	1,2,4-Triazo-5-yl
3-854	Pip	CH_2	$(CH_2)_5$	0	Pymz-2-yl
3-855	Pip	CH_2	$(CH_2)_5$	0	Pymz-4-yl
3-856	Pip	CH_2	$(CH_2)_6$	0	1,3,4-Oxadiazo-2-yl
3-857	Pip	CH_2	$(CH_2)_6$	0	1,2,4-Triazo-3-yl
3-858	Pip	CH_2	$(CH_2)_6$	0	1,2,4-Triazo-5-yl
3-859	Pip	CH_2	$(CH_2)_6$	0	Pymz-2-yl
3-860	Pip	CH_2	$(CH_2)_6$	0	Pymz-4-yl
3-861	Pip	$(CH_2)_3$	CH_2	0	1,3,4-Oxadiazo-2-yl
3-862	Pip	$(CH_2)_3$	CH_2	0	1,2,4-Triazo-3-yl
3-863	Pip	$(CH_2)_3$	CH_2	0	Pymz-2-yl
3-864	Pip	$(CH_2)_3$	CH_2CH_2	0	1,3,4-Oxadiazo-2-yl
3-865	Pip	$(CH_2)_3$	CH_2CH_2	0	1,2,4-Triazo-3-yl
3-866	Pip	$(CH_2)_3$	CH_2CH_2	0	Pymz-2-yl
3-867	Pip	$(CH_2)_3$	CH_2CH_2	0	Pymz-4-yl
3-868	Pip	$(CH_2)_3$	$(CH_2)_3$	0	Imdazo-2-yl

Table 3 (cont.)

Cpd. No.	R^1	A	B	m	R^5
3-869	Pip	$(CH_2)_3$	$(CH_2)_3$	0	Imdazo-4-yl
3-870	Pip	$(CH_2)_3$	$(CH_2)_3$	0	1,3,4-Oxadiazo-2-yl
3-871	Pip	$(CH_2)_3$	$(CH_2)_3$	0	1,3,4-Thiadiazo-2-yl
3-872	Pip	$(CH_2)_3$	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-873	Pip	$(CH_2)_3$	$(CH_2)_3$	0	1,2,4-Triazo-5-yl
3-874	Pip	$(CH_2)_3$	$(CH_2)_3$	0	Tetrazo-5-yl
3-875	Pip	$(CH_2)_3$	$(CH_2)_3$	0	Pyz-2-yl
3-876	Pip	$(CH_2)_3$	$(CH_2)_3$	0	Pymz-2-yl
3-877	Pip	$(CH_2)_3$	$(CH_2)_3$	0	Pymz-4-yl
3-878	Pip	$(CH_2)_3$	$(CH_2)_4$	0	1,3,4-Oxadiazo-2-yl
3-879	Pip	$(CH_2)_3$	$(CH_2)_4$	0	1,2,4-Triazo-3-yl
3-880	Pip	$(CH_2)_3$	$(CH_2)_4$	0	Pymz-2-yl
3-881	Pip	$(CH_2)_3$	$(CH_2)_4$	0	Pymz-4-yl
3-882	Pip	$(CH_2)_3$	$(CH_2)_5$	0	1,3,4-Oxadiazo-2-yl
3-883	Pip	$(CH_2)_3$	$(CH_2)_5$	0	1,2,4-Triazo-3-yl
3-884	Pip	$(CH_2)_3$	$(CH_2)_5$	0	Pymz-2-yl
3-885	Pip	$(CH_2)_3$	$(CH_2)_5$	0	Pymz-4-yl
3-886	Pip	$(CH_2)_3$	$(CH_2)_6$	0	1,3,4-Oxadiazo-2-yl
3-887	Pip	$(CH_2)_3$	$(CH_2)_6$	0	1,2,4-Triazo-5-yl
3-888	Pip	$(CH_2)_3$	$(CH_2)_6$	0	Pymz-2-yl
3-889	Pip	$(CH_2)_3$	$(CH_2)_6$	0	Pymz-4-yl
3-890	Pyr	CH_2	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-891	Pyr	CH_2	$(CH_2)_3$	0	Pymz-2-yl
3-892	Azi	CH_2	$(CH_2)_3$	0	1,2,4-Triazo-3-yl
3-893	Aze	CH_2	$(CH_2)_3$	0	Pymz-2-yl

Of the compounds listed above, the following are preferred, that is to say Compounds No. 1-1, 1-5, 1-16, 1-17, 1-19, 1-28, 1-31, 1-45, 1-46, 1-47, 1-61, 1-82, 1-87, 1-92, 1-115, 1-116, 1-125, 1-137, 1-166, 1-185, 1-216, 1-260, 1-350, 1-462, 1-591, 1-612, 1-951, 1-974, 1-975, 1-976, 1-977, 1-981, 1-985, 1-1004, 1-1016, 1-1018, 1-1019, 1-1020, 1-1021, 1-1022, 1-1023, 1-1065, 1-1124, 1-1168, 1-1169, 1-1274, 2-2, 2-4, 2-5, 2-6, 2-7, 2-8, 2-9, 2-10, 2-12, 2-20, 2-27, 2-28, 2-42, 2-44, 2-57, 2-59, 2-96, 2-98, 2-123, 2-209, 2-211, 2-212, 2-216, 2-217, 2-218, 2-297, 2-298, 2-390, 2-391, 2-392, 2-461, 2-482, 2-483, 2-493, 2-494, 2-506, 2-508, 2-509, 2-852, 2-854, 2-1059, 2-1061, 2-1147, 2-1148, 3-8, 3-14, 3-25, 3-79, 3-82, 3-86, 3-87, 3-89, 3-98, 3-100, 3-101, 3-103, 3-118, 3-119, 3-121, 3-136, 3-238, 3-405 and 3-579. More preferred compounds are Compounds No.

1-46, 1-116, 1-137, 1-591, 1-612, 1-974, 1-1019, 2-2, 2-4, 2-5, 2-6, 2-7, 2-9, 2-10, 2-12, 2-20, 2-27, 2-28, 2-209, 2-211, 2-212, 2-216, 2-217, 2-218, 2-390, 2-392, 2-1147, 2-1148, 3-118, 3-238 and 3-579.

The most preferred compounds of the present invention are Compounds No.:

1-116. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]pyrazole-4-carboxamide;

1-137. 3-amino-N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]pyrazole-4-carboxamide;

2-2. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide;

2-4. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-acetoxyethylthio)acetamide;

2-5. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-propionyloxyethylthio)acetamide;

2-6. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-butyryloxyethylthio)acetamide;

2-7. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-isobutyryloxyethylthio)acetamide;

2-9. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-isovaleryloxyethylthio)acetamide;

2-10. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-phenylacetoxyethylthio)acetamide;

2-12. 2-{N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]carbamoylmethylthio}ethyl hydrogen succinate;

2-20. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-benzoyloxyethylthio)acetamide;

2-27. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-cyclopentylcarbonyloxyethylthio)acetamide;

2-28. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-cyclohexylcarbonyloxyethylthio)acetamide;

2-390. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylsulphinyl)acetamide;

2-392. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-propionyloxyethylsulphinyl)acetamide;

2-1147. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-[2-(3,3-dimethylbutyryloxy)ethylthio)acetamide;

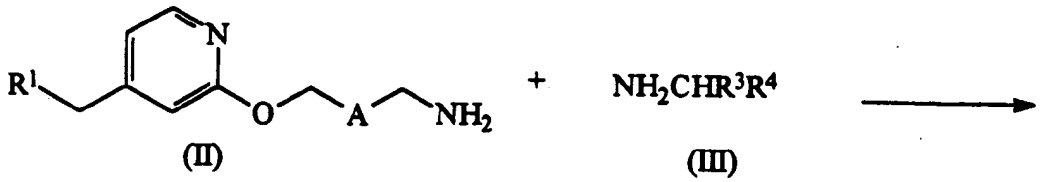
2-1148. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-[2-(2,2-dimethylpropionyloxy)ethylthio)acetamide;

3-118. N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(2-pyrimidinylthio)butyramide;

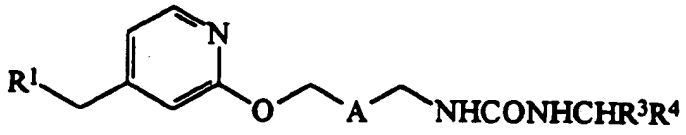
and pharmaceutically acceptable salts thereof.

The compounds of the present invention may be prepared by a variety of methods well known in the art for the preparation of compounds of this type. For example, they may be prepared by the following Reactions A to G:

Reaction A:

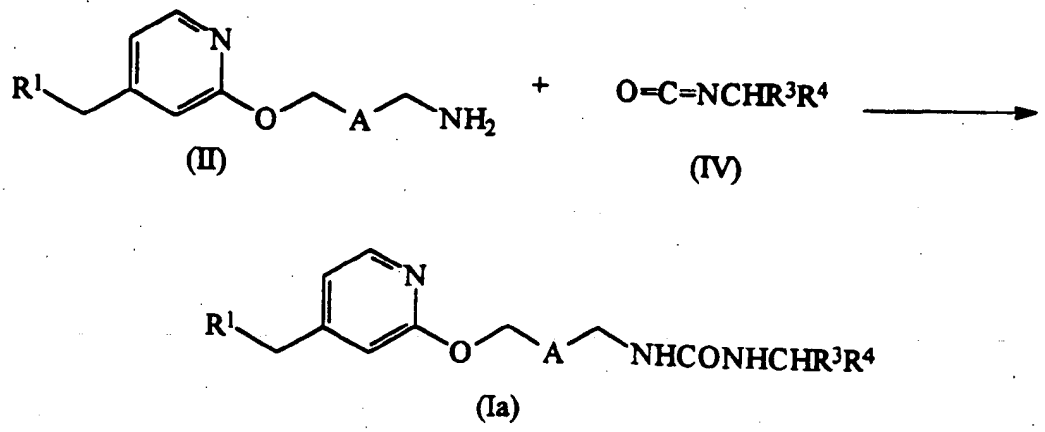


(II) (III)

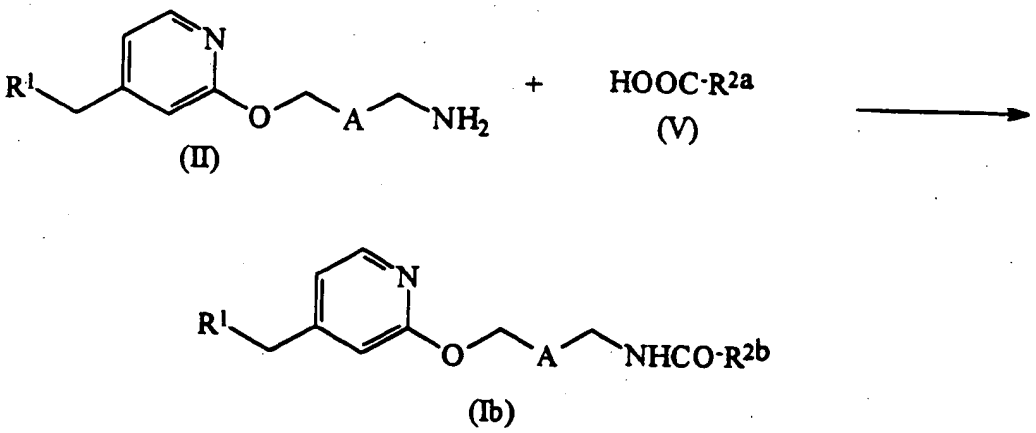


(Ia)

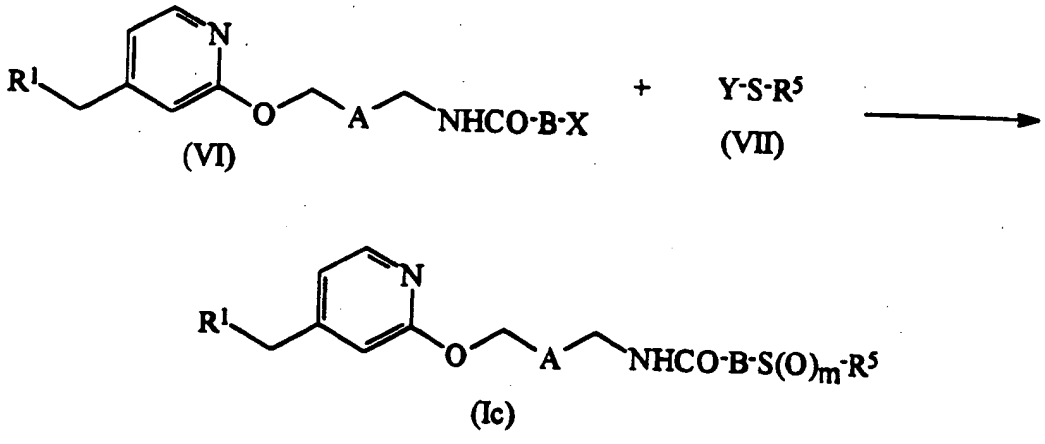
Reaction B:



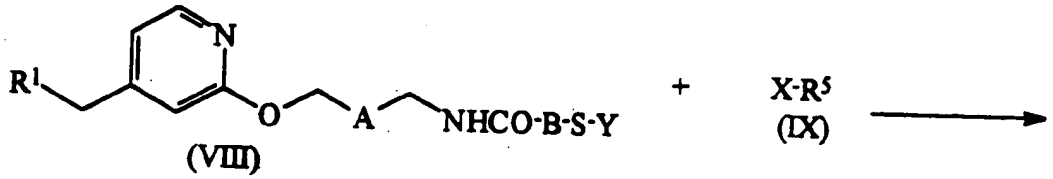
Reaction C:



Reaction D:

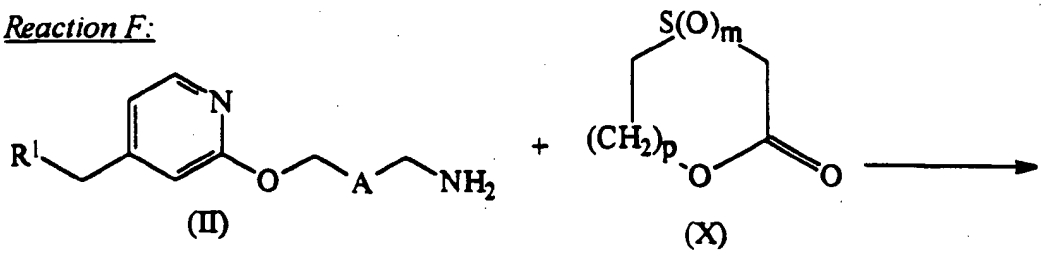


Reaction E:



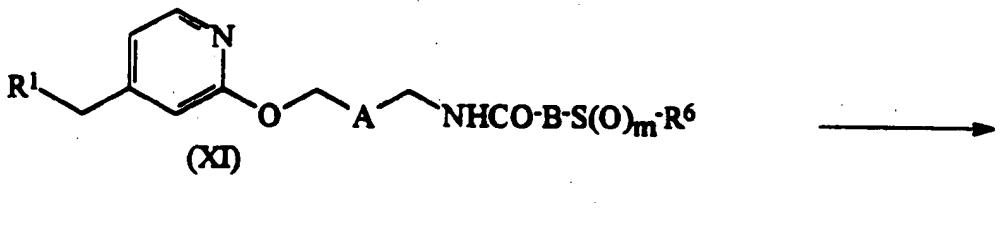
(VIII) (IX) (Ic)

Reaction F:



(II) (X) (Id)

Reaction G:



(XI) (Ie)

In the above formulae:

R^1, R^2, R^3, R^4, R^5, A, B and m are as defined above;

R^{2a} represents any of the groups defined above for R^2, except the groups of formula -NHCHR^{3}R^4 (wherein R^3 and R^4 are as defined above) and provided that any hydroxy group in the group represented by R^2 is protected;

R^{2b} represents any of the groups defined above for R^2, except the groups of formula -NHCHR^{3}R^4 (wherein R^3 and R^4 are as defined above);

R^{5a} represents a hydroxyalkyl group having from 2 to 4 carbon atoms (with the proviso that the group must

include a moiety having the formula -CH_2OH);

R^6 represents an alkyl group having from 1 to 3 carbon atoms and substituted with a carboxy or alkoxycarbonyl group having from 1 to 6 carbon atoms in the alkoxy moiety;

X represents a halogen atom, preferably a chlorine, bromine or iodine atom;

Y represents a hydrogen atom or an alkali metal atom, such as a lithium, sodium or potassium atom; and

p is an integer from 1 to 3.

Where a hydroxy-protecting group is present, there is no particular limitation upon the nature of this group, and any such group well known in the field of organic chemistry may equally be used here. Typical examples of such groups include: cyclic ether groups, such as the tetrahydropyranyl, tetrahydrofuranyl and tetrahydrothiopyranyl groups; tri(C_1-C_4alkyl)silyl or di(C_1-C_4alkyl)arylsilyl groups, such as the trimethylsilyl, triethylsilyl, t-butyldimethylsilyl and methyldiphenylsilyl groups; methyl groups substituted with a methoxy, methylthio or trihaloethoxy group, such as the methoxymethyl, methylthiomethyl and 2,2,2-trichloroethoxymethyl groups; and aralkyl groups, such as the benzyl and diphenylmethyl groups. Of these, we particularly prefer the cyclic ether groups (particularly a tetrahydropyranyl group), the substituted silyl groups (particularly a trimethylsilyl or t-butyldimethylsilyl group) and the methoxymethyl group.

In Reaction A, a compound of formula (Ia), i.e. a compound of formula (I) in which R^2 represents a group of formula -$NHCHR^3R^4$ (wherein R^3 and R^4 are as defined above) is prepared by reacting a compound of formula (II) with a compound of formula (III) in the presence of carbonyldiimidazole in an inert solvent.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene or xylene; halogenated hydrocarbons, especially halogenated aliphatic hydrocarbons, such as methylene chloride or chloroform; ethers, such as diethyl ether, tetrahydrofuran or dioxane; amides, such as dimethylformamide, diethylformamide or dimethylacetamide; nitriles, such as acetonitrile; and sulphoxides, such as dimethyl sulphoxide. Of these, we prefer the halogenated hydrocarbons.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -20°C to 100°C (more preferably from 0°C to 50°C). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 30 minutes to 10 hours (more preferably from 1 to 5 hours) will usually suffice.

After completion of the reaction, the desired compound can be recovered from the reaction mixture by conventional means. For example, one such recovery method comprises: distilling off the solvent from the reaction mixture or pouring the reaction mixture into water; extracting the mixture with a water-immiscible organic solvent; and distilling off the organic solvent, to leave the desired product as a residue. If necessary, the resulting product can be further purified by conventional means, such as recrystallisation, reprecipitation or the various chromatography techniques, notably column chromatography.

Reaction B comprises another method for preparing a compound of formula (Ia). In this reaction, a compound of formula (Ia) is prepared by reacting a compound of formula (II) with a compound of formula (IV) in an inert solvent.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene or xylene; halogenated hydrocarbons, especially halogenated aliphatic hydrocarbons, such as methylene chloride or chloroform; ethers, such as diethyl ether, tetrahydrofuran or dioxane; alcohols, such as methanol, ethanol or isopropanol; and nitriles, such as acetonitrile. Of these, we prefer the aromatic hydrocarbons or the halogenated hydrocarbons.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -20°C to 100°C (more preferably from 0°C to 50°C). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 30 minutes to 10 hours (more preferably from 1 to 5 hours) will usually suffice.

After completion of the reaction, the desired compound can be recovered from the reaction mixture by conventional means. For example, one such recovery method comprises: distilling off the solvent from the reaction mixture or pouring the reaction mixture into water; extracting the mixture with a water-immiscible organic solvent; and distilling off the organic solvent, to leave the desired product as a residue. If necessary, the resulting

product can be further purified by conventional means, such as recrystallisation, reprecipitation or the various chromatography techniques, notably column chromatography.

In Reaction C, a compound of formula (Ib), that is a compound of formula (I) wherein R^2 represents R^{2b} (R^{2b} is as defined above) is prepared by reacting an amine derivative of formula (II) with a carboxylic acid compound of formula (V) or with a reactive derivative of the carboxylic acid, and, if desired, removing any hydroxy-protecting group.

The reaction of the amine of formula (II) with the carboxylic acid of formula (V) may be carried out in the presence or absence of a base and preferably in the presence of a condensing agent and of in an inert solvent.

There is no particular limitation upon the nature of the condensing agent used for the reaction, and any reagent capable of producing an amide bond from a carboxylic acid and an amine may be used. Examples of the preferred condensing agents which may be used include: dicyclohexylcarbodiimide (DCC); diethyl cyanophosphonate (DEPC); carbonyldiimidazole; diphenylphosphoryl azide (DPPA); 1-hydroxybenzotriazole in admixture with dicyclohexylcarbodiimide; or diethyl azodicarboxylate in admixture with triphenyl phosphine, Of these, we prefer either 1-hydroxybenzotriazole in admixture with dicyclohexylcarbodiimide or diethyl cyanophosphonate.

Examples of preferred bases which may be used include organic amines, such as trimethylamine, triethylamine, pyridine, dimethylaniline, N-methylmorpholine or 4-(N,N-dimethylamino)pyridine. Of these, we prefer triethylamine or N-methylmorpholine.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene or xylene; halogenated hydrocarbons, especially halogenated aliphatic hydrocarbons, such as methylene chloride, dichloroethane or chloroform; ethers, such as diethyl ether, tetrahydrofuran or dioxane; esters, such as ethyl acetate or propyl acetate; amides, such as dimethylformamide, dimethylacetamide or hexamethylphosphoric triamide; and nitriles, such as acetonitrile. Of these, we prefer the ethers (particularly tetrahydrofuran), halogenated hydrocarbons (particularly methylene chloride), amides (particularly dimethylformamide) and esters (particularly ethyl acetate).

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -10°C to 50°C (more preferably from 0°C to 30°C). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 30 minutes to 24 hours (more preferably from 1 to 15 hours) will usually suffice.

Alternatively, the compound of formula (Ib) can be prepared by converting a carboxylic acid of formula (V) to a reactive derivative thereof, and reacting an amine of formula (II) with the reactive derivative.

Examples of reactive derivatives of the carboxylic acid compound include: acid halides, such as the acid chloride or acid bromide; acid azides; reactive esters, such as esters with N-hydroxybenzotriazole or N-hydroxysuccinimide; acid anhydrides of the carboxylic acid used; and mixed acid anhydrides comprising monoalkyl carbonates in which the alkyl group has from 1 to 4 carbon atoms (such as monomethyl carbonate, monoethyl carbonate or monoisobutyl carbonate) or monoaryl carbonates (such as monophenyl carbonate or monotolyl carbonate). Of these, we prefer the mixed acid anhydrides with an alkyl carbonate. The reactive derivative of the carboxylic acid, typically an acid halide or an acid anhydride, can be prepared by conventional means. For example, they may be prepared by reacting a carboxylic acid of formula (V) with an appropriate halide (for example, thionyl chloride, thionyl bromide, acid chloride or acid bromide of the desired carboxylic acid, methyl chloroformate, ethyl chloroformate, isobutyl chloroformate, phenyl chloroformate or tolyl chloroformate) in an inert solvent (for example, methylene chloride, benzene or tetrahydrofuran) and, if necessary, in the presence of a base (for example, pyridine, triethylamine or dimethylaniline) in the temperature range from 20°C to 100°C for a period of from 1 to 20 hours. Other reactive derivatives, such as the acid amide or the reactive ester, can be prepared by reacting the carboxylic acid of formula (V) with an appropriate compound (for example, hydrogen azide, N-hydroxybenzotriazole or N-hydroxysuccinimide) in the same manner as described above in Reaction C for producing an amide bond, using a carboxylic acid of formula (V) and an amine of formula (II).

The reaction of the amine of formula (II) and the reactive derivative of the carboxylic acid of formula (V) is preferably carried out in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene or xylene; halogenated hydrocarbons, especially halogenated aliphatic hydrocarbons, such as methylene chloride, dichloroethane or chloroform; ethers, such as diethyl ether, tetrahydrofuran or dioxane; and esters, such as ethyl acetate. Of these, we prefer the aromatic hydrocarbons or

ethers.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -10°C to 50°C (more preferably from 0°C to 25°C). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 5 minutes to 20 hours (more preferably from 30 minutes to 10 hours) will usually suffice.

The reaction employed to remove the hydroxy-protecting group will, of course, vary depending upon the nature of the protecting group, but its removal may be achieved by conventional means well known in the field of organic chemistry.

For example, where the protecting group is a silyl group, it can be removed by reacting the corresponding compound with a base (for example, an alkali metal carbonate, such as sodium carbonate or potassium carbonate), an acid (for example, a mineral acid, such as hydrochloric acid or sulphuric acid, or an organic carboxylic acid, such as acetic acid or citric acid) or a fluoride (for example, an ammonium fluoride compound, such as tributylammonium fluoride) in an inert solvent.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: ethers, such as diethyl ether, tetrahydrofuran or dioxane; and alcohols, such as methanol or ethanol. Of these, we prefer the alcohols.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -20°C to 50°C (preferably from 0°C to 30°C). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 5 minutes to 2 hours (more preferably from 20 minutes to 1 hour) will usually suffice.

Where the protecting group is a cyclic ether or a substituted methyl group, it can be removed by reacting the corresponding compound with an acid in an inert solvent. Examples of acids which may be used for this reaction include: mineral acids, such as hydrochloric acid, hydrobromic acid or sulphuric acid; and organic sulphonic acids, such as methanesulphonic acid, benzenesulphonic acid or toluenesulphonic acid. Of these, we prefer hydrochloric acid or toluenesulphonic acid.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene or xylene; halogenated hydrocarbons, especially halogenated aliphatic hydrocarbons, such as methylene chloride, dichloroethane or chloroform; ethers, such as diethyl ether, tetrahydrofuran or dioxane; alcohols, such as methanol or ethanol; esters, such as ethyl acetate or propyl acetate; amides, such as dimethylformamide, dimethylacetamide or hexamethylphosphoric triamide; and nitriles, such as acetonitrile. Of these, we prefer the halogenated hydrocarbons or esters.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -20°C to 100°C (more preferably from 20°C to 70°C). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 15 minutes to 5 hours (more preferably from 30 minutes to 2 hours) will usually suffice.

Where the protecting group is an aralkyl group, it can be removed by reacting the corresponding compound with hydrogen in an inert solvent in the presence of a catalyst for reduction. Examples of catalysts which may be used for reduction include: platinum oxide, platinum black, palladium-on-charcoal, and rhodium-on-charcoal. Of these, we prefer palladium-on-charcoal.

The hydrogen pressure used is normally in the range of from atmospheric pressure to 3 atmospheres pressure.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene or xylene; halogenated hydrocarbons, especially halogenated aliphatic hydrocarbons, such as methylene chloride, dichloroethane or chloroform; ethers, such as diethyl ether, tetrahydrofuran or dioxane; alcohols, such as methanol or ethanol; esters, such

as ethyl acetate or propyl acetate; amides, such as dimethylformamide, dimethylacetamide or hexamethylphosphoric triamide; and nitriles, such as acetonitrile. Of these, we prefer the alcohols.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -20°C to 100°C (more preferably from 10°C to 50°C). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 15 minutes to 5 hours (more preferably from 30 minutes to 2 hours) will usually suffice.

After completion of the reaction, the desired compound from each reaction can be recovered from the reaction mixture by conventional means. For example, one such method comprises: neutralising properly the reaction mixture; distilling off the solvent from the reaction mixture; or if necessary, after distilling off the solvent, pouring the reaction mixture into water; extracting the mixture with a water-immiscible organic solvent; and distilling off the solvent from the extract, to leave the desired product as a residue. If necessary, the resulting product can be further purified by conventional means, such as recrystallisation, reprecipitation or the various chromatography techniques, notably column chromatography.

In Reaction D, a compound of formula (Ic), that is a compound of formula (I) wherein R^2 represents a group of formula -B-S(O)$_m$-R^5 (wherein R^5, B and m are as defined above), is prepared by reacting a compound of formula (VI) with a compound of formula (VII), normally in an inert solvent in the presence of a base and then, if desired, oxidising the resulting thioether compound.

There is no particular restriction on the nature of the base employed in this reaction, and any base may be used, provided that it has no adverse effect on any part of the molecule of the reagents. Examples of bases which may be used for the reaction include: alkali metal hydroxides, such as sodium hydroxide or potassium hydroxide; alkali metal carbonates, such as sodium carbonate or potassium carbonate; alkali metal hydrogencarbonates, such as sodium hydrogencarbonate or potassium hydrogencarbonate; and organic amines, such as trimethylamine, triethylamine, pyridine, dimethylaniline, N-methylmorpholine or 4-(N,N-dimethylamino)pyridine. Of these, we prefer the alkali metal hydroxides.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene or xylene; halogenated hydrocarbons, especially halogenated aliphatic hydrocarbons, such as methylene chloride or chloroform; ethers, such as diethyl ether, tetrahydrofuran or dioxane; alcohols, such as methanol, ethanol or isopropanol; amides, such as dimethylformamide diethylformamide or dimethylacetamide; nitriles, such as acetonitrile; and sulphoxides, such as dimethyl sulphoxide. Of these, we prefer the alcohols.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -20°C to 100°C (more preferably from 0°C to 50°C). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 5 hours (more preferably from 30 minutes to 2 hours) will usually suffice.

Oxidation can be conducted by oxidising the corresponding thioether compound with an oxidising reagent in an inert solvent. Examples of oxidising reagents which may be used for this reaction include: inorganic peroxides, such as hydrogen peroxide or periodic acid; peroxyaliphatic acids, such as peracetic acid or perpropionic acid; peroxyarylic acids, such as perbenzoic acid or m-chloroperbenzoic acid; and metal salts of peroxyphthalic acids, such as magnesium monoperoxyphthalate. Of these, we prefer the peroxyarylic acids.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene or xylene; halogenated hydrocarbons, especially halogenated aliphatic hydrocarbons, such as methylene chloride, dichloroethane or chloroform; and ethers, such as diethyl ether, tetrahydrofuran or dioxane. Of these, we prefer the halogenated hydrocarbons.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -30°C to 50°C (more preferably from -20°C to room temperature). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 5 hours (more preferably from 30 minutes to 2 hours) will usually suffice.

In this reaction, a sulphinyl compound may be obtained by using about an equimolar of the oxidising re-

agent per mole of the thioether compound, and a sulphonyl compound may be obtained by using more than two moles of the oxidising reagent per mole of the thioether compound.

The corresponding thioether compounds of formula (Ib), (Id) or (Ie) can be subjected to oxidation in a similar manner to that described above to afford the corresponding sulphinyl and sulphonyl compounds.

In the compounds of formula (Ic) where R^5 represents a hydroxyalkyl group, if desired, the corresponding acyloxyalkyl compound can be prepared by acylating the hydroxy group.

Specifically, compounds of formula (Ic), wherein R^5 represents: a C_1-C_5 alkanoyloxy group; a C_2-C_5 alkanoyloxy group substituted with a C_2-C_5 alkoxycarbonyl, C_7-C_{11} aryloxycarbonyl or C_6-C_{10} aryl group; a C_7-C_{11} arylcarbonyloxy group; or an alkyl group substituted with a C_3-C_6 cycloalkylcarbonyloxy group, can be prepared by reacting a hydroxy compound with the corresponding carboxylic acid compound or with a reactive derivative thereof.

The reaction conditions used in the reaction of the hydroxy compound with the carboxylic acid compound are similar to those used, in the presence of a condensing agent, in Reaction C, described above.

Reaction of the hydroxy compound with a reactive derivative of the carboxylic acid compound is preferably conducted in an inert solvent in the presence or absence of a base.

There is no particular limitation upon the nature of the reactive derivative of the carboxylic acid used, provided that it is a compound capable of producing an ester compound by reaction with an alcohol compound, and it will, of course, depend on the nature of the group which it is desired to introduce. Examples of reactive derivatives which may be used for the reaction include: acid halides, such as acetyl chloride, propionyl chloride, valeryl chloride, valeryl bromide, isovaleryl chloride, methyl chloroformylacetate, ethyl 3-chloroformylpropionate, ethyl 4-chloroformylbutyrate, ethyl 5-chloroformylvalerate, phenylacetyl chloride, phenylpropionyl chloride, benzoyl chloride, toluoyl chloride, naphthoyl chloride, cyclopropanecarbonyl chloride, cyclobutanecarbonyl chloride, cyclopentanecarbonyl chloride, and cyclohexanecarbonyl chloride; acid anhydrides, such as acetic formic anhydride, acetic anhydride, propionic anhydride or benzoic anhydride; and mixed acid anhydrides of monoalkyl carbonates (in which the alkyl part has from 1 to 4 carbon atoms), such as monomethyl carbonate, monoethyl carbonate or monoisobutyl carbonate, or monoaryl carbonates, such as monophenyl carbonate or mono(methylphenyl) carbonate, and of the corresponding acids, such as acetic acid, propionic acid, phenylacetic acid, benzoic acid, cyclopentanecarboxylic acid or cyclohexanecarbonylic acid. Of these, we prefer the acid chlorides, acid anhydrides or mixed acid anhydrides comprising alkyl carbonates. These reactive derivatives of carboxylic acids can be prepared in the same manner as those of carboxylic acids described in Reaction C, described above.

There is no particular restriction on the nature of the base employed in this reaction, and any base may be used, provided that it has no adverse effect on any part of the molecule of the reagents. Examples of preferred bases which may be used for this reaction include: organic amines, such as trimethylamine, triethylamine, pyridine, dimethylaniline, N-methylmorpholine or 4-(N,N-dimethylamino)pyridine; and particularly preferably triethylamine or N-methylmorpholine. An excess of the organic amine can also serve as a solvent.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene or xylene; halogenated hydrocarbons, especially halogenated aliphatic hydrocarbons, such as methylene chloride, dichloroethane or chloroform; ethers, such as diethyl ether, tetrahydrofuran or dioxane; esters, such as ethyl acetate or propyl acetate; amides, such as dimethylformamide, dimethylacetamide or hexamethylphosphoric triamide; and nitriles, such as acetonitrile. Of these, we prefer the ethers (particularly tetrahydrofuran) or esters (particularly ethyl acetate).

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -10°C to 50°C (more preferably from 0°C to 30°C). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 30 minutes to 24 hours will usually suffice.

Where R^5 represents an alkyl group substituted with a carboxyl group, the corresponding compounds of formula (Ic) can be prepared by reacting a hydroxy compound with a cyclic carboxylic acid anhydride, such as succinic anhydride, glutaric anhydride or adipic anhydride (preferably succinic anhydride or glutaric anhydride).

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene or xylene; halogenated hydrocarbons, es-

pecially halogenated aliphatic hydrocarbons, such as methylene chloride or chloroform; ethers, such as diethyl ether, tetrahydrofuran or dioxane; ketones, such as acetone or methyl ethyl ketone; amides, such as dimethylformamide, diethylformamide or dimethylacetamide; nitriles, such as acetonitrile; and sulphoxides, such as dimethyl sulphoxide. Of these, we prefer the ketones.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -20°C to 100°C (more preferably from 0°C to 50°C). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 30 minutes to 8 hours (more preferably from 1 to 5 hours) will usually suffice.

The carboxylic acid compounds obtained above may be converted to the corresponding ester compounds by conventional esterification procedures, including reacting the carboxylic acid compound with a diazo compound, such as diazomethane, diazoethane, diazopropane, diazobutane or trimethylsilyldiazomethane in an inert solvent (preferably an ether, such as diethyl ether, tetrahydrofuran or dioxane), at about room temperature for a period of from 10 minutes to 2 hours.

After completion of the reaction, the desired compound prepared in this step can be recovered from the reaction mixture by conventional means. For example, one such technique comprises: neutralising properly the reaction mixture; distilling off the solvent from the reaction mixture or, if necessary, after distilling off the solvent from the reaction mixture, pouring the reaction mixture into water; extracting the mixture with a water-immiscible organic solvent; and finally distilling off the solvent from the extract. Further, if necessary, the product can be purified by conventional means, for example, recrystallisation, reprecipitation or the various chromatography techniques, notably column chromatography.

Reaction E comprises an alternative method for preparing a compound of formula (Ic). In this reaction, a compound of formula (Ic) is prepared by reacting a compound of formula (VIII) with a compound of formula (IX) and, if desired, oxidising the thioether compound thus obtained. This step is carried out in a similar manner as those described above in Reactions C and D.

In Reaction F, a compound of formula (Id), that is, a compound of formula (I) wherein R^2 represents a group of formula $-CH_2S(O)_m(CH_2)_{p+1}OH$ (wherein m and p are as defined as above), is prepared by reacting a compound of formula (II) with a compound of formula (X), normally in an inert solvent.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: aromatic hydrocarbons, such as benzene, toluene or xylene; ethers, such as diethyl ether, tetrahydrofuran or dioxane; halogenated hydrocarbons, especially halogenated aliphatic hydrocarbons, such as methylene chloride or chloroform; and alcohols, such as methanol, ethanol or isopropanol. The reaction may also be carried out in the absence of a solvent.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -20°C to 130°C (more preferably from 50°C to 100°C). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 30 minutes to 5 hours (more preferably from 1 to 2 hours) will usually suffice.

After completion of the reaction, the desired compound prepared in this step can be recovered from the reaction mixture by conventional means. For example, one such technique comprises: neutralising properly the reaction mixture; distilling off the solvent from the reaction mixture or, if necessary, after distilling off the solvent from the reaction mixture, pouring the reaction mixture into water; extracting the mixture with a water-immiscible organic solvent; and finally distilling off the solvent from the extract. Further, if necessary, the product can be purified by conventional means, for example, recrystallisation, reprecipitation or the various chromatography techniques, notably column chromatography.

In Reaction G, a compound of formula (Ie), that is, a compound of formula (I) wherein R^2 represents a group of formula $-B-S(O)_m-R^{5a}$ (wherein R^{5a}, B and m are as defined above), can be prepared by reacting a compound of formula (XI) with a reducing reagent in an inert solvent.

Examples of reducing reagents which may be used include: borohydride compounds, such as lithium borohydride, sodium borohydride, calcium borohydride or sodium cyanoborohydride. Of these, we prefer sodium borohydride.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the nature of the solvent to be employed, provided that it has no adverse effect on the reaction or

on the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents include: ethers, such as diethyl ether, tetrahydrofuran or dioxane; alcohols, such as methanol or ethanol; water; or a mixture of any two or more of these solvents. Of these, we prefer a mixture of an alcohol and an ether.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. In general, we find it convenient to carry out the reaction at a temperature of from -20°C to 100°C (more preferably from 0°C to 30°C). The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 1 to 24 hours (more preferably from 3 to 10 hours) will usually suffice.

After completion of the reaction, the desired compound prepared in this step can be recovered from the reaction mixture by conventional means. For example, one such technique comprises: neutralising properly the reaction mixture; distilling off the solvent from the reaction mixture or, if necessary, after distilling off the solvent from the reaction mixture, pouring the reaction mixture into water; extracting the mixture with a water-immiscible organic solvent; and finally distilling off the solvent from the extract. Further, if necessary, the product can be purified by conventional means, for example, recrystallisation, reprecipitation or the various chromatography techniques, notably column chromatography.

The starting materials of formula (II) are known or can be prepared by any of several known methods (for example, as described Japanese Patent Kokai Application No. Sho 61-85365 or in an analogous manner).

The starting compounds of formulae (VI), (VIII) and (XI) can be prepared by reacting a compound of formula (II) with a compound of formula HOCO-B-X, HOCO-B-SY' or HOCO-B-SR^6, in which: R^6, B and X are as defined above; and Y^1 represents a hydrogen atom, an alkali metal, a C_2-C_5 alkanoyl group (such as an acetyl, propionyl, butyryl or valeryl group, preferably an acetyl or propionyl group) or an aromatic acyl group in which the aromatic part has from 6 to 10 ring carbon atoms (such as a benzoyl, toluoyl or naphthoyl group, preferably a benzoyl group). These reactions may be carried out in a similar manner to those described in Reaction C described above. Where Y' represents an acyl group, the compound produced may, if desired, be subjected to hydrolysis using a base (for example, an alkali metal alkoxide, such as sodium methoxide or sodium ethoxide, or an alkali metal hydroxide, such as sodium hydroxide or potassium hydroxide) at a temperature of from -20°C to 80°C (more preferably from 0°C to 50°C) in an inert solvent (for example, an alcohol, such as methanol or ethanol) for a suitable period, for example from 5 minutes to 10 hours (more preferably from 10 minutes to 5 hours) to give a compound in which Y' is a hydrogen atom.

The pyridyloxy derivatives of the present invention have excellent histamine-H_2 receptor antagonist activity, and are therefore useful for the prevention and therapy of peptic diseases resulting from undesirable peptic secretion, such as gastric ulcers, duodenal ulcers, gastritis, esophagistis, gastric dispepsia and Zollinger-Ellison syndrome; they are also useful for the prophylaxis or treatment of gastric disease before surgery.

The compounds of the present invention may be administered in any conventional form known for use with compounds having this type of activity, the precise form depending on the patient and the preferred route of administration, as is well known in the art. For example, for oral administration they may be formulated as tablets, capsules, granules, powders or syrups; and for parentheral administration they may be formulated as injections. Depending on the formulation, the compounds of the present invention may be administered by themselves or in admixture with conventional additives, such as vehicles (for example lactose, mannitol, corn starch or crystalline cellulose), binders (for example cellulose derivatives, gum arabic or gelatin), disintegrating agents (for example calcium carboxymethylcelulose), lubricants (for example talc or magnesium stearate), stabilisers, corrigents, solvents for preparing injections (for example water, ethanol or glycerin). The dosage may vary depending on the age, condition and symptoms of the patient, as well as the nature and severity of the disorder being treated, however, the usual daily dosage for an adult human patient would be from 1 mg to 1000 mg (preferably from 10 mg to 500 mg), per day, which may be administered as a single dose or divided into several doses.

The activity of the compounds of the present invention is illustrated by the following Test Examples. In these, the compounds of the invention are identified by the number of the subsequent Example in which its preparation is illustrated. The prior art compounds A, B and C are as identified in the introductory portion of this specification.

TEST EXAMPLE 1

Atrial test in guinea pigs

The guinea pig right atrium in a spontaneous palpitation was excised, suspended in 40 ml of Krebs-

Henselite solution, and a tension of 1 g was loaded between the atrium preparation and a transducer. The solution was aerated at a fixed rate at 37°C. 10^{-5} M histamine was added, and the heart rate was recorded as control. A test compound was added to a concentration of 1 μg/ml and then, after 3 minutes, 10^{-5} M histamine was added, and the heart rate was again recorded. The inhibitory rate (R%) compared to the control group was calculated according to the following equation:

$$R = (1 - B/A) \times 100$$

where:

A: The heart rate of the control group

B: The heart rate of the group to which the drug was administered

The results are shown in the following Table 4.

Table 4

Compound of Example No.	% Inhibition
1	86
2	90
6	86
13	84
17	83
26	80
34	94
37	99
41	85
48	81
A	68
B	99
C	45

TEST EXAMPLE 2

Inhibition of gastric secretions

This test was carried out according to Shay's method [H. Shay: Gastroenterology 5, 43 (1945)] using male SD rats (5 weeks old). The rats were divided into groups, each group containing 5 rats. The animals were fasted for 24 hours before the beginning of the experiment. They were then anesthetised with ether, the abdominal region was opened, and the pylorus was ligated. A test compound suspended in a 0.5% w/v aqueous carboxymethylcellulose (CMC) solution was administered intraduodenally. After 4 hours, the rat was sacrificed by deep anesthesia with ether, and the stomach was excised. The gastric juice was removed, centrifuged for 15 minutes at 2500 rpm, and then 0.1 ml of the supernatant was taken out and titrated until the end point of neutralisation with a 0.01 N aqueous solution of sodium hydroxide, to determine the total gastric acidity. The amount of gastric acid secreted per hour (μEq/hr) was calculated, and the inhibition rate (R%) to the control group was calculated according to the following equation.

$$R = (1 - B/A) \times 100$$

where

A: The gastric acid output of the control group (μEq/hr)

B: The amount of gastric acid output of the drug administered group (μEq/hr)

The results are shown in Table 5.

Table 5

Compound of Example No.	Dose (mg/kg)	% Inhibition
1	50	63
2	50	52
6	50	73
7	25	63
7	12.5	51
13	50	80
13	25	62
17	50	71
17	25	73
34	25	86
34	12.5	61
37	50	74
41	50	76
41	25	61
48	50	71
58	50	56
A	50	-67
B	50	-40
C	50	56

TEST EXAMPLE 3

HCl - ethanol-induced ulcer test in rats

Male SD rats (6 to 8 weeks old) were fasted for 24 hours before the beginning of the experiment. Each was then administered orally with 1 ml of a 60% ethanol solution containing 150 mM of hydrogen chloride. After 1 hour, the stomach was excised. Into the stomach was injected 10 ml of a 0.5% formaldehyde solution, and the stomach was fixed for 20 minutes. The injured area (mm^2) occuring on the surface of the gastric mucosa was measured, and the total injured area per rat was regarded as the injury index.

Test compounds and 0.5% CMC, as the control, were orally administered each at a dose of 0.1 ml/100 g, 60 minutes before treatment with the HCl - ethanol solution.

The ulcer formation inhibitory rate (R%) was calculated by the following equation.

$$R = (1 - B/A) \times 100$$

where

A: The injury index of the control group (mm^2)

B: The injury index of the drug administered group (mm^2)

The results are shown in the following Table 6.

Table 6

Compound of Example No.	% Inhibition *)
2	100
7	61
13	87
34	79
48	78
A	39
B	97
C	56

*) Dose: 50 mg/kg.

From these results, it can be seen that the compounds of the present invention strongly inhibit ulcer formation in our HCl - ethanol-induced ulcer model, and have a defence factor potentiating activity.

The invention is further illustrated by the following Examples, which illustrate the preparation of certain of the compounds of the present invention, and the subsequent Preparations, which illustrate the preparation of certain starting materials used in these Examples.

EXAMPLE 1

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide

0.20 ml of 2-mercaptoethanol was added to a solution of 0.24 g of 85% potassium hydroxide (i.e. potassium hydroxide of 85% purity) and 0.94 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-chloroacetamide (prepared as described in Preparation 1) in 20 ml of methanol, and the resulting mixture was stirred at room temperature for 1 hour. At the end of this time, the reaction mixture was concentrated by evaporation under reduced pressure. The concentrate was diluted with water, after which it was extracted with chloroform. The extract was concentrated by evaporation under reduced pressure, and the residue thus obtained was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of ethanol and chloroform as the eluent, to give 0.95 g (yield 90%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.32 - 1.52 (2H, multiplet);
1.52 - 1.70 (4H, multiplet);
2.25 - 2.55 (4H, multiplet);
2.77 (2H, triplet, J = 6.3 Hz);
3.25 - 3.50 (1H, broad);
3.27 (2H, singlet);
3.44 (2H, singlet);
3.80 (2H, triplet, J = 6.3 Hz);

4.05 (2H, triplet, J = 6.1 Hz);
4.93 (2H, doublet, J = 6.8 Hz);
5.68 - 5.80 (1H, multiplet);
5.80 - 5.95 (1H, multiplet);
6.79 (1H, singlet);
6.90 (1H, doublet, J = 5.4 Hz).
7.08 - 7.28 (1H, broad);
8.06 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3360, 2920, 1650, 1610, 1415, 1400, 1295, 1285, 1030.

EXAMPLE 2

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-acetoxyethylthio)acetamide

0.50 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide (prepared as described in Example 1) was added to a mixture of 0.47 ml of acetic anhydride and 0.39 g of pyridine, and the resulting mixture was heated at 60°C for 2 hours. At the end of this time, the reaction mixture was poured into ice-water, after which a saturated aqueous solution of sodium hydrogencarbonate was added. The aqueous mixture was then extracted with chloroform. The extract was concentrated by evaporation under reduced pressure, and the residue was purified by column chromatography through silica gel, using a 1 : 19 by volume mixture of methanol and methylene chloride as the eluent, to give 0.51 g (yield 91%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.50 (2H, multiplet);
1.50 - 1.70 (4H, multiplet);
2.06 (3H, singlet);
2.30 - 2.45 (4H, multiplet);
2.79 (2H, triplet, J = 6.3 Hz);
3.28 (2H, singlet);
3.41 (2H, singlet);
4.08 (2H, triplet, J = 6.3 Hz);
4.23 (2H, triplet, J = 6.3 Hz);
4.94 (2H, doublet, J = 6.8 Hz);
5.62 - 5.74 (1H, multiplet);
5.82 - 5.95 (1H, multiplet);
6.74 (1H, singlet);
6.88 (1H, doublet, J = 5.4 Hz);
6.90 - 7.05 (1H, broad);
8.06 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3370, 2920, 1735, 1660, 1610, 1515, 1415, 1400, 1295, 1285, 1025.

The hydrochloride of the title compound, melting at 198 - 208°C, was prepared by dissolving the compound obtained above in ethyl acetate, after which it was treated with an excess of a 4 N ethyl acetate solution of hydrogen chloride.

The oxalate of the title compound, melting at 127 - 133°C, was prepared by dissolving the title compound, obtained as described above, in acetone, after which an equimolar amount of oxalic acid was added, and crystals of the oxalate, which precipitated, were collected by filtration.

EXAMPLE 3

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(2-hydroxyethylthio)butyramide

Following a procedure similar to that described in Example 1, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 2-mercaptoethanol as starting materials, in relative proportions similar to those used in that Example, and carrying out the reaction at 80°C for 5 hours, the title compound was obtained in a 66% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.35 - 1.50 (2H, multiplet);
1.50 - 1.75 (4H, multiplet);
1.80 - 2.02 (2H, multiplet);
2.30 - 2.50 (4H, multiplet);
2.32 (2H, triplet, J = 7.0 Hz);
2.50 - 2.65 (1H, singlet);
2.59 (2H, triplet, J = 7.0 Hz);
2.72 (2H, triplet, J = 6.7 Hz);
3.44 (2H, singlet);
3.68 - 3.80 (2H, multiplet);
4.03 (2H, triplet, J = 6.8 Hz);
4.93 (2H, doublet, J = 6.8 Hz);
5.60 - 5.75 (1H, multiplet);
5.75 - 5.90 (1H, multiplet);
6.10 - 6.30 (1H, broad);
6.76 (1H, singlet);
6.90 (1H, doublet, J = 5.4 Hz);
8.05 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3440, 2930, 1660, 1610, 1415, 1400, 1295, 1285, 1030.

EXAMPLE 4

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxypropylthio)acetamide

Following a procedure similar to that described in Example 1, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-chloroacetamide (prepared as described in Preparation 1) and 1-mercapto-2-propanol as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in an 89% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.25 (3H, doublet, J = 6.4 Hz);
1.30 - 1.86 (1H, broad);
1.38 - 1.49 (2H, multiplet);
1.53 - 1.65 (4H, multiplet);
2.31 - 2.43 (4H, multiplet);
2.54 (1H, doublet of doublets, J = 8.3 & 13.9 Hz);
2.74 (1H, doublet of doublets, J = 3.4 & 13.9 Hz);
3.25 (1H, doublet, J = 16.1 Hz);
3.29 (1H, doublet, J = 16.1 Hz);
3.41 (2H, singlet);
3.87 - 4.01 (1H, multiplet);
4.06 (2H, doublet, J = 6.1 Hz);
4.93 (2H, doublet, J = 6.8 Hz);
5.65 - 5.77 (1H, multiplet);
5.83 - 5.93 (1H, multiplet);
6.75 (1H, singlet);
6.89 (1H, doublet, J = 5.4 Hz);
7.03 - 7.21 (1H, broad);
8.05 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum (liquid film), ν_{max} cm^{-1}:
3293, 2935, 1648, 1613, 1560, 1421, 1403, 1301, 1290, 1039.

EXAMPLE 5

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(2-hydroxypropylthio)butyramide

Following a procedure similar to that described in Example 1, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 1-mercapto-2-propa-

nol as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in a 58% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.24 (3H, doublet, J = 6.6 Hz);
1.38 - 1.50 (2H, multiplet);
1.52 - 1.64 (4H, multiplet);
1.84 - 2.04 (2H, multiplet);
2.27 - 2.46 (6H, multiplet);
2.46 (1H, doublet of doublets, J = 5.3 & 13.9 Hz);
2.59 (2H, triplet, J = 6.9 Hz);
2.71 (1H, doublet of doublets, J = 3.3 & 13.9 Hz);
3.41 (2H, singlet);
3.81 - 3.92 (1H, multiplet);
4.03 (2H, triplet, J = 5.9 Hz);
4.93 (2H, doublet, J = 6.6 Hz);
5.78 - 5.91 (1H, multiplet);
5.63 - 5.76 (1H, multiplet);
6.06 - 6.22 (1H, broad);
6.74 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum (liquid film), ν_{max} cm^{-1}:

3298, 2935, 1647, 1613, 1560, 1421, 1403, 1311, 1301, 1289, 1070.

EXAMPLE 6

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(2-acetoxyethylthio)butyramide

Following a procedure similar to that described in Example 2, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(2-hydroxyethylthio)butyramide (prepared as described in Example 3) and acetic anhydride as starting materials, in relative proportions similar to those used in that Example, the title compound, melting at 36 - 40°C, was obtained in an 80% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.30 - 1.60 (2H, multiplet);
1.60 - 1.80 (4H, multiplet);
1.80 - 2.02 (2H, multiplet);
2.06 (3H, singlet);
2.32 (2H, triplet, J = 7.0 Hz);
2.30 - 2.55 (4H, multiplet);
2.62 (2H, triplet, J = 7.0 Hz);
2.73 (2H, triplet, J = 6.8 Hz);
3.46 (2H, singlet);
4.04 (2H, triplet, J = 6.1 Hz);
4.20 (2H, triplet, J = 6.8 Hz);
4.93 (2H, doublet, J = 6.8 Hz);
5.60 - 5.75 (1H, multiplet);
5.77 - 5.90 (1H, multiplet);
6.00 - 6.20 (1H, broad);
6.75 (1H, singlet);
6.92 (1H, doublet, J = 5.4 Hz);
8.06 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:

3450, 2930, 1735, 1665, 1610, 1415, 1400, 1295, 1285, 1030.

EXAMPLE 7

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-propionyloxyethylthio)acetamide

0.09 ml of propionyl chloride was added to a mixture of 0.40 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide (prepared as described in Example 1) and 1.02 ml of pyridine, and the resulting mixture was allowed to stand at room temperature for 2 hours. At the end of this time, the reaction mixture was poured into ice-water, and a saturated aqueous solution of sodium hydrogencarbonate was added to the resulting mixture, after which it was extracted with chloroform. The extract was concentrated by evaporation under reduced pressure and the residue was purified by column chromatography through silica gel, using a 1 : 19 by volume mixture of methanol and ethyl acetate as the eluent, to give 0.39 g (yield 85%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.14 (3H, triplet, J = 7.5 Hz);
1.35 - 1.75 (6H, multiplet);
2.30 - 2.60 (4H, multiplet);
2.34 (2H, quartet, J = 7.5 Hz);
2.79 (2H, triplet, J = 6.6 Hz);
3.28 (2H, singlet);
3.41 (2H, singlet);
4.08 (2H, triplet, J = 6.6 Hz);
4.25 (2H, triplet, J = 6.3 Hz);
4.93 (2H, doublet, J = 6.6 Hz);
5.63 - 5.69 (1H, multiplet);
5.72 - 5.93 (1H, multiplet);
6.73 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
6.95 - 7.10 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3380, 2940, 1735, 1665, 1615, 1420, 1405, 1300, 1290, 1180.

The hydrochloride of the title compound, melting at 99 - 106°C, was prepared by dissolving the title compound, prepared as described above, in diethyl ether, after which the resulting solution was treated with an equimolar amount of a 4 N ethyl acetate solution of hydrogen chloride.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$ + CD_3OD), δ ppm:
1.10 (3H, triplet, J = 7.6 Hz);
1.58 - 1.76 (2H, multiplet);
1.76 - 1.94 (4H, multiplet);
2.35 (2H, quartet, J = 7.6 Hz);
2.84 (2H, triplet, J = 6.6 Hz);
3.03 - 3.38 (4H, multiplet);
3.24 (2H, singlet);
3.99 (2H, triplet, J = 6.6 Hz);
4.24 (2H, triplet, J = 6.6 Hz);
4.26 (2H, singlet);
4.99 (2H, doublet, J = 6.6 Hz);
5.59 - 5.70 (1H, multiplet);
5.76 - 5.86 (1H, multiplet);
7.00 (1H, singlet);
7.10 (1H, doublet, J = 5.0 Hz);
8.25 (1H, doublet, J = 5.0 Hz).

The dihydrochloride of the title compound, melting at 235 - 255°C, was prepared by dissolving the title compound, prepared as described above, in ethyl acetate, after which the resulting solution was treated with a molar excess of a 4 N ethyl acetate solution of hydrogen chloride.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$ + CD_3OD), δ ppm:
1.10 (3H, triplet, J = 7.6 Hz);
1.44 - 1.69 (2H, multiplet);
1.75 - 2.05 (4H, multiplet);

2.35 (2H, quartet, J = 7.6 Hz);
2.84 (2H, triplet, J = 6.6 Hz);
2.98-3.19 (2H, multiplet);
4.00 (2H, triplet, J = 5.9 Hz);
4.24 (2H, triplet, J = 6.6 Hz);
4.49 (2H, singlet);
5.18 (2H, doublet, J = 5.9 Hz);
5.66 - 5.88 (2H, multiplet);
7.48 (1H, doublet, J = 5.3 Hz);
7.66 (1H, singlet);
8.39 (1H, doublet, J = 5.3 Hz).

EXAMPLE 8

2-{N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]carbamoylmethylthio}ethyl hydrogen succinate

0.11 g of succinic anhydride was added to a solution of 0.4 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide (prepared as described in Example 1) in 10 ml of acetone, and the resulting mixture was stirred at room temperature for 3 hours. At the end of this time, the reaction mixture was concentrated by evaporation under reduced pressure, and the concentrate was purified by column chromatography through silica gel, using a 100 : 5 : 2 by volume mixture of methylene chloride, triethylamine and methanol as the eluent, to give 0.49 g of the triethylamine salt of the title compound in an 80% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.18 (9H, triplet, J = 7.3 Hz);
1.40 - 1.55 (2H, multiplet);
1.55 - 1.80 (4H, multiplet);
2.40 - 2.60 (2H, multiplet);
2.50 - 2.68 (4H, multiplet);
2.78 (2H, triplet, J = 6.3 Hz);
2.82 (6H, quartet, J = 7.3 Hz);
3.50 (2H, singlet);
4.08 (2H, triplet, J = 6.3 Hz);
4.26 (2H, triplet, J = 6.3 Hz);
4.40 - 5.10 (1H, broad);
4.93 (2H, doublet, J = 7.2 Hz);
5.66 - 5.75 (1H, multiplet);
5.82 - 5.95 (1H, multiplet);
6.79 (1H, singlet);
6.87 (1H, doublet, J = 5.2 Hz);
8.08 (1H, doublet, J = 5.2 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3380, 1735, 1660, 1610, 1415, 1400, 1295, 1285, 1160, 1030.

EXAMPLE 9

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-benzoyloxyethylthio)acetamide

0.24 ml of benzoyl chloride was added, whilst ice-cooling, to a mixture of 0.40 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide (prepared as described in Example 1) and 1.02 ml of pyridine, and the resulting mixture was stirred at room temperature for 2 hours. At the end of this time, the mixture was concentrated by evaporation under reduced pressure. The concentrate was diluted with water and made alkaline by the addition of an aqueous ammonia solution, after which it was extracted with ethyl acetate. The extract was concentrated by evaporation under reduced pressure, and the concentrate was purified by column chromatography through silica gel, using a 1 : 40 by volume mixture of methanol and ethyl acetate as the eluent, to give 0.31 g of the title compound in a 61% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.35 - 1.50 (2H, multiplet);
1.50 - 1.75 (4H, multiplet);

2.25-2.45 (4H, multiplet);
2.94 (2H, triplet, J = 6.3 Hz);
3.40 (2H, singlet);
3.32 (2H, singlet);
4.07 (2H, triplet, J = 6.3 Hz);
4.49 (2H, triplet, J = 6.6 Hz);
4.92 (2H, doublet, J = 6.6 Hz);
5.62 - 5.71 (1H, multiplet);
5.81 - 5.88 (1H, multiplet);
6.73 (1H, singlet);
6.87 (1H, doublet, J = 5.3 Hz);
7.40 - 7.62 (4H, multiplet);
8.02 - 8.07 (3H, multiplet).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3390, 2940, 1720, 1665, 1615, 1275, 1170.

The dihydrochloride of the title compound, melting at 185 - 195°C, was prepared by dissolving the compound obtained above in ethyl acetate, after which the resulting solution was treated with a molar excess of a 4 N ethyl acetate solution of hydrogen chloride.

EXAMPLE 10

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-cyclohexylcarbonyloxyethylthio)acetamide

0.10 ml of ethyl chloroformate was added, whilst ice-cooling, to a solution of 0.13 ml of cyclohexanecarboxylic acid in 18 ml of ethyl acetate, and the resulting mixture was stirred at room temperature for 1 hour. At the end of this time, a solution of 0.40 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide (prepared as described in Example 1) in 4 ml of ethyl acetate was added to the reaction mixture, whilst ice-cooling. The reaction mixture was then stirred at room temperature for 1 hour, after which it was heated under reflux for 16 hours. At the end of this time, it was concentrated by evaporation under reduced pressure. The concentrate was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of methanol and ethyl acetate as the eluent, to give 0.18 g of the title compound in a 35% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.17 - 2.09 (16H, multiplet);
2.23 - 2.47 (5H, multiplet);
2.79 (2H, triplet, J = 6.3 Hz);
3.28 (2H, singlet);
3.42 (2H, singlet);
4.08 (2H, triplet, J = 6.3 Hz);
4.23 (2H, triplet, J = 6.3 Hz);
4.94 (2H, doublet, J = 6.6 Hz);
5.81 - 5.94 (1H, multiplet);
5.62 - 5.74 (1H, multiplet);
6.74 (1H, singlet);
6.85 - 7.05 (1H, broad);
6.89 (1H, doublet, J = 5.3 Hz);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3380, 2940, 1730, 1665, 1610, 1310, 1165.

EXAMPLE 11

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-3-(2-hydroxyethylthio)propionamide

105 mg of sodium hydride (as a 55% w/w dispersion in mineral oil) were added, whilst ice-cooling and in an atmosphere of nitrogen, to a solution of 0.76 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-3-mercaptopropionamide (prepared as described in Preparation 3) in 24 ml of dimethylformamide, and the resulting mixture was stirred at room temperature for 30 minutes. At the end of this time, 0.16 ml of ethylene chlorohydrin were added to the reaction mixture, whilst ice-cooling. The reaction mixture was stirred at room

temperature for 15 minutes, after which it was poured into ice-water and extracted with ethyl acetate. The extract was concentrated by evaporation under reduced pressure, and the residue thus obtained was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of methanol and methylene chloride as the eluent, to give 0.56 g of the title compound as an oil in a 65% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.50 (2H, multiplet);
1.50 - 1.64 (4H, multiplet);
1.55 - 2.10 (1H, broad);
2.30 - 2 43 (4H, multiplet);
2.49 (2H, triplet, J = 6.9 Hz);
2.74 (2H, triplet, J = 5.9 Hz);
2.88 (2H, triplet, J = 6.9 Hz);
3.41 (2H, singlet);
3.76 (2H, doublet of triplets, J = 5.3 & 5.9 Hz);
4.05 (2H, triplet, J = 6.3 Hz);
4.93 (2H, doublet, J = 6.6 Hz);
5.63 - 5.78 (1H, multiplet);
5.78 - 5.90 (1H, multiplet);
6.75 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 2930, 1665, 1612, 1418, 1400, 1300, 1290, 1035.

EXAMPLE 12

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-3-(2-acetoxyethylthio)propionamide

Following a procedure similar to that described in Example 2, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-3-(2-hydroxyethylthio)propionamide (prepared as described in Example 11) and acetic anhydride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in an 87% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.36 - 1.50 (2H, multiplet);
1.50 - 1.63 (4H, multiplet);
2.07 (3H, singlet);
2.28 - 2.43 (4H, multiplet);
2.48 (3H, triplet, J = 7.3 Hz);
2.77 (2H, triplet, J = 6.9 Hz);
3.41 (2H, singlet);
4.05 (2H, triplet, J = 6.3 Hz);
4.22 (2H, triplet, J = 6.9 Hz);
4.93 (2H, doublet, J = 6.6 Hz);
5.62 - 5.76 (1H, multiplet);
5.79 - 5.90 (1H, multiplet);
6.17 - 6.40 (1H, broad);
6.74 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 2930, 1735, 1665, 1610, 1415, 1400, 1298, 1288, 1028.

EXAMPLE 13

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]pyrazole-4-carboxamide

A solution of 2.39 g of 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 1.08 g of 4-pyrazolecarboxylic acid in 40 ml of dry dimethylformamide was stirred for 5 minutes, whilst ice-cooling. 1.89 g of diethyl

cyanophosphonate and 1.65 ml of triethylamine were added to the mixture, and the resulting mixture was stirred at room temperature for 3 hours. At the end of this time, the reaction mixture was diluted with water and extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium hydrogencarbonate and then with a saturated aqueous solution of sodium chloride, after which it was dried over anhydrous magnesium sulphate. The solvent was then removed by distillation under reduced pressure, and the residue was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of methanol and chloroform as the eluent, to give 1.65 g (yield 51%) of the title compound as a white powder, melting at 121 - 123°C.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.38 - 1.52 (2H, multiplet);
1.52 - 1.66 (4H, multiplet);
2.32 - 2.48 (4H, multiplet);
3.42 (2H, singlet);
4.16 (2H, triplet, J = 5.6 Hz);
4.95 (2H, doublet, J = 5.9 Hz);
5.72 - 5.96 (2H, multiplet);
6.74 (1H, singlet);
6.81 (1H, broad triplet, J = 5.6 Hz);
6.87 (1H, doublet, J = 5.3 Hz);
7.99 (2H, singlet);
8.03 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:

2933, 1629, 1611, 1566, 1530, 1408, 1342, 1299.

EXAMPLE 14

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]thiophene-2-carboxamide

240 mg of 2-thiophenecarboxylic acid, 390 mg of N,N'-dicyclohexylcarbodiimide and 275 mg of 1-hydroxybenzotriazole were added to a solution of 485 mg of 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine in 10 ml of dry dimethylformamide, and the resulting mixture was stirred at room temperature for 17 hours. At the end of this time, the reaction mixture was mixed with ethyl acetate, and the urea which precipitated was removed by filtration. The filtrate was diluted with water and extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium hydrogencarbonate and then with a saturated aqueous solution of sodium chloride, after which it was dried over anhydrous magnesium sulphate. The solvent was then removed by distillation under reduced pressure, and the residue was purified by column chromatography through silica gel, using a 1 : 19 by volume mixture of methanol and methylene chloride as the eluent, to give 499 mg (yield 73%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.37 - 1.52 (2H, multiplet);
1.52 - 1.65 (4H, multiplet);
2.28 - 2.46 (4H, multiplet);
3.41 (2H, singlet);
4.22 (2H, triplet, J = 6.3 Hz);
4.98 (2H, doublet, J = 6.6 Hz);
5.73 - 5.85 (1H, multiplet);
5.85 - 5.97 (1H, multiplet);
6.56 (1H, broad singlet);
6.74 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
7.02 - 7.09 (1H, multiplet);
7.46 (1H, doublet, J = 5.3 Hz);
7.51 (1H, doublet, J = 4.0 Hz);
8.03 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:

2920, 1665, 1640, 1610, 1565, 1530, 1500, 1415, 1400, 1295, 1285.

The hydrochloride of the title compound, melting at 180 - 183°C, was prepared by dissolving the title compound obtained above in ethyl acetate, after which it was treated with an equimolar amount of an ethyl acetate

solution of hydrogen chloride.

EXAMPLE 15

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]pyrrole-2-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 2-pyrrolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as colourless prisms, melting at 136 - 137°C, in an 80% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.39 - 1.51 (2H, multiplet);
1.51 - 1.65 (4H, multiplet);
2.33 - 2.48 (4H, multiplet);
3.42 (2H, singlet);
4.21 (2H, triplet, J = 6.4 Hz);
4.98 (2H, doublet, J = 6.3 Hz);
5.70 - 5.79 (1H, multiplet);
5.83 - 5.92 (1H, multiplet);
6.20 - 6.23 (1H, multiplet);
6.25 - 6.36 (1H, broad);
6.52 - 6.55 (1H, multiplet);
6.75 (1H, singlet);
6.88 - 6.93 (2H, multiplet);
8.06 (1H, doublet, J = 4.9 Hz);
9.51 - 9.75 (1H, broad).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
3242, 1641, 1561, 1524.

EXAMPLE 16

1,3,5-Trimethyl-N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]pyrazole-4-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 1,3,5-trimethyl-4-pyrazolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 75 - 77°C, in a 69% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.52 (2H, multiplet);
1.52 - 1.69 (4H, multiplet);
2.36 (3H, singlet);
2.30 - 2.49 (4H, multiplet);
2.46 (3H, singlet);
3.44 (2H, singlet);
3.71 (3H, singlet);
4.19 (2H, triplet, J = 6.1 Hz);
4.96 (2H, doublet, J = 6.4 Hz);
5.75 - 5.91 (3H, multiplet);
6.74 (1H, singlet);
6.89 (1H, doublet, J = 4.9 Hz);
8.00 (1H, doublet, J = 4.9 Hz).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
3344, 2930, 1617, 1561, 1410.

EXAMPLE 17

3-Amino-N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]pyrazole-4-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 3-amino-4-pyrazolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 172 - 174°C, in a 38% yield.

Nuclear Magnetic Resonance Spectrum (hexadeuterated dimethyl sulphoxide), δ ppm:
1.32 - 1.45 (2H, multiplet);
1.45 - 1.57 (4H, multiplet);
2.26 - 2.44 (4H, multiplet);
3.42 (2H, singlet);
3.92 (2H, triplet, J = 5.9 Hz);
4.92 (2H, doublet, J = 5.9 Hz);
5.52 - 5.78 (2H, multiplet);
6.72 (1H, singlet);
6.92 (1H, doublet, J = 5.4 Hz);
7.67 - 7.79 (1H, broad);
7.88 (1H, broad triplet, J = 5.4 Hz);
8.08 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
3229, 2934, 1616, 1529, 1399.

EXAMPLE 18

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]pyrazole-3-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 3-pyrazolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 57% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.52 (2H, multiplet);
1.52 - 1.65 (4H, multiplet);
2.31 - 2.50 (4H, multiplet);
3.43 (2H, singlet);
4.21 (2H, triplet, J = 6.3 Hz);
4.96 (2H, doublet, J = 6.6 Hz);
5.77 - 5.99 (2H, multiplet);
6.80 - 6.89 (3H, multiplet);
7.21 - 7.31 (1H, broad);
7.57 (1H, doublet, J = 2.0 Hz);
8.08 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
2925, 1655, 1610, 1560 (shoulder), 1540.

EXAMPLE 19

5-Methyl-N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]pyrazole-3-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 5-methyl-3-pyrazolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 93 - 95°C, in a 52% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.52 (2H, multiplet);
1.52 - 1.66 (4H, multiplet);
2.33 (3H, singlet);

2.31 - 2.48 (4H, multiplet);
3.42 (2H, singlet);
4.20 (2H, triplet, J = 6.4 Hz);
4.96 (2H, doublet, J = 6.8 Hz);
5.72 - 5.81 (1H, multiplet);
5.83 - 5.93 (1H, multiplet);
6.55 (1H, singlet);
6.76 (1H, singlet);
6.87 (1H, doublet, J = 5.4 Hz);
7.06 - 7.20 (1H, broad);
8.08 (1H, doublet, J = 5.4 Hz);
10.37 - 10.93 (1H, broad).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
3195, 2931, 1645, 1612, 1558.

EXAMPLE 20

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]furan-2-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 2-furancarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in an 82% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.35 - 1.53 (2H, multiplet);
1.53 - 1.80 (4H, multiplet);
2.25 - 2.60 (4H, multiplet);
3.48 (2H, singlet);
4.22 (2H, triplet, J = 6.4 Hz);
4.98 (2H, doublet, J = 6.8 Hz);
5.70 - 5.82 (1H, multiplet);
5.84 - 5.96 (1H, multiplet);
6.49 (1H, doublet of doublets, J = 3.4 & 2.0 Hz);
6.58 - 6.72 (1H, multiplet);
6.77 (1H, singlet);
6.85 - 7.03 (1H, multiplet);
7.11 (1H, doublet of doublets, J = 3.4 & 1.0 Hz);
7.43 (1H, triplet, J = 1.0 Hz);
8.10 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3430 2930, 1655, 1610, 1595, 1518, 1475, 1415, 1400 1295, 1285.

EXAMPLE 21

5-Methyl-N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]thiophene-2-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 5-methyl-2-thiophenecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound, melting at 71 - 73°C, was obtained in a 72% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.45 - 1.55 (2H, multiplet);
1.55 - 1.80 (4H, multiplet);
2.30 - 2.60 (4H, multiplet);
3.48 (2H, singlet);
4.20 (2H, triplet, J = 6.3 Hz);
4.97 (2H, doublet, J = 6.3 Hz);
5.78 - 5.82 (1H, multiplet);
5.82 - 5.93 (1H, multiplet);
6.20 - 6.35 (1H, broad);

6.72 (1H, doublet, J = 3.5 Hz);
6.78 (1H, singlet);
6.87 - 7.03 (1H, multiplet);
7.31 (1H, doublet, J = 3.5 Hz);
8.07 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3430, 2920, 1640, 1505, 1415, 1400, 1295, 1285, 1032.

EXAMPLE 22

3-Amino-N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]thiophene-2-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 3-amino-2-thiophenecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as colourless needles, melting at 138 - 140°C, in a 40% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.40 - 1.52 (2H, multiplet);
1.52 - 1.65 (4H, multiplet);
2.28 - 2.44 (4H, multiplet);
3.41 (2H, singlet);
4.17 (2H, triplet, J = 5.9 Hz);
4.96 (2H, doublet, J = 5.9 Hz);
5.60 (2H, broad singlet);
5.67 - 5.94 (3H, multiplet);
6.55 (1H, doublet, J = 5.3 Hz);
6.74 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
7.12 (1H, doublet, J = 5.3 Hz);
8.08 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
3300, 2935, 1617, 1560, 1525, 1402, 1313, 1299, 1291.

EXAMPLE 23

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]thiophene-3-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 3-thiophenecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 90% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.52 (2H, multiplet);
1.52 - 1.65 (4H, multiplet);
2.30 - 2.45 (4H, multiplet);
3.41 (1H, singlet);
4.22 (2H, triplet, J = 6.1 Hz);
4.98 (2H, doublet, J = 6.4 Hz);
5.73 - 5.93 (2H, multiplet);
6.40 - 6.60 (1H, broad);
6.74 (1H, singlet);
6.87 (1H, doublet, J = 5.4 Hz);
7.32 (1H, doublet of doublets, J = 5.2 & 2.9 Hz);
7.39 (1H, doublet, J = 5.2 Hz);
7.85 (1H, doublet, J = 2.9 Hz);
8.01 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
2930, 1655 (shoulder), 1645, 1610, 1560, 1535, 1500, 1415, 1400, 1285.

EXAMPLE 24

5-Chloro-N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]thiophene-2-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 5-chloro-3-thiophenecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as colourless prisms, melting at 75 - 77°C, in a 54% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.52 (2H, multiplet);
1.52 - 1.68 (4H, multiplet);
2.30 - 2.42 (4H, multiplet);
3.41 (2H, singlet);
4.19 (2H, triplet, J = 6.1 Hz);
4.97 (2H, doublet, J = 6.8 Hz);
5.70 - 5.84 (1H, multiplet);
5.84 - 5.95 (1H, multiplet);
6.41 - 6.53 (1H, broad);
6.74 (1H, singlet);
6.88 (1H, doublet, J = 5.4 Hz);
7.19 (1H, doublet, J = 2.0 Hz);
7.62 (1H, doublet, J = 2.0 Hz);
7.99 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 2930, 1655, 1610, 1415, 1400, 1298, 1285, 1032.

EXAMPLE 25

5-Phenyl-N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]isoxazole-3-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 5-phenyl-3-isoxazolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound, melting at 105 - 106°C, was obtained as colourless prisms in a 50% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.36 - 1.51 (2H, multiplet);
1.51 - 1.67 (4H, multiplet);
2.29 - 2.46 (4H, multiplet);
3.43 (2H, singlet);
4.27 (2H, triplet, J = 6.3 Hz);
4.99 (2H, doublet, J = 6.6 Hz);
5.72 - 5.82 (1H, multiplet);
5.88 - 5.97 (1H, multiplet);
6.75 (1H, singlet);
6.91 (1H, doublet, J = 5.4 Hz);
6.97 (1H, singlet);
7.13 - 7.27 (1H, broad);
7.44 - 7.55 (3H, multiplet);
7.75 - 7.84 (2H, multiplet);
8.12 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
3322, 2936, 1668, 1613, 1561, 1448.

EXAMPLE 26

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]thiazole-4-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridy-

loxy)-cis-2-butenylamine and 4-thiazolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 68% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.36 - 1.52 (2H, multiplet);
1.52 - 1.67 (4H, multiplet);
2.24 - 2.53 (4H, multiplet);
3.43 (2H, singlet);
4.26 (2H, triplet, J = 6.4 Hz);
4.98 (2H, doublet, J = 6.4 Hz);
5.72 - 5.81 (1H, multiplet);
5.86 - 5.96 (1H, multiplet);
6.75 (1H, singlet);
6.90 (1H, doublet, J = 5.4 Hz);
7.40 - 7.58 (1H, broad);
8.11 (1H, doublet, J = 5.4 Hz);
8.18 (1H, doublet, J = 2.4 Hz);
8.75 (1H, doublet, J = 2.4 Hz).

Infrared Absorption Spectrum (liquid film), ν_{max} cm^{-1}:

2936, 1664, 1611, 1560, 1540, 1481, 1420, 1403, 1313, 1288.

EXAMPLE 27

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-1,2,3-thiadiazole-4-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 1,2,3-thiadiazole-4-carboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as colourless needles, melting at 70 - 72°C, in a 52% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.28 - 1.53 (2H, multiplet);
1.53 - 1.82 (4H, multiplet);
2.24 - 2.55 (4H, multiplet);
3.46 (2H, singlet);
4.35 (2H, triplet, J = 6.3 Hz);
5.01 (2H, doublet, J = 6.3 Hz);
5.75 - 5.87 (1H, multiplet);
5.87 - 6.00 (1H, multiplet);
6.77 (1H, singlet);
6.85 - 7.00 (1H, multiplet);
7.72 - 7.90 (1H, broad);
8.13 (1H, doublet, J = 5.4 Hz);
9.23 (1H, singlet).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:

3425, 2940, 1675, 1612, 1540, 1420, 1402, 1300, 1290, 1260, 1035.

EXAMPLE 28

N-{4-[4-(1-Pyrrolidinylmethyl)-2-pyridyloxy]-cis-2-butenyl}pyrazole-4-carboxamide

Following a procedure similar to that described in Example 13, but using 4-[4-(1-pyrrolidinylmethyl)-2-pyridyloxy]-cis-2-butenylamine and 4-pyrazolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 57 - 61°C, in a 34% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.75 - 1.90 (4H, multiplet);
2.50 - 2.67 (4H, multiplet);
3.61 (2H, singlet);
4.17 (2H, triplet, J = 5.9 Hz);

4.95 (2H, doublet, J = 6.4 Hz);
5.69 - 5.92 (2H, multiplet);
6.72 (1H, broad triplet, J = 5.4 Hz);
6.77 (1H, singlet);
6.90 (1H, doublet, J = 5.4 Hz);
7.96 (2H, singlet);
8.04 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
2962, 1626, 1610, 1568, 1539, 1421, 1410, 1400.

EXAMPLE 29

N-{4-[4-(1-Pyrrolidinylmethyl)-2-pyridyloxy]-cis-2-butenyl}pyrrole-2-carboxamide

Following a procedure similar to that described in Example 13, but using 4-[4-(1-pyrrolidinylmethyl)-2-pyridyloxy]-cis-2-butenylamine and 2-pyrrolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 124 - 127°C, in a 64% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.71 - 1.88 (4H, multiplet);
2.43 - 2.61 (4H, multiplet);
3.57 (2H, singlet);
4.21 (2H, triplet, J = 6.3 Hz);
4.97 (2H, doublet, J = 6.6 Hz);
5.70 - 5.80 (1H, multiplet);
5.83 - 5.94 (1H, multiplet);
6.16 - 6.25 (1H, multiplet);
6.30 - 6.42 (1H, broad);
6.53 - 6.59 (1H, multiplet);
6.88 - 6.96 (2H, multiplet);
8.07 (1H, doublet, J = 5.3 Hz);
9.67 - 9.92 (1H, broad).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
3252, 1637, 1617, 1561, 1528, 1428, 1423, 1401, 1307, 1029.

EXAMPLE 30

4-Hydroxy-N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]isoxazole-3-carboxamide

375 mg of ethyl 4-hydroxy-3-isoxazolecarboxylate (prepared as described in Preparation 4) were added to a solution of 520 mg of 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine in 10 ml of toluene, and the resulting mixture was heated under reflux for 6 hours. At the end of this time, the solvent was removed by distillation under reduced pressure, and the residue was dissolved in ethyl acetate. The resulting solution was washed with a saturated aqueous solution of sodium hydrogencarbonate and then with a saturated aqueous solution of sodium chloride, after which it was dried over anhydrous magnesium sulphate. The solvent was then removed by distillation under reduced pressure, and the residue was purified by column chromatography through silica gel, using a 1 : 19 by volume mixture of methanol and ethyl acetate as the eluent, to give 228 mg (yield 31%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.33 - 1.50 (2H, multiplet);
1.50 - 1.65 (4H, multiplet);
2.25 - 2.46 (4H, multiplet);
3.42 (2H, singlet);
4.26 (2H, triplet, J = 6.6 Hz);
4.98 (2H, doublet, J = 6.6 Hz);
5.67 - 5.82 (1H, multiplet);
5.88 - 6.00 (1H, multiplet);
6.75 (1H, singlet);

6.90 (1H, doublet, J = 5.3 Hz);
7.25 - 7.42 (1H, broad);
8.13 (1H, doublet, J = 5.3 Hz);
8.22 (1H, singlet).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
2930, 1680, 1610, 1560 (shoulder), 1550.

EXAMPLE 31

1-Methyl-N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]pyrrole-2-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 1-methyl-2-pyrrolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 76% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.51 (2H, multiplet);
1.51 - 1.65 (4H, multiplet);
2.33 - 2.43 (4H, multiplet);
3.42 (2H, singlet);
3.94 (3H, singlet);
4.16 (2H, triplet, J = 6.1 Hz);
4.97 (2H, doublet, J = 6.8 Hz);
5.71 - 5.83 (1H, multiplet);
5.83 - 5.94 (1H, multiplet);
6.06 (1H, doublet of doublets, J = 3.9 & 2.2 Hz);
6.14 - 6.24 (1H, broad);
6.53 (1H, doublet of doublets, J = 7.8 & 2.2 Hz);
6.69 - 6.73 (1H, multiplet);
6.74 (1H, singlet);
6.89 (1H, doublet, J = 5.2 Hz);
8.04 (1H, doublet, J = 5.2 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
2935, 1655 (shoulder), 1645, 1610, 1560, 1535, 1500, 1475, 1415, 1400.

The hydrochloride of the title compound, melting at 136 - 137°C, was prepared by dissolving the title compound, obtained as described above, in ethyl acetate, after which an ethyl acetate solution containing an equimolar amount of hydrogen chloride was added to the resulting solution.

EXAMPLE 32

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]pyrrole-3-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 3-pyrrolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 74% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.33 - 1.51 (2H, multiplet);
1.51 - 1.67 (4H, multiplet);
2.27 - 2.49 (4H, multiplet);
3.41 (2H, singlet);
4.18 (2H, triplet, J = 6.3 Hz);
4.96 (2H, doublet, J = 5.9 Hz);
5.69 - 5.94 (2H, multiplet);
6.17 - 6.33 (1H, broad);
6.42 (1H, broad singlet);
6.73 (2H, broad singlet);
6.87 (1H, doublet, J = 4.9 Hz);
7.33 (1H, broad singlet);
8.05 (1H, doublet, J = 4.9 Hz);

9.31 - 9.54 (1H, broad).
Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3470, 2930, 1635, 1610, 1560, 1510, 1415, 1400, 1310, 1295.

EXAMPLE 33

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-pyrimidinylthio)acetamide

163 mg of 2-mercaptopyrimidine were added to a solution of 116 mg of 85% potassium hydroxide and 484 mg of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-chloroacetamide (prepared as described in Preparation 1) in 10 ml of methanol, and the resulting mixture was stirred at room temperature for 7 hours. At the end of this time, the reaction mixture was concentrated by evaporation under reduced pressure, and the concentrate was mixed with water, after which it was extracted with ethyl acetate. The extract was freed from the solvent by distillation under reduced pressure. The residue thus obtained was recrystallized from ethyl acetate, to give 474 mg (yield 80%) of the title compound as a white powder, melting at 103 - 106°C.
Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.50 (2H, multiplet);
1.52 - 1.64 (4H, multiplet);
2.30 - 2.43 (4H, multiplet);
3.40 (2H, singlet);
3.82 (2H, singlet);
4.29 (2H, triplet, J = 6.3 Hz);
4.87 (2H, doublet, J = 5.9 Hz);
5.52 - 5.65 (1H, multiplet);
5.75 - 5.86 (1H, multiplet);
6.70 (1H, singlet);
6.87 (1H, doublet, J = 5.4 Hz);
7.00 - 7.11 (1H, broad);
7.02 (1H, doublet, J = 4.9 Hz);
8.03 (1H, doublet, J = 5.4 Hz);
8.53 (2H, doublet, J = 4.9 Hz).
Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
3333, 2940, 2920, 1643, 1560, 1552, 1524, 1397, 1316.

EXAMPLE 34

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(2-pyrimidinylthio)butyramide

2.78 g of 2-mercaptopyrimidine were added to a solution of 1.95 g of 85% potassium hydroxide and 9.03 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) in 140 ml of methanol, and the resulting mixture was heated under reflux for 15 hours. At the end of this time, the reaction mixture was cooled, and the solvent was removed by distillation under reduced pressure. The resulting residue was mixed with water, and the aqueous mixture was extracted with ethyl acetate. The extract was concentrated by evaporation under reduced pressure, and the concentrate was purified by column chromatography through silica gel, using a 9 : 1 by volume mixture of ethyl acetate and methanol as the eluent, to give 10.1 g (yield 92%) of the title compound as an oil.
Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.50 (2H, multiplet);
1.53 - 1.67 (4H, multiplet);
2.11 (2H, quintet, J = 7.2 Hz);
2.30 - 2.49 (6H, multiplet);
3.20 (2H, triplet, J = 7.2 Hz);
3.41 (2H, singlet);
4.06 (2H, doublet, J = 5.9 Hz);
4.93 (2H, doublet, J = 6.3 Hz);
5.64 - 5.73 (1H, multiplet);
5.80 - 5.89 (1H, multiplet);
6.27 - 6.41 (1H, broad);

6.73 (1H, singlet);
6.88 (1H, doublet, J = 5.1 Hz);
6.94 (1H, triplet, J = 4.9 Hz);
8.03 (1H, doublet, J = 5.1 Hz);
8.49 (2H, doublet, J = 4.9 Hz).

Infrared Absorption Spectrum (liquid film), ν_{max} cm^{-1}:
3295, 2936, 1646, 1611, 1564, 1548, 1420, 1403, 1382, 1312, 1300, 1289.

The compound obtained as described above was dissolved in ethyl acetate, and an ethyl acetate solution containing an equimolar amount of hydrogen chloride was added to the resulting solution. The mixture was stirred at room temperature for 10 minutes, and then the solvent was removed by distillation under reduced pressure, to give the hydrochloride of the title compound, melting at 123 - 125°C.

EXAMPLE 35

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(4-methyl-2-pyrimidinylthio)butyramide

Following a procedure similar to that described in Example 34, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 2-mercapto-4-methylpyrimidine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 70% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.40 - 1.56 (2H, multiplet);
1.60 - 1.81 (4H, multiplet);
2.10 (2H, quintet, J = 7.1 Hz);
2.39 (2H, triplet, J = 7.1 Hz);
2.44 (3H, singlet);
2.35 - 2.70 (4H, multiplet);
3.20 (2H, triplet, J = 7.1 Hz);
3.55 (2H, singlet);
4.05 (2H, triplet, J = 6.1 Hz);
4.93 (2H, doublet, J = 6.3 Hz);
5.64 - 5.73 (1H, multiplet);
5.78 - 5.87 (1H, multiplet);
6.25 - 6.37 (1H, broad);
6.76 - 6.81 (2H, multiplet);
6.98 (1H, singlet);
8.08 (1H, triplet, J = 5.4 Hz);
8.34 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
2930, 1660, 1610, 1570, 1560, 1540, 1415, 1325.

EXAMPLE 36

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(1-methylimidazol-2-ylthio)butyramide

Following a procedure similar to that described in Example 34, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 2-mercapto-1-methylimidazole as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 49% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.36 - 1.50 (2H, multiplet);
1.50 - 1.62 (4H, multiplet);
2.03 (2H, quintet, J = 6.8 Hz);
2.30 - 2.46 (6H, multiplet);
3.08 (2H, triplet, J = 6.8 Hz);
3.41 (2H, singlet);
3.60 (3H, singlet);
4.04 (2H, triplet, J = 6.1 Hz);

4.93 (2H, doublet, J = 5.9 Hz);
5.63 - 5.73 (1H, multiplet);
5.76 - 5.91 (1H, multiplet);
6.72 (1H, singlet);
6.89 (1H, doublet, J = 5.4 Hz);
6.90 (1H, singlet);
7.01 (1H, singlet);
7.24 - 7.38 (1H, broad);
8.04 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3250, 2940, 1660, 1610, 1560, 1420, 1290.

EXAMPLE 37

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(5-methyl-1,3,4-oxadiazol-2-ylthio)butyramide

Following a procedure similar to that described in Example 34, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 2-mercapto-5-methyl-1,3,4-oxadiazole as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 79% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.50 (2H, multiplet);
1.50 - 1.65 (4H, multiplet);
2.17 (2H, quintet, J = 7.3 Hz);
2.31 - 2.41 (6H, multiplet);
2.51 (3H, singlet);
3.28 (2H, triplet, J = 7.3 Hz);
3.41 (2H, singlet);
4.04 (2H, triplet, J = 5.9 Hz);
4.93 (2H, doublet, J = 6.6 Hz);
5.64 - 5.75 (1H, multiplet);
5.79 - 5.90 (1H, multiplet).
6.39 - 6.54 (1H, broad);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3440, 2930, 1660, 1610, 1560, 1510, 1480, 1420.

EXAMPLE 38

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(1,3,4-thiadiazol-2-ylthio)butyramide

Following a procedure similar to that described in Example 34, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 2-mercapto-1,3,4-thiadiazole as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in an 84% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.36 - 1.51 (2H, multiplet);
1.51 - 1.68 (4H, multiplet);
2.20 (2H, quintet, J = 7.3 Hz);
2.27 - 2.45 (6H, multiplet);
3.41 (2H, singlet);
3.43 (2H, triplet, J = 7.3 Hz);
5.63 - 5.73 (1H, multiplet);
5.78 - 5.89 (1H, multiplet);
6.34 - 6.51 (1H, broad);
6.73 (1H, singlet);

6.89 (1H, doublet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz);
9.00 (1H, singlet).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3350, 3300, 2940, 1660, 1610, 1560, 1510, 1420.

EXAMPLE 39

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(5-methyl-1,3,4-thiadiazol-2-ylthio)butyramide

Following a procedure similar to that described in Example 34, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 2-mercapto-5-methyl-1,3,4-thiadiazole as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 65 - 68°C, in a 78% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.51 (2H, multiplet);
1.51 - 1.68 (4H, multiplet);
2.16 (2H, quintet, J = 6.9 Hz);
2.31 - 2.44 (6H, multiplet);
2.71 (3H, singlet);
3.35 (2H, triplet, J = 6.9 Hz);
3.41 (2H, singlet);
4.04 (2H, triplet, J = 5.9 Hz);
4.94 (2H, doublet, J = 6.6 Hz);
5.65 - 5.76 (1H, multiplet);
5.77 - 5.90 (1H, multiplet);
6.37 - 6.50 (1H, broad);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 3300, 2940, 1660, 1610, 1560, 1510, 1420, 1300.

EXAMPLE 40

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(1,2,4-triazol-3-ylthio)acetamide

Following a procedure similar to that described in Example 33, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-chloroacetamide (prepared as described in Preparation 1) and 3-mercapto-1,2,4-triazole as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 65 - 67°C, in a 91% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.41 - 1.55 (2H, multiplet);
1.55 - 1.67 (4H, multiplet);
2.42 - 2.55 (4H, multiplet);
3.47 (2H, singlet);
3.77 (2H, singlet);
4.00 (2H, triplet, J = 6.3 Hz);
4.83 (2H, doublet, J = 6.8 Hz);
5.71 - 5.80 (1H, multiplet);
5.85 - 5.94 (1H, multiplet);
6.73 (1H, singlet);
6.85 (1H, doublet, J = 5.1 Hz);
7.32 - 7.44 (1H, broad);
8.06 (1H, doublet, J = 5.1 Hz);
8.07 (1H, singlet).

Infrared Absorption Spectrum (liquid film), ν_{max} cm^{-1}:
2935, 1652, 1612, 1560, 1421, 1403, 1301, 1288.

EXAMPLE 41

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(1,2,4-triazol-3-ylthio)butyramide

Following a procedure similar to that described in Example 34, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 3-mercapto-1,2,4-triazole as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 87 - 89°C, in a 56% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.39 - 1.51 (2H, multiplet);
1.54 - 1.65 (4H, multiplet);
2.09 (2H, quintet, J = 7.0 Hz);
2.33 - 2.50 (6H, multiplet);
3.13 (2H, triplet, J = 7.0 Hz);
3.44 (2H, singlet);
4.06 (2H, triplet, J = 6.1 Hz);
4.93 (2H, doublet, J = 6.4 Hz);
5.69 - 5.82 (1H, multiplet);
5.82 - 5.93 (1H, multiplet);
6.75 (1H, singlet);
6.89 (1H, doublet, J = 5.4 Hz);
6.92 - 7.03 (1H, broad);
8.03 (1H, singlet);
8.04 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
2942, 2915, 1625, 1614, 1564, 1293, 1250, 1238.

EXAMPLE 42

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(1-methyltetrazol-5-ylthio)acetamide

Following a procedure similar to that described in Example 33, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-chloroacetamide (prepared as described in preparation 1) and 1-methyl-5-mercaptotetrazole as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 58 - 62°C, in an 87% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.35 - 1.50 (2H, multiplet);
1.50 - 1.63 (4H, multiplet);
2.27 - 2.44 (4H, multiplet);
3.41 (2H, singlet);
3.95 (3H, singlet);
3.96 (2H, singlet);
4.04 (2H, triplet, J = 5.9 Hz);
4.90 (2H, doublet, J = 5.9 Hz);
5.54 - 5.68 (1H, multiplet);
5.78 - 5.89 (1H, multiplet);
6.73 (1H, singlet);
6.88 (1H, doublet, J = 5.9 Hz);
8.05 (1H, doublet, J = 5.9 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3300, 2950, 1730, 1670, 1610, 1560, 1400, 1290.

EXAMPLE 43

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(1-methyltetrazol-5-ylthio)butyramide

Following a procedure similar to that described in Example 34, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 1-methyl-5-mercap-

totetrazole as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 70% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.50 (2H, multiplet);
1.50 - 1.63 (4H, multiplet);
2.13 - 2.24 (2H, quintet, J = 7.3 Hz);
2.26 - 2.47 (6H, multiplet);
3.40 (2H, triplet, J = 7.3 Hz);
3.41 (2H, singlet);
3.91 (3H, singlet);
4.05 (2H, triplet, J = 5.3 Hz);
4.94 (2H, doublet, J = 6.6 Hz);
5.66 - 5.75 (1H, multiplet);
5.80 - 5.89 (1H, multiplet);
6.39 - 6.50 (1H, broad);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.2 Hz);
8.03 (1H, doublet, J = 5.2 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 2925, 1660, 1610, 1560, 1510, 1410, 1290.

EXAMPLE 44

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-[1-(2-hydroxyethyl)tetrazol-5-ylthio]butyramide

Following a procedure similar to that described in Example 34, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 1-(2-hydroxyethyl)-5-mercaptotetrazole as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 63% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.50 (2H, multiplet);
1.50 - 1.63 (4H, multiplet);
2.17 (2H, quintet, J = 6.8 Hz);
2.33 - 2.60 (6H, multiplet);
3.38 (2H, triplet, J = 6.8 Hz);
3.49 (2H, singlet);
4.01 (2H, triplet, J = 6.1 Hz);
4.08 - 4.11 (2H, multiplet);
4.34 - 4.38 (2H, multiplet);
4.92 (2H, doublet, J = 6.4 Hz);
5.67 - 5.87 (2H, multiplet);
6.49 - 6.65 (1H, broad);
6.79 (1H, singlet);
6.93 (1H, doublet, J = 4.9 Hz);
8.05 (1H, doublet, J = 4.9 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3300, 2940, 1660, 1610, 1560, 1510, 1420, 1400.

EXAMPLE 45

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(2-pyridylthio)butyramide

Following a procedure similar to that described in Example 34, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 2-mercaptopyridine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 53% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.40 - 1.53 (2H, multiplet);

1.59 - 1.75 (4H, multiplet);
2.07 (2H, quintet, J = 7.1 Hz);
2.39 (2H, triplet, J = 7.1 Hz);
2.33 - 2.60 (4H, broad);
3.21 (2H, triplet, J = 7.1 Hz);
3.51 (2H, singlet);
4.07 (2H, triplet, J = 6.2 Hz);
4.94 (2H, doublet, J = 6.3 Hz);
5.63 - 5.75 (1H, multiplet);
5.80 - 5.88 (1H, multiplet);
6.58 - 6.69 (1H, broad);
6.77 (1H, singlet);
6.92 - 7.00 (2H, multiplet);
7.17 (1H, triplet of doublets, J = 8.3 & 1.0 Hz);
7.46 (1H, doublet of triplets, J = 8.3 & 2.0 Hz);
8.07 (1H, doublet, J = 5.4 Hz);
8.39 (1H, triplet of doublets, J = 4.9 & 1.0 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
2945, 1660, 1655 (shoulder), 1610, 1580, 1560, 1415.

EXAMPLE 46

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(4-pyridylthio)butyramide

Following a procedure similar to that described in Example 34, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 4-mercaptopyridine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 33% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.48 (2H, multiplet);
1.54 - 1.63 (4H, multiplet);
2.07 (2H, quintet, J = 7.2 Hz);
2.25 - 2.39 (6H, multiplet);
3.05 (2H, triplet, J = 7.2 Hz);
3.41 (2H, singlet);
4.04 (2H, triplet, J = 5.9 Hz);
4.92 (2H, doublet, J = 6.6 Hz);
5.63 - 5.75 (1H, multiplet);
5.78 - 5.96 (1H, multiplet);
6.15 - 6.27 (1H, broad);
6.73 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
7.13 (2H, doublet, J = 4.6 Hz);
8.02 (1H, doublet, J = 5.3 Hz);
8.37 (2H, doublet, J = 4.6 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
2945, 1660, 1655 (shoulder), 1610, 1580, 1560, 1415, 1405, 1310, 1300, 1290.

EXAMPLE 47

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(4,6-diamino-2-pyrimidinylthio)butyramide

Following a procedure similar to that described in Example 34, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) and 4,6-diamino-2-mercaptopyrimidine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 48% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.39 - 1.50 (2H, multiplet);

1.55 - 1.67 (4H, multiplet);
1.83 - 2.14 (4H, multiplet);
2.30 - 2.47 (6H, multiplet);
3.10 (2H, triplet, J = 6.8 Hz);
3.45 (2H, singlet);
3.99 - 4.09 (2H, multiplet);
4.61 (2H, broad singlet);
4.92 (2H, doublet, J = 6.8 Hz);
5.24 (1H, singlet);
5.63 - 5.72 (1H, multiplet);
5.78 - 5.87 (1H, multiplet);
6.12 - 6.23 (1H, broad);
6.72 - 6.79 (1H, multiplet);
6.91 (1H, doublet, J = 4.4 Hz);
8.05 (1H, doublet, J = 4.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
2940, 1655, 1610, 1580, 1555, 1310.

EXAMPLE 48

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-N'-isopropylurea

A solution of 0.113 g of isopropylamine in 2 ml of methylene chloride was added to a solution of 0.31 g of carbonyldiimidazole in 5 ml of methylene chloride, and the resulting mixture was cooled with ice, after which a solution of 0.500 g of 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine in 5 ml of methylene chloride was added. The reaction mixture was stirred at room temperature for 2 hours, after which it was poured into ice-water and extracted with methylene chloride. The extract was dried over anhydrous magnesium sulphate, and the solvent was removed by distillation under reduced pressure. The residue was purified by column chromatography through silica gel, using a 1 : 20 by volume mixture of methanol and ethyl acetate as the eluent, to give 0.41 g (yield 62%) of the title compound as a white powder, melting at 90 - 92°C.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.13 (6H, doublet, J = 6.4 Hz);
1.40 - 1.55 (2H, multiplet);
1.55 - 1.90 (6H, multiplet);
2.30 - 2.57 (4H, multiplet);
3.49 (2H, singlet);
3.80 - 3.90 (1H, multiplet);
3.95 (2H, triplet, J = 5.9 Hz);
4.10 - 4.30 (1H, broad);
4.52 - 4.67 (1H, broad);
4.91 (2H, doublet, J = 6.3 Hz);
5.67 - 5.88 (2H, multiplet);
6.80 (1H, singlet);
6.92 (1H, doublet, J = 5.9 Hz);
8.07 (1H, doublet, J = 5.9 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3430, 2920, 1655, 1605, 1555, 1520, 1410.

EXAMPLE 49

N-Diphenylmethyl-N'-[4-(4-piperidinomethyl)-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and diphenylmethylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 69% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.42 (2H, multiplet);
1.42 - 1.70 (4H, multiplet);

2.28 - 2.57 (4H, multiplet);
3.44 (2H, singlet);
3.94 (2H, triplet, J = 5.9 Hz);
4.86 (2H, doublet, J = 6.3 Hz);
4.87 (1H, singlet);
5.10 - 5.24 (1H, broad);
5.58 - 5.70 (1H, multiplet);
5.72 - 5.83 (1H, multiplet);
5.97 (1H, doublet, J = 7.3 Hz);
6.74 (1H, singlet);
6.87 (1H, doublet, J = 5.4 Hz);
7.13 - 7.42 (10H, multiplet);
8.00 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3430, 2980, 2930, 1660, 1610, 1560, 1520, 1415, 1400, 1298, 1285.

EXAMPLE 50

N-(1-Methylpropyl)-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 1-methylpropylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 72 - 74°C, in an 80% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
0.90 (3H, triplet, J = 7.5 Hz);
1.11 (3H, doublet, J = 6.4 Hz);
1.35 - 1.80 (6H, multiplet);
2.33 - 2.60 (4H, multiplet);
3.51 (2H, singlet);
3.60 - 3.77 (1H, multiplet);
3.95 (2H, triplet, J = 5.9 Hz);
4.13-4.28 (1H, broad);
4.54-4.69 (1H, broad);
4.92 (2H, doublet, J = 6.5 Hz);
6.67-6.88 (2H, multiplet);
6.81 (1H, singlet);
6.93 (1H, doublet, J = 5.4 Hz);
8.07 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3430, 3350, 2920, 1655, 1610, 1558, 1525, 1415, 1400, 1340, 1298, 1285.

EXAMPLE 51

N-(1-Methylbutyl)-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 1-methylbutylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 66% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
0.89 (3H, triplet, J = 7.1 Hz);
1.10 (3H, doublet, J = 6.4 Hz);
1.22 - 1.50 (6H, multiplet);
1.50 - 1.64 (4H, multiplet);
2.30 - 2.43 (4H, multiplet);
3.41 (2H, singlet);
3.67 - 3.82 (1H, multiplet);
3.95 (2H, triplet, J = 5.9 Hz);

4.17 (1H, broad doublet, J = 7.8 Hz);
4.58 - 4.68 (1H, broad);
4.91 (2H, doublet, J = 6.8 Hz);
5.66 - 5.76 (1H, multiplet);
5.76 - 5.88 (1H, multiplet);
6.73 (1H, singlet);
6.80 (1H, doublet, J = 5.9 Hz);
8.04 (1H, doublet, J = 5.9 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3430, 3350, 2930, 1650, 1610, 1558, 1525, 1415, 1400 1310, 1295, 1285.

EXAMPLE 52

N-(1-Methylhexyl)-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 1-methylhexylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 65% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
0.87 (3H, triplet, J = 6.6 Hz);
1.10 (3H, doublet, J = 6.4 Hz);
1.20 - 1.50 (10H, multiplet);
1.50 - 1.67 (4H, multiplet);
2.30 - 2.47 (4H, multiplet);
3.42 (2H, singlet);
3.64 - 3.80 (1H, multiplet);
3.95 (2H, triplet, J = 6.1 Hz);
4.07 - 4.20 (1H, broad doublet, J = 7.7 Hz);
4.25 - 4.65 (1H, broad);
4.92 (2H, doublet, J = 6.3 Hz);
5.63 - 5.88 (2H, multiplet);
6.74 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.05 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3430, 3350, 2930, 2850, 1655, 1610, 1560, 1528, 1415, 1400, 1310, 1298, 1285.

EXAMPLE 53

N-(1-Phenylethyl)-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 1-phenylethylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 62% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.45 (3H, doublet, J = 6.8 Hz);
1.50 - 1.74 (6H, multiplet);
2.30 - 2.43 (4H, multiplet);
3.41 (2H, singlet);
3.92 (2H, triplet, J = 5.6 Hz);
4.50 - 4.70 (2H, broad);
4.86 (2H, doublet, J = 6.3 Hz);
5.57 - 5.68 (1H, multiplet);
5.72 - 5.84 (1H, multiplet);
6.71 (1H, singlet);
6.86 (2H, doublet, J = 5.4 Hz);
7.19 - 7.37 (5H, multiplet);
8.01 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3440, 2980, 2930, 1660, 1610, 1558, 1525, 1415, 1400, 1298, 1285.

EXAMPLE 54

N-(1-Ethylpropyl)-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 1-ethylpropylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 82 - 84°C, in a 77% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
0.89 (6H, triplet, J = 7.3 Hz);
1.22 - 1.78 (10H, multiplet);
2.30 - 2.56 (4H, multiplet);
3.49 (2H, singlet);
3.96 (2H, triplet, J = 6.1 Hz);
4.05 - 4.20 (1H, broad);
4.57 - 4.68 (1H, broad);
4.92 (2H, doublet, J = 6.3 Hz);
5.65 - 5.88 (2H, multiplet);
6.80 (1H, singlet);
6.92 (1H, doublet, J = 5.3 Hz);
8.07 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3440, 3370, 2960, 2930, 1655, 1622, 1540, 1528, 1418, 1400, 1300, 1285.

EXAMPLE 55

N-(1,2-Dimethylpropyl)-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 1,2-dimethylpropylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 73% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
0.87 (3H, triplet, J = 6.8 Hz);
0.88 (3H, doublet, J = 6.8 Hz);
1.05 (3H, doublet, J = 5.8 Hz);
1.37 - 1.51 (2H, multiplet);
1.51 - 1.82 (5H, multiplet);
2.30 - 2.42 (4H, multiplet);
3.56 (2H, singlet);
3.56 - 3.71 (1H, multiplet);
3.95 (2H, triplet, J = 6.1 Hz);
4.20 (1H, broad doublet, J = 8.8 Hz);
4.58 - 4.70 (1H, broad);
4.92 (2H, doublet, J = 6.3 Hz);
5.65 - 5.77 (1H, multiplet);
5.77 - 5.88 (1H, multiplet);
6.73 (1H, singlet);
6.88 (1H, doublet, J = 5.4 Hz);
8.04 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3440, 2930, 1660, 1610, 1560, 1525, 1415, 1400, 1308, 1300, 1285.

EXAMPLE 56

N-(1,2-Diphenylethyl)-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 1,2-diphenylethylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in an 80% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.54 (2H, multiplet);
1.54 - 1.84 (4H, multiplet);
2.32 - 2.62 (4H, multiplet);
3.05 (2H, doublet, J = 6.8 Hz);
3.52 (2H, singlet);
3.85 (2H, triplet, J = 5.9 Hz);
4.63 - 4.78 (1H, broad);
4.83 (2H, doublet, J = 6.8 Hz);
4.90 - 5.02 (1H, multiplet);
5.52 - 5.62 (1H, multiplet);
5.68 - 5.79 (1H, multiplet);
6.74 - 6.87 (1H, broad);
6.91 (1H, doublet, J = 5.3 Hz);
7.00 - 7.08 (2H, multiplet);
7.12 - 7.39 (8H, multiplet);
8.02 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 3010, 2950, 1668, 1615, 1560, 1528, 1420, 1408, 1300, 1290.

EXAMPLE 57

N-Cyclopropyl-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and cyclopropylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 102 - 104°C, in a 60% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.51 - 1.59 (2H, multiplet);
1.67 - 1.77 (2H, multiplet);
1.36 - 1.82 (6H, multiplet);
2.30 - 2.60 (4H, multiplet);
3.49 (2H, singlet);
4.04 (2H, triplet, J = 6.1 Hz);
4.62 - 4.78 (1H, broad);
4.94 (2H, doublet, J = 6.4 Hz);
5.02 - 5.17 (1H, broad);
5.67 - 5.90 (2H, multiplet);
6.78 (1H, singlet);
6.93 (1H, doublet, J = 5.4 Hz);
8.07 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3430, 2990, 2930, 1642, 1610, 1560, 1528, 1415, 1400, 1298, 1285.

EXAMPLE 58

N-Cyclobutyl-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and cyclobutylamine as starting materials, in relative proportions similar to those

used in that Example, the title compound was obtained as a white powder, melting at 130 - 132°C, in a 66% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.49 (2H, multiplet);
1.52 - 1.88 (8H, multiplet);
2.23 - 2.42 (6H, multiplet);
3.41 (2H, singlet);
3.95 (2H, triplet, J = 5.8 Hz);
4.03 - 4.21 (1H, multiplet);
4.50 - 4.68 (2H, multiplet);
4.91 (2H, doublet, J = 6.4 Hz);
5.62 - 5.74 (1H, multiplet);
5.76 - 5.89 (1H, multiplet);
6.74 (1H, singlet);
6.88 (1H, doublet, J = 5.4 Hz);
8.05 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3440, 2980, 2940, 1660, 1612, 1560, 1528, 1415, 1400, 1300, 1288, 1248.

EXAMPLE 59

N-Cyclopentyl-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and cyclopentylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 121 - 124°C, in a 77% yield.

Nuclear Magnetic Resonance Spectrum (hexadeuterated dimethyl sulphoxide), δ ppm:
1.14 - 1.67 (12H, multiplet);
1.67 - 1.82 (2H, multiplet);
2.20 - 2.43 (4H, multiplet);
3.45 (2H, singlet);
3.72 (2H, triplet, J = 5.8 Hz);
3.75 - 3.91 (1H, multiplet);
4.86 (2H, doublet, J = 6.4 Hz);
5.49 - 5.72 (2H, multiplet);
5.77 (1H, triplet, J = 5.9 Hz);
5.84 (2H, doublet, J = 7.3 Hz);
6.71 (1H, singlet);
6.92 (1H, doublet of doublets, J = 5.4 & 1.0 Hz);
8.07 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
3318, 2935, 1618, 1584, 1561, 1426, 1409, 1301, 1041.

EXAMPLE 60

N-Cyclohexyl-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and cyclohexylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 131 - 132°C, in a 72% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
0.99 - 1.21 (2H, multiplet);
1.25 - 1.50 (4H, multiplet);
1.52 - 1.75 (8H, multiplet);
1.85 - 1.97 (2H, multiplet);
2.30 - 2.42 (4H, multiplet);

3.40 (2H, singlet);
3.42 - 3.58 (1H, multiplet);
3.95 (2H, triplet, J = 5.8 Hz);
4.25 (1H, broad doublet, J = 7.8 Hz);
4.61 (1H, broad triplet, J = 5.9 Hz);
4.92 (2H, doublet, J = 6.8 Hz);
5.64 - 5.76 (1H, multiplet);
5.76 - 5.87 (1H, multiplet);
6.73 (1H, singlet);
6.87 (1H, doublet, J = 5.4 Hz);
8.04 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3430, 3350, 2980, 2920, 2850, 1655, 1610, 1558, 1528, 1415, 1400, 1310, 1300, 1288.

EXAMPLE 61

N-Cycloheptyl-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and cycloheptylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 89 - 91°C, in a 60% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.32 - 1.80 (16H, multiplet);
1.82 - 2.00 (2H, multiplet);
2.25 - 2.50 (4H, multiplet);
3.43 (2H, singlet);
3.64 - 3.80 (1H, multiplet);
3.95 (2H, triplet, J = 5.9 Hz);
4.29 (1H, broad doublet, J = 7.3 Hz);
4.56 (1H, broad triplet, J = 5.4 Hz);
4.92 (2H, doublet, J = 6.3 Hz);
5.64 - 5.77 (1H, multiplet);
5.77 - 5.88 (1H, multiplet);
6.75 (1H, singlet);
6.88 (1H, doublet, J = 5.4 Hz);
8.05 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3430, 2920, 1655, 1610, 1558, 1520, 1413, 1400, 1308, 1298, 1285.

EXAMPLE 62

N-Cyclooctyl-N'-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]urea

Following a procedure similar to that described in Example 48, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and cyclooctylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 59% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.32 - 1,93 (20H, multiplet);
2.30 - 2.70 (4H, multiplet);
3.49 (2H, singlet);
3.68 - 3.86 (1H, multiplet);
3.94 (2H, triplet, J = 5.9 Hz);
4.27 - 4.43 (1H, broad);
4.52 - 4.67 (1H, broad);
4.91 (2H, doublet, J = 6.3 Hz);
5.65 - 5.88 (2H, multiplet);
6.80 (1H, singlet);
6.92 (1H, doublet, J = 5.3 Hz);

8.07 (1H, doublet, J = 5.3 Hz).
Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3440, 2930, 1655, 1610, 1560, 1525, 1415, 1400, 1310, 1300, 1288.

EXAMPLE 63

N-Isopropyl-N'-[3-(4-piperidinomethyl-2-pyridyloxy)propyl]urea

Following a procedure similar to that described in Example 48, but using 3-(4-piperidinomethyl-2-pyridyloxy)propylamine and isopropylamine as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 58 - 60°C, in a 50% yield.
Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.14 (6H, doublet, J = 6.3 Hz);
1.38 - 1.50 (2H, multiplet);
1.52 - 1.64 (4H, multiplet);
1.90 - 2.05 (2H, multiplet);
2.37 (4H, triplet, J = 5.1 Hz);
3.34 (2H, triplet of doublets, J = 6.3 & 5.8 Hz).
3.41 (2H, singlet);
3.74 - 3,92 (1H, multiplet);
4.19 (1H, broad doublet, J = 7.8 Hz);
4.38 (2H, triplet, J = 5.8 Hz);
4.70 - 4,82 (1H, broad);
6.72 (1H, singlet);
6.86 (1H, doublet, J = 5.4 Hz);
8.04 (1H, doublet, J = 5.4 Hz).
Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3420, 3320, 2920, 1650, 1608, 1555, 1530, 1412.

EXAMPLE 64

N-[3-(4-Piperidinomethyl-2-pyridyloxy)propyl]-pyrazole-4-carboxamide

A solution of 1.0 g of 3-(4-piperidinomethyl-2-pyridyloxy)propylamine and 0.45 g of 4-pyrazolecarboxylic acid dissolved in 15 ml of dimethylformamide was stirred for 5 minutes, whilst ice-cooling, after which 734 mg of diethyl cyanophosphonate and 0.68 ml of triethylamine were added to the resulting mixture. The mixture was then stirred at room temperature for 3 hours, after which it was diluted with water, and the aqueous mixture was extracted with ethyl acetate. The extract was washed with a saturated aqueous solution of sodium hydrogencarbonate and then with a saturated aqueous solution of sodium chloride, and dried over anhydrous magnesium sulphate. The solvent was then removed by distillation under reduced pressure, and the resulting residue was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of methanol and chloroform as the eluent, to give 1.2 g (yield 85%) of the title compound as a white powder, melting at 117 - 119°C.
Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.39 - 1.47 (2H, multiplet);
1.50 - 1.62 (4H, multiplet);
1.99 - 2.11 (2H, multiplet);
2.34 - 2.44 (4H, multiplet);
3.41 (2H, singlet);
3.55 (2H, quartet, J = 5.9 Hz);
4.42 (2H, triplet, J = 5.9 Hz);
6.72 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
7.16 (2H, broad triplet, J = 5.9 Hz);
7.99 - 8.05 (2H, multiplet);
8.05 (1H, doublet, J = 5.3 Hz).
Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
3250, 2935, 1631, 1607, 1566, 1421, 1386, 1302, 1212.

EXAMPLE 65

N-[4-(4-Piperidinomethyl-2-pyridyloxy)butyl]-pyrazole-4-carboxamide

Following a procedure similar to that described in Example 64, but using 4-(4-piperidinomethyl-2-pyridyloxy)butylamine and 4-pyrazolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 145 - 147°C, in a 71% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.39 - 1.50 (2H, multiplet);
1.53 - 1.62 (4H, multiplet);
1.71 - 1.92 (4H, multiplet);
2.31 - 2.42 (4H, multiplet);
3.41 (2H, singlet);
3.49 (2H, doublet of doublets, J = 12.5 & 6.6 Hz);
4.29 (2H, doublet of doublets, J = 11.2 & 6.1 Hz);
6.36 - 6.42 (1H, broad);
6.71 (1H, singlet);
6.85 (1H, doublet, J = 5.3 Hz);
7.96 (2H, singlet);
8.05 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
3335, 2940, 1628, 1619, 1560, 1426, 1366, 1299, 992.

EXAMPLE 66

N-[5-(4-Piperidinomethyl-2-pyridyloxy)pentyl]-pyrazole-4-carboxamide

Following a procedure similar to that described in Example 64, but using 5-(4-piperidinomethyl-2-pyridyloxy)pentylamine and 4-pyrazolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as a white powder, melting at 105 - 106°C, in a 57% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.42 - 1.60 (4H, multiplet);
1.60 - 1.74 (6H, multiplet);
1.76 - 1.88 (2H, multiplet);
2.40 - 2.63 (4H, multiplet);
3.43 (2H, quartet, J = 6.7 Hz);
3.51 (2H, singlet);
4.27 (2H, triplet, J = 6.3 Hz);
6.15 - 6.25 (1H, broad);
6.75 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
7.96 (2H, singlet);
8.07 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3460, 2930, 1640, 1610, 1570, 1418, 1320.

EXAMPLE 67

N-[3-(4-Piperidinomethyl-2-pyridyloxy)propyl]-2-(2-acetoxyethylthio)acetamide

67(a) N-[3-(4-Piperidinomethyl-2-pyridyloxy)propyl]-2-chloroacetamide

1.68 ml of triethylamine were added to a solution of 3.00 g of 3-(4-piperidinomethyl-2-pyridyloxy)propylamine in 60 ml of ethyl acetate, and the resulting mixture was cooled with ice, after which 0.96 ml of 2-chloroacetyl chloride was added. The reaction mixture was then stirred at room temperature for 1 hour, after which it was mixed with water and the aqueous mixture was extracted with ethyl acetate. The extract was concen-

trated by evaporation under reduced pressure, and the concentrate was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of methanol and ethyl acetate as the eluent, to give 3.40 g (yield 87%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.39 - 1.52 (2H, multiplet);
1.52 - 1.66 (4H, multiplet);
2.94 - 3.07 (2H, multiplet);
2.33 - 2.44 (4H, multiplet);
3.43 (2H, singlet);
3.48 (2H, triplet of doublets, J = 6.6 & 5.9 Hz);
4.07 (2H, singlet);
4.44 (2H, triplet, J = 5.9 Hz);
6.76 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
7.36 - 7.58 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3425, 2925, 1730, 1660, 1610, 1530, 1420.

67(b) N-[3-(4-Piperidinomethyl-2-pyridyloxy)propyl]-2-(2-hydroxyethylthio)acetamide

0.12 ml of 2-mercaptoethanol was added to a solution of 0.13 g of 85% potassium hydroxide and 0.50 g of N-[3-(4-piperidinomethyl-2-pyridyloxy)propyl]-2-chloroacetamide [prepared as described in step (a) above] in 10 ml methanol, and the resulting mixture was stirred at room temperature for 1 hour. At the end of this time, the reaction mixture was concentrated by evaporation under reduced pressure, the concentrate was mixed with water, and the resulting aqueous mixture was extracted with chloroform. The extract was concentrated by evaporation under reduced pressure, and the residue was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of ethanol and chloroform as the eluent, to give 0.43 g (yield 77%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.52 (2H, multiplet);
1.52 - 1.66 (4H, multiplet);
1.95 - 2.09 (2H, multiplet);
2.31 - 2.85 (4H, multiplet);
2.79 (2H, triplet, J = 5.6 Hz);
3.30 (2H, singlet);
3.42 (2H, singlet);
3.49 (2H, triplet of doublets, J = 6.6 & 5.9 Hz);
3.82 (2H, triplet, J = 5.6 Hz);
4.42 (2H, triplet, J = 5.9 Hz);
6.77 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
7.48 - 7.66 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3350, 2925, 1650, 1610, 1560, 1520, 1420.

67(c) N-[3-(4-Piperidinomethyl-2-pyridyloxy)propyl]-2-(2-acetoxyethylthio)acetamide

0.49 g of N-[3-(4-piperidinomethyl-2-pyridyloxy)propyl]-2-(2-hydroxyethylthio)acetamide [prepared as described in step (b) above] was added to a mixture of 0.48 ml of acetic anhydride and 0.43 ml of pyridine, and the resulting mixture was warmed at 60°C for 2 hours. At the end of this time, the reaction mixture was poured into ice-water and a saturated aqueous solution of sodium hydrogencarbonate was added to it. The resulting aqueous mixture was extracted with ethyl acetate. The extract was concentrated by evaporation under reduced pressure, and the concentrate was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of methanol and ethyl acetate as the eluent, to give 0.41 g (yield 75%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.37 - 1.51 (2H, multiplet);
1.51 - 1.67 (4H, multiplet);
1.93 - 2.09 (2H, multiplet);
2.05 (3H, singlet);
2.31 - 2.43 (4H, multiplet);
2.80 (2H, triplet, J = 5.9 Hz);
3.30 (2H, singlet);
3.42 (2H, singlet);
3.46 (2H, triplet of doublets, J = 6.6 & 5.9 Hz);
4.24 (2H, triplet, J = 6.6 Hz);
4.42 (2H, triplet, J = 5.9 Hz);
6.77 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
7.38 - 7.54 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3375, 2925, 1740, 1660, 1610, 1520, 1420, 1220.

The title compound, prepared as described above, was dissolved in ethyl acetate, and a 4 N ethyl acetate solution of hydrogen chloride was added to the solution. The crystals which precipitated were collected by filtration, to give the hydrochloride of the title compound, melting at 121 - 128°C.

EXAMPLE 68

N-[3-(4-Piperidinomethyl-2-pyridyloxy)propyl]-2-(2-hydroxyethylthio)acetamide

A mixture of 0.38 g of 3-(4-piperidinomethyl-2-pyridyloxy)propylamine and 0.18 g of 1,4-oxathian-2-one was added to 10 ml of ethanol, and the resulting mixture was heated under reflux for 2 hours. At the end of this time, the reaction mixture was concentrated by evaporation under reduced pressure. The concentrate was mixed with water, and the resulting aqueous mixture was extracted with ethyl acetate. The extract was concentrated by evaporation under reduced pressure, and the concentrate was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of methanol and methylene chloride as the eluent, to give 0.49 g (yield 88%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.52 (2H, multiplet);
1.52 - 1.66 (4H, multiplet);
1.95 - 2.09 (2H, multiplet);
2.31 - 2.85 (4H, multiplet);
2.79 (2H, triplet, J = 5.6 Hz);
3.30 (2H, singlet);
3.42 (2H, singlet);
3.49 (2H, triplet of doublets, J = 6.6 & 5.9 Hz);
3.82 (2H, triplet, J = 5.6 Hz);
4.42 (2H, triplet, J = 5.9 Hz);
6.77 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
7.48 - 7.66 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3350, 2925, 1650, 1610, 1560, 1520, 1420.

EXAMPLE 69

N-[4-(4-Piperidinomethyl-2-pyridyloxy)butyl]-2-(2-acetoxyethylthio)acetamide

69(a) N-[4-(4-Piperidinomethyl-2-pyridyloxy)butyl]-2-chloroacetamide

Following a procedure similar to that described in Example 67(a), but using 4-(4-piperidinomethyl-2-pyridyloxy)butylamine and 2-chloroacetyl chloride as starting materials, in relative proportions similar to those

used in that Example, the title compound was obtained as a white powder, melting at 59 - 63°C, in an 80% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.39 - 1.51 (2H, multiplet);
1.51 - 1,66 (4H, multiplet);
1.66 - 1,91 (4H, multiplet);
2.31 - 2,44 (4H, multiplet);
3.35 - 3,47 (2H, multiplet);
3.41 (2H, singlet);
4.05 (2H, singlet);
4.31 (2H, triplet, J = 5.9 Hz);
6.63 - 6.81 (1H, broad);
6.71 (1H, singlet);
6.87 (1H, triplet, J = 5.3 Hz);
8.05 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3325, 2925, 1670, 1610, 1530, 1420.

69(b) N-[4-(4-Piperidinomethyl-2-pyridyloxy)butyl]-2-(2-hydroxyethylthio)acetamide

Following a procedure similar to that described in Example 67(b), but using 4-(4-piperidinomethyl-2-pyridyloxy)butyl-2-chloroacetamide [prepared as described in step (a) above] and 2-mercaptoethanol as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a quantitative yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.50 (2H, multiplet);
1.59 - 1.64 (4H, multiplet);
1.64 - 1.91 (5H, multiplet);
2.31 - 2.44 (4H, multiplet);
2.77 (2H, triplet, J = 5.9 Hz);
3.27 (2H, singlet);
3.31 - 3.45 (2H, multiplet);
3.41 (2H, singlet);
3.81 (2H, triplet, J = 5.9 Hz);
4.30 (2H, triplet, J = 5.9 Hz);
6.74 (1H, singlet);
6.86 (1H, doublet, J = 5.3 Hz);
6.86 - 7.14 (1H, broad);
8.04 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3350, 2925, 1660, 1610, 1540, 1520, 1420, 1300.

69(c) N-[4-(4-Piperidinomethyl-2-pyridyloxy)butyl]-2-(2-acetoxyethylthio)acetamide

Following a procedure similar to that described in Example 67(c), but using N-[4-(4-piperidinomethyl-2-pyridyloxy)butyl]-2-(2-hydroxyethylthio)acetamide [prepared as described in step (b) above] and acetic anhydride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in an 84% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.38 - 1.51 (2H, multiplet);
1.51 - 1.64 (4H, multiplet);
1.64 - 1.89 (4H, multiplet);
2.07 (3H, singlet);
2.31 - 2.44 (4H, multiplet);
2.79 (2H, triplet, J = 6.6 Hz);
3.27 (2H, singlet);
3.32 - 3.43 (2H, multiplet);
3.41 (2H, singlet);

4.24 (2H, triplet, J = 6.6 Hz);
4.31 (2H, triplet, J = 5.9 Hz);
6.70 (1H, singlet);
6.81 - 6.94 (1H, broad);
6.87 (2H, doublet, J = 5.3 Hz);
8.05 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3375, 2925, 1740, 1660, 1610, 1560, 1520, 1420.

The title compound, prepared as described above, was dissolved in ethyl acetate, and a 4 N ethyl acetate solution of hydrogen chloride was added to the solution. The crystals which precipitated were collected by filtration, to give the hydrochloride of the title compound, melting at 91 - 98°C.

EXAMPLE 70

N-[5-(4-Piperidinomethyl-2-pyridyloxy)pentyl]-2-(2-acetoxyethylthio)acetamide

70(a) N-[5-(4-Piperidinomethyl-2-pyridyloxy)pentyl]-2-(2-hydroxyethylthio)acetamide

Following a procedure similar to that described in Example 68, but using 5-(4-piperidinomethyl-2-pyridyloxy)pentylamine and 1,4-oxathian-2-one as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 78% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 2.00 (13H, multiplet);
2.31 - 2.43 (4H, multiplet);
2.77 (2H, triplet, J = 5.9 Hz);
3.26 (2H, singlet);
3.33 (2H, triplet of doublets, J = 6.6 & 5.9 Hz);
3.40 (2H, singlet);
3.81 (2H, triplet, J = 5.9 Hz);
4.26 (2H, triplet, J = 5.9 Hz);
6.74 (1H, singlet);
6.78 - 6.95 (1H, broad);
6.84 (1H, triplet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3375, 2925, 1660, 1610, 1560, 1520, 1420.

70(b) N-[5-(4-Piperidinomethyl-2-pyridyloxy)pentyl]-2-(2-acetoxyethylthio)acetamide

Following a procedure similar to that described in Example 67(c), but using N-[5-(4-piperidinomethyl-2-pyridyloxy)pentyl]-2-(2-hydroxyethylthio)acetamide [prepared as described in step (a) above] and acetic anhydride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 90% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.36 - 1.64 (10H, multiplet);
1.72 - 1.86 (2H, multiplet);
2.07 (3H, singlet);
2.31 - 2.41 (4H, multiplet);
2.79 (2H, triplet, J = 6.6 Hz);
3.27 (2H, singlet);
3.32 (2H, quartet, J = 6.6 Hz);
4.19 - 4.31 (4H, multiplet);
6.69 (1H, singlet);
6.69-6.88 (1H, broad);
6.85 (1H, doublet, J = 5.3 Hz);
8.05 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3375, 2925, 1740, 1660, 1610, 1520, 1420.

EXAMPLE 71

N-[4-(4-Piperidinomethyl-2-pyridyloxy)butyl]-2-(2-propionyloxyethylthio)acetamide

Following a procedure similar to that described in Example 67(c), but using N-[4-(4-piperidinomethyl-2-pyridyloxy)butyl]-2-(2-hydroxyethylthio)acetamide [prepared as described in Example 69(b)] and propionic anhydride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in an 80% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.14 (3H, triplet, J = 7.3 Hz);
1.35 - 1.88 (10H, multiplet);
2.26 - 2.42 (4H, multiplet);
2.35 (2H, quartet, J = 7.3 Hz);
2.79 (2H, triplet, J = 6.3 Hz);
3.27 (2H, singlet);
3.32 - 3.43 (2H, multiplet);
3.41 (2H, singlet);
4.25 (2H, triplet, J = 6.3 Hz);
4.30 (2H, triplet, J = 6.6 Hz);
6.70 (1H, singlet);
6.75 - 6.98 (1H, broad);
6.86 (1H, doublet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:

3375, 2925, 1730, 1660, 1610, 1560, 1520, 1420.

EXAMPLE 72

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-5-(2-acetoxyethylthio)pentanamide

72(a) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-5-chloropentanamide

Following a procedure similar to that described in Example 67(a), but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 5-chlorovaleryl chloride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 93% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.36 - 1.50 (2H, multiplet);
1.50 - 1.63 (4H, multiplet);
1.74 - 1.86 (4H, multiplet);
2.18 - 2.28 (2H, multiplet);
2.28 - 2.42 (4H, multiplet);
3.41 (2H, singlet);
3.50 - 3.59 (2H, multiplet);
4.04 (2H, triplet, J = 5.9 Hz);
4.93 (2H, doublet, J = 6.6 Hz);
5.62 - 5.74 (1H, multiplet);
5.77 - 5.90 (1H, multiplet);
5.92 - 6.20 (1H, broad);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.03 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:

3450, 2950, 1660, 1610, 1560, 1510, 1400.

72(b) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-5-(methoxycarbonylmethylthio)pentanamide

344 mg of sodium hydride (as a 55% w/w dispersion in mineral oil) were added, whilst ice-cooling and in an atmosphere of nitrogen, to a solution of 0.35 ml of methyl thioglycolate in 90 ml of tetrahydrofuran, and the

resulting mixute was stirred at room temperature for 30 minutes. At the end of this time, it was cooled with ice, and a solution of 2.94 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-5-chloropentanamide [prepared as described in step (a) above] in 30 ml of tetrahydrofuran was added dropwise to the mixture. The reaction mixture was then stirred at room temperature for 2 hours, after which the solvent was removed by distillation under reduced pressure. The residue was mixed with water, and the resulting aqueous mixture was extracted with ethyl acetate. The extract was concentrated by evaporation under reduced pressure, and the residue was purified by column chromatography through silica gel, using a 1 : 19 by volume mixture of methanol and ethyl acetate as the eluent, to give 2.84 g (yield 89%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.38 - 1.48 (2H, multiplet);
1.48 - 1.87 (8H, multiplet);
2.23 (2H, triplet, J = 7.3 Hz);
2.32 - 2.46 (4H, multiplet);
2.66 (2H, triplet, J = 7.3 Hz);
3.23 (2H, singlet);
3.42 (2H, singlet);
3.75 (3H, singlet);
4.05 (2H, triplet, J = 5.9 Hz);
4.94 (2H, doublet, J = 6.6 Hz);
5.63 - 5.76 (1H, multiplet);
5.79 - 5.92 (1H, multiplet);
5.95 - 6.18 (1H, broad);
6.75 (1H, singlet);
6.91 (1H, doublet, J = 5.3 Hz);
8.05 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 2925, 1730, 1660, 1610, 1560, 1510, 1400.

72(c) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-5-(2-hydroxyethylthio)pentanamide

0.21 g of sodium borohydride was added to a solution of 1.98 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-5-(methoxycarbonylmethylthio)pentanamide [prepared as described in step (b) above] in 40 ml of tetrahydrofuran, and 8 ml of methanol were added dropwise to the mixture, whilst ice-cooling; it was then stirred at room temperature for 3 hours. At the end of this time, the reaction mixture was concentrated by evaporation under reduced pressure, and the residue was mixed with water. The resulting aqueous mixture was extracted with ethyl acetate, and the extract was freed from the solvent by distillation under reduced pressure. The residue was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of methanol and methylene chloride as the eluent, to give 1.51 g (yield 63%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.38 - 2.09 (11H, multiplet);
2.22 (2H, triplet, J = 7.3 Hz);
2.26 - 2.47 (4H, multiplet);
2.54 (2H, triplet, J = 7.3 Hz);
2.72 (2H, triplet, J = 5.9 Hz);
3.41 (2H, singlet);
3.72 (2H, triplet, J = 5.9 Hz);
4.04 (2H, triplet, J = 5.9 Hz);
4.93 (2H, doublet, J = 6.6 Hz);
5.62 - 5.75 (1H, multiplet);
5.78 - 5.90 (1H, multiplet);
5.97 - 6.19 (1H, broad);
6.74 (1H, singlet);
6.90 (1H, doublet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 2925, 1660, 1610, 1560, 1510, 1420.

72(d) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-5-(2-acetoxyethylthio)pentanamide

Following a procedure similar to that described in Example 67(c), but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-5-(2-hydroxyethylthio)pentanamide [prepared as described in step (c) above] and acetic anhydride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 92% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.36 - 1.86 (10H, multiplet);
2.07 (3H, singlet);
2.21 (2H, triplet, J = 7.3 Hz);
2.30 - 2.47 (4H, multiplet);
2.57 (2H, triplet, J = 7.3 Hz);
2.73 (2H, doublet of doublets, J = 7.3 & 6.6 Hz);
3.41 (2H, singlet);
4.03 (2H, triplet, J = 5.8 Hz);
4.20 (2H, doublet of doublets, J = 7.3 & 6.6 Hz);
4.93 (2H, doublet, J = 6.6 Hz);
5.58 - 5.76 (1H, multiplet);
5.78 - 5.90 (1H, multiplet);
5.95 - 6.16 (1H, broad);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3375, 2950, 1660, 1610, 1560, 1520, 1420.

EXAMPLE 73

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-6-(2-acetoxyethylthio)hexanamide

73(a) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-6-bromohexanamide

Following a procedure similar to that described in Example 67(a), but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 6-bromohexanoyl bromide as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in an 86% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.77 (10H, multiplet);
1.82 - 1.95 (2H, multiplet);
2.20 (2H, triplet, J = 7.3 Hz);
2.28 - 2.43 (4H, multiplet);
3.41 (2H, triplet, J = 5.3 Hz);
4.04 (2H, triplet, J = 5.9 Hz);
4.93 (2H, doublet, J = 6.6 Hz);
5.62 - 5.76 (1H, multiplet);
5.78 - 5.90 (1H, multiplet);
5.92 - 6.11 (1H, broad);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.03 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3350, 2925, 1660, 1610, 1560, 1510, 1420, 1300.

73(b) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-6-(2-hydroxyethylthio)hexanamide

Following a procedure similar to that described in Example 67(b), but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-6-bromohexanamide [prepared as described in step (a) above] and 2-mercaptoethanol as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in a 94% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.36 - 1.74 (12H, multiplet);
1.63 - 2.19 (1H, broad);
2.19 (2H, triplet, J = 7.3 Hz);
2.29 - 2.45 (4H, multiplet);
2.53 (2H, triplet, J = 7.3 Hz);
2.71 (2H, triplet, J = 5.9 Hz);
3.41 (2H, singlet);
3.72 (2H, triplet, J = 5.9 Hz);
4.03 (2H, doublet of doublets, J = 6.6 & 5.9 Hz);
4.92 (2H, doublet, J = 6.6 Hz);
5.61 - 5.74 (1H, multiplet);
5.77 - 5.89 (1H, multiplet);
5.93 - 6.13 (1H, broad);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.03 (1H, doublet, J = 5.3 Hz).
Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 2925, 1660, 1610, 1560, 1510, 1420.

73(c) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-6-(2-acetoxyethylthio)hexanamide

Following a procedure similar to that described in Example 67(c), but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-6-(2-hydroxyethylthio)hexanamide [prepared as described in step (b) above] and acetic anhydride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in an 87% yield.
Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.74 (12H, multiplet);
2.07 (3H, singlet);
2.19 (2H, triplet, J = 7.3 Hz);
2.31 - 2.44 (4H, multiplet);
2.56 (2H, triplet, J = 7.3 Hz);
2.72 (2H, triplet, J = 7.3 Hz);
3.41 (2H, singlet);
4.03 (2H, doublet of doublets, J = 6.6 & 5.9 Hz);
4.20 (2H, triplet, J = 7.3 Hz);
4.92 (2H, doublet, J = 6.6 Hz);
5.62 - 5.74 (1H, multiplet);
5.78 - 5.90 (1H, multiplet);
5.92 - 6.12 (1H, broad);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.03 (1H, doublet, J = 5.3 Hz).
Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 2925, 1740, 1660, 1610, 1560, 1510, 1420.

EXAMPLE 74

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(3-acetoxyethylthio)acetamide

74(a) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-chloroacetamide

0.54 ml of triethylamine was added to a solution of 1.00 g of 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine in 20 ml of ethyl acetate, and the resulting mixture was cooled. 0.31 ml of 2-chloroacetyl chloride were then added to the mixture. The reaction mixture was then stirred at room temperature for 1 hour, after which it was mixed with water, and the aqueous mixture was extracted with ethyl acetate. The extract was concentrated by evaporation under reduced pressure, and the residue was purified by column chromatography through silica gel, using a 1 : 19 by volume mixture of methanol and ethyl acetate as the eluent, to give 0.94

g (yield 73%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.50 (2H, multiplet);
1.50 - 1.64 (4H, multiplet);
2.30 - 2.43 (2H, multiplet);
2.30 - 2.43 (4H, multiplet);
3.41 (2H, singlet);
4.06 (2H, singlet);
4.11 (2H, triplet, J = 6.6 Hz);
4.94 (2H, doublet, J = 6.6 Hz);
5.62 - 5.75 (1H, multiplet);
5.84 - 5.97 (1H, multiplet);
6.69 - 6.92 (1H, broad);
6.74 (1H, singlet);
6.88 (1H, doublet, J = 4.6 Hz);
8.06 (1H, doublet, J = 4.6 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3420, 2920, 1665, 1610, 1525, 1400, 1285.

74(b) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(acetylthio)acetamide

A solution of 0.47 ml of thioacetic acid in 20 ml of tetrahydrofuran was added dropwise, whilst ice-cooling and in an atmosphere of nitrogen, to a suspension of 0.29 g of sodium hydride (as a 55% w/w dispersion in mineral oil) in 20 ml of tetrahydrofuran, and the resulting mixture was stirred at room temperature for 30 minutes. At the end of this time, a solution of 2.00 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-chloroacetamide [prepared as described in step (a) above] in 20 ml of tetrahydrofuran was added dropwise to the mixture, whilst ice-cooling, after which it was stirred at room temperature for 30 minutes. The reaction mixture was then concentrated by evaporation under reduced pressure, the residue was mixed with water, and the resulting aqueous mixture was extracted with ethyl acetate. The extract was concentrated by evaporation under reduced pressure, and the concentrate was purified by column chromatography through silica gel, using a 1 : 19 by volume mixture of methanol and ethyl acetate as the eluent, to give 1.72 g (yield 77%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.39 - 1.50 (2H, multiplet);
1.50 - 1.67 (4H, multiplet);
2.32 - 2.43 (4H, multiplet);
2.41 (3H, singlet);
3.42 (2H, singlet);
3.57 (2H, singlet);
4.04 (2H, triplet, J = 5.9 Hz);
4.93 (2H, triplet, J = 6.6 Hz);
5.57 - 5.71 (1H, multiplet);
5.81 - 5.91 (1H, multiplet);
6.35 - 6.66 (1H, broad);
6.75 (1H, singlet);
6.90 (1H, doublet, J = 5.3 Hz);
8.08 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3400, 2925, 1680, 1610, 1560, 1520, 1400.

74(c) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(3-hydroxypropylthio)acetamide

5 ml of a methanolic solution containing 0.26 g of a 28% w/v sodium methoxide solution were added, whilst ice-cooling, to a solution of 0.50 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(acetylthio)acetamide [prepared as described in step (b) above] in 5 ml of methanol, and the resulting solution was stirred for 20 minutes. At the end of this time, a solution of 0.11 ml of 3-chloro-1-propanol in 5 ml of methanol, was added, and the reaction mixture was heated under reflux for 5 hours. The solvent was then removed by distillation under reduced pressure. The residue thus obtained was mixed with water, and the aqueous mixture was ex-

tracted with ethyl acetate. The extract was concentrated by evaporation under reduced pressure, and the residue was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of methanol and methylene chloride as the eluent, to give 0.42 g (yield 81%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.39 - 1.51 (2H, multiplet);
1.51 - 1.66 (4H, multiplet);
1.54 - 2.02 (4H, broad);
1.84 (2H, triplet of doublets, 1 = 7.3 & 5.9 Hz);
2.32 - 2.45 (4H, multiplet);
2.68 (2H, triplet, J = 7.3 Hz);
3.24 (2H, singlet);
3.41 (2H, singlet);
3.73 (2H, triplet, J = 5.9 Hz);
4.07 (2H, doublet of doublets, 1 = 6.6 & 5.9 Hz);
4.93 (2H, doublet, J = 6.6 Hz);
5.61 - 5.78 (1H, multiplet);
5.82 - 5.94 (1H, multiplet);
6.76 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
7.70 - 7.25 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3375, 2950, 1660, 1610, 1560, 1520, 1420.

74(d) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(3-acetoxypropylthio)acetamide

Following a procedure similar to that described in Example 67(c), but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(3-hydroxypropylthio)acetamide [prepared as described in step (c) above] and acetic anhydride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in an 87% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.38 - 1.51 (2H, multiplet);
1.51 - 1.66 (4H, multiplet);
1.91 (2H, triplet of doublets, J = 7.3 & 5.9 Hz);
2.05 (3H, singlet);
2.31 - 2.43 (4H, multiplet);
2.61 (2H, triplet, J = 7.3 Hz);
3.23 (2H, singlet);
3.41 (2H, singlet);
4.03 - 4.20 (4H, multiplet);
4.94 (2H, doublet, J = 6.6 Hz);
5.60 - 5.77 (1H, multiplet);
5.81 - 5.94 (1H, multiplet);
6.74 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
6.92-7.10 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3375, 2950, 1715, 1660, 1610, 1560, 1520, 1420.

The title compound, prepared as described above, was dissolved in ethyl acetate, and a 4 N ethyl acetate solution of hydrogen chloride was added to the resulting solution. The crystals which precipitated were collected by filtration, to give the hydrochloride of the title compound, melting at 110 - 124°C.

EXAMPLE 75

N-[4-(4-Dimethylaminomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-acetoxyethylthio)acetamide

75(a) N-[4-(4-Dimethylaminomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide

Following a procedure similar to that described in Example 68, but using 4-(4-dimethylaminomethyl-2-pyridyloxy)-cis-2-butenylamine and 1,4-oxathian-2-one as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in a 53% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.61 - 2.27 (1H, broad singlet);
2.26 (6H, singlet);
2.77 (2H, triplet, J = 5.9 Hz);
3.29 (2H, singlet);
3.40 (2H, singlet);
4.07 (2H, doublet of doublets, J = 6.6 & 5.9 Hz);
4.95 (2H, doublet, J = 6.6 Hz);
5.61 - 5.73 (1H, multiplet);
5.76 - 5.87 (1H, multiplet);
6.76 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
7.07 - 7.26 (1H, broad);
8.08 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3400, 2975, 1660, 1610, 1560, 1520, 1420.

75(b) N-[4-(4-Dimethylaminomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-acetoxyethylthio)acetamide

Following a procedure similar to that described in Example 67(c), but using N-[4-(4-dimethylaminomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide [prepared as described in step (a) above] and acetic anhydride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in a 58% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
2.09 (3H, singlet);
2.26 (6H, singlet);
2.81 (2H, triplet, J = 6.6 Hz);
3.30 (2H, singlet);
3.40 (2H, singlet);
4.10 (2H, doublet of doublets, J = 6.6 & 5.9 Hz);
4.26 (2H, triplet, J = 6.6 Hz);
4.96 (2H, doublet, J = 6.6 Hz);
5.62 - 5.75 (1H, multiplet);
5.82 - 5.96 (1H, multiplet);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
6.90 - 7.13 (1H, broad);
8.10 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3375, 2950, 2800, 1740, 1660, 1610, 1560, 1510, 1400.

EXAMPLE 76

N-{4-[4-(1-Pyrrolidinylmethyl)-2-pyridyloxy]-cis-2-butenyl}-2-(2-acetoxyethylthio)acetamide

Following a procedure similar to that described in Example 68, but using 4-[4-(1-pyrrolidinylmethyl)-2-pyridyloxy]-cis-2-butenylamine and 1,4-oxathian-2-one as starting materials, in relative proportions similar to those used in that Example, N-{4-[4-(1-pyrrolidinylmethyl)-2-pyridyloxy]-cis-2-butenyl}-2-(2-hydroxyethylthio)acetamide was obtained. This product was reacted with acetic anhydride in the same manner and same rel-

ative proportions as described in Example 67(c), to give the title compound in a 42% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.71 - 1.84 (4H, multiplet);
2.07 (3H, singlet);
2.46 - 2.57 (4H, multiplet);
2.79 (2H, triplet, J = 6.3 Hz);
3.28 (2H, singlet);
3.58 (2H, singlet);
4.08 (2H, triplet, J = 6.6 Hz);
4.24 (2H, triplet, J = 6.3 Hz);
4.94 (2H, doublet, J = 6.6 Hz);
5.61 - 5.73 (1H, multiplet);
5.81 - 5.94 (1H, multiplet);
6.74 (1H, singlet);
6.90 (1H, doublet, J = 5.3 Hz);
6.90 - 7.09 (1H, broad);
8.07 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:

3400, 2950, 2800, 1740, 1660, 1610, 1560, 1520, 1420.

EXAMPLE 77

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-acetoxyethylsulfinyl)acetamide

77 μℓ of methanesulphonic acid was added to a solution of 0.50 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-acetoxyethylthio)acetamide (prepared as described in Example 2) in 5.5 ml of 1,2-dichloroethane, and the resulting mixture was cooled to -10°C. 0.28 g of 3-chloroperoxybenzoic acid (purity: 80%) was then added, and the reaction mixture was stirred, whilst keeping the temperature in the range from -10°C to -5°C, for 2 hours. At the end of this time, it was washed with a 10% w/v aqueous solution of sodium hydrogensulphite, with a saturated aqueous solution of sodium hydrogencarbonate and with a saturated aqueous solution of sodium chloride, in that order. The solvent was then removed by distillation under reduced pressure, and the resulting residue was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of ethanol and chloroform as the eluent, to give 0.38 g (yield 73%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.37 - 1.49 (2H, multiplet);
1.49 - 1.64 (4H, multiplet);
2.09 (3H, singlet);
2.31 - 2.42 (4H, multiplet);
3.12 - 3.18 (2H, multiplet);
3.39 (1H, doublet, J = 13.2 Hz);
3.41 (2H, singlet);
3.73 (1H, doublet, J = 13.2 Hz);
4.10 (2H, triplet, J = 5.9 Hz);
4.38 - 4.60 (2H, multiplet);
4.93 (2H, doublet, J = 5.3 Hz);
5.61 - 5.73 (1H, multiplet);
5.79 - 5.90 (1H, multiplet);
6.73 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
7.05 - 7.24 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:

3400, 2950, 1740, 1670, 1610, 1560, 1410, 1310, 1220.

EXAMPLE 78

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-acetoxyethylsulfonyl)acetamide

72 μℓ of methanesulphonic acid were added to a solution of 0.47 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-acetoxyethylthio)acetamide (prepared as described in Example 2) in 5.5 ml of 1,2-dichloroethane. The resulting mixture was cooled to -10°C. 0.51 g of 3-chloroperoxybenzoic acid (purity: 80%) was added to the reaction mixture, which was then stirred at a temperature in the range from -10°C to -5°C for 2 hours. At the end of this time, the reaction mixture was washed with a 10% w/v aqueous solution of sodium hydrogensulphite, with a saturated aqueous solution of sodium hydrogencarbonate and with a saturated aqueous solution of sodium chloride, in that order, and then the solvent was removed by distillation under reduced pressure. The residue was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of ethanol and chloroform as the eluent, to give 0.40 g (yield 40%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.50 (2H, multiplet);
1.50 - 1.70 (4H, multiplet);
2.11 (3H, singlet);
2.30 - 2.41 (4H, multiplet);
3.41 (2H, singlet);
3.55 (2H, triplet, J = 5.6 Hz);
3.93 (2H, singlet);
4.09 (2H, triplet, J = 5,6 Hz);
4.93 (2H, doublet, J = 5.9 Hz);
5.61 - 5.73 (1H, multiplet);
5.80 - 5.93 (1H, multiplet);
6.75 (1H, singlet);
6.90 (1H, doublet, J = 5.3 Hz);
7.32 - 7.43 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3300, 2950, 1740, 1680, 1610, 1560, 1400, 1320.

EXAMPLE 79

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-[2-(3,3-dimethylbutyryloxy)ethylthio)acetamide

Following a procedure similar to that described in Example 7, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide (prepared as described in Example 1) and 3,3-dimethylbutyryl chloride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in an 83% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.02 (9H, singlet);
1.37 - 1.50 (2H, multiplet);
1.50 - 1.65 (4H, multiplet);
2.21 (2H, singlet);
2.31 - 2.43 (4H, multiplet);
2.79 (2H, triplet, J = 6.6 Hz);
3.28 (2H, singlet);
3.41 (2H, singlet);
4.08 (2H, triplet, J = 5.9 Hz);
4.23 (2H, triplet, J = 6.6 Hz);
4.94 (2H, doublet, J = 5.9 Hz);
5.60 - 5.72 (1H, multiplet);
5.81 - 5.93 (1H, multiplet);
6.73 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
6.92 - 7.10 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3375, 2925, 1730, 1660, 1610, 1560, 1520, 1400.

The title compound, prepared as described above, was dissolved in ethyl acetate and treated with an equivalent amount of a 4 N solution of hydrogen chloride in ethyl acetate to give the hydrochloride of the title compound, melting at 106 - 109°C.

EXAMPLE 80

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-[2-(2-methylpropionyloxy)ethylthio]acetamide

Following a procedure similar to that described in Example 7, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide (prepared as described in Example 1) and 2-methylpropionyl chloride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in a 73% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.17 (6H, doublet, J = 7.3 Hz);
1.37 - 1.52 (2H, multiplet);
1.50 - 1.66 (4H, multiplet);
2.31 - 2.44 (4H, multiplet);
2.56 (1H, septet, J = 7.3 Hz);
2.79 (2H, triplet, J = 6.6 Hz);
3.28 (2H, singlet);
3.42 (2H, singlet);
4.08 (2H, triplet, J = 6.3 Hz);
4.24 (2H, triplet, J = 6.6 Hz);
4.94 (2H, doublet, J = 6.6 Hz);
5.60 - 5.74 (1H, multiplet);
5.81 - 5.93 (1H, multiplet);
6.73 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
6.93 - 7.07 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3375, 2925, 1730, 1660, 1610, 1560, 1520, 1400.

The title compound, prepared as described above, was dissolved in ethyl acetate and treated with an equimolar amount of a 4 N solution of hydrogen chloride in ethyl acetate to give the hydrochloride of the title compound, melting at 93 - 96°C.

EXAMPLE 81

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-[2-(2,2-dimethylpropionyloxy)ethylthio]acetamide

Following a procedure similar to that described in Example 7, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide (prepared as described in Example 1) and 2,2-dimethylpropionyl chloride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in a 63% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.20 (9H, singlet);
1.38 - 1.52 (2H, multiplet);
1.52 - 1.69 (4H, multiplet);
2.28 - 2.53 (4H, multiplet);
2.79 (2H, triplet, J = 6.6 Hz);
3.28 (2H, singlet);
3.45 (2H, singlet);
4.09 (2H, triplet, J = 6.6 Hz);
4.22 (2H, triplet, J = 6.6 Hz);
4.94 (2H, doublet, J = 6.6 Hz);
5.64 - 5.73 (1H, multiplet);

5.82 - 5.93 (1H, multiplet);
6.75 (1H, singlet);
6.91 (1H, doublet, J = 5.1 Hz);
6.93 - 7.09 (1H, broad);
8.07 (1H, doublet, J = 5.1 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3375, 2925, 1720, 1660, 1610, 1540, 1520, 1480, 1400.

The title compound, prepared as described above, was dissolved in ethyl acetate and treated with an equimolar amount of a 4 N solution of hydrogen chloride in ethyl acetate to give the hydrochloride of the title compound, melting at 93 - 97°C.

EXAMPLE 82

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-butyryloxyethylthio)acetamide

Following a procedure similar to that described in Example 7, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide (prepared as described in Example 1) and butyryl chloride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in an 88% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
0.94 (3H, triplet, J = 7.3 Hz);
1.34 - 1.78 (8H, multiplet);
2.29 - 2.39 (4H, multiplet);
2.30 (2H, triplet, J = 7.3 Hz);
2.79 (2H, triplet, J = 6.6 Hz);
3.28 (2H, singlet);
3.41 (2H, singlet);
4.08 (2H, doublet of doublets, J = 7.3 & 6.6 Hz);
4.24 (2H, triplet, J = 6.6 Hz);
4.93 (2H, doublet, J = 7.9 Hz);
5.60 - 5.78 (1H, multiplet);
5.81 - 5.94 (1H, multiplet);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
6.92 - 7.10 (1H, broad);
8.07 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3400, 2950, 1740, 1660, 1610, 1560, 1520, 1420.

EXAMPLE 83

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylsulfinyl)acetamide

Following a procedure similar to that described in Example 77, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide (prepared as described in Example 1) as a starting material, in a relative proportion similar to that used in that Example, the title compound was obtained in a 63% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.34 - 1.50 (2H, multiplet);
1.50 - 1.64 (4H, multiplet);
1.76 - 1.98 (1H, broad);
2.28 - 2.45 (4H, multiplet);
3.10 (2H, triplet, J = 5.9 Hz);
3.41 (2H, singlet);
3.52 (2H, doublet, J = 13.9 Hz);
3.79 (1H, doublet, J = 13.9 Hz);
4.04 - 4.16 (4H, multiplet);
4.92 (2H, doublet, J = 6.6 Hz);

5.65 - 5.77 (1H, multiplet);
5.82 - 5.93 (1H, multiplet);
6.75 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
7.15 - 7.34 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3300, 2925, 1730, 1670, 1610, 1560, 1420, 1400.

The title compound, prepared as described above, was dissolved in ethyl acetate and treated with an equimolar amount of a 4 N solution of hydrogen chloride in ethyl acetate to give the hydrochloride of the title compound, melting at 111 - 114°C.

EXAMPLE 84

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-propionyloxyethylsulfinyl)acetamide

Following a procedure similar to that described in Example 77, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-propionyloxyethylthio)acetamide (prepared as described in Example 7) as a starting material, in a relative proportion similar to that used in that Example, the title compound was obtained in a 73% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.15 (3H, triplet, J = 7.3 Hz);
1.34 - 1.50 (2H, multiplet);
1.50 - 1.62 (4H, multiplet);
2.28 - 2.42 (4H, multiplet);
2.37 (2H, quartet, J = 7.3 Hz);
3.15 (2H, triplet, J = 6.6 Hz);
3.38 (1H, doublet, J = 14.2 Hz);
3.41 (2H, singlet);
3.73 (1H, doublet, J = 14.2 Hz);
4.10 (2H, triplet, J = 6.6 Hz);
4.39 - 4.61 (2H, multiplet);
4.93 (2H, doublet, J = 6.6 Hz);
5.60 - 5.72 (1H, multiplet);
5.78 - 5.91 (1H, multiplet);
6.73 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
7.04 - 7.23 (1H, broad);
8.06 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3300, 2925, 1740, 1670, 1610, 1560, 1420, 1400.

The title compound, prepared as described above, was dissolved in ethyl acetate and treated with an equimolar amount of a 4 N solution of hydrogen chloride in ethyl acetate to give the hydrochloride of the title compound, melting at 77 - 83°C.

EXAMPLE 85

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(4-pyrimidinylthio)butyramide

85(a) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(acetylthio)butyramide

0.50 g of sodium hydride (as a 55% w/w dispersion in mineral oil) was added to 80 ml of dimethylformamide under an atmosphere of nitrogen gas, and then 10 ml of a dimethylformamide solution containing 0.81 ml of thioacetic acid was added to the resulting mixture. The mixture was then stirred at room temperature for 30 minutes. At the end of this time, 30 ml of a dimethylformamide solution containing 3.79 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide (prepared as described in Preparation 2) were added to the mixture, and the mixture was stirred at room temperature for 2 hours. Ethyl acetate was then added to the reaction mixture, which was then washed with a saturated aqueous solution of sodium hydrogencarbon-

ate and water. The solvent was removed by distillation under reduced pressure, and the residue was purified by column chromatography through silica gel, using a 1 : 19 by volume mixture of methanol and ethyl acetate as the eluent, to give 5.04 g (a quantitative yield) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.37 - 1.50 (2H, multiplet);
1.50 - 1.63 (4H, multiplet);
1.93 (2H, quintet, J = 7.3 Hz);
2.26 (2H, triplet, J = 7.3 Hz);
2.29 - 2.42 (4H, multiplet);
2.91 (2H, triplet, J = 7.3 Hz);
3.41 (2H, singlet);
4.03 (2H, triplet, J = 5,9 Hz);
4.93 (2H, triplet, J = 5.9 Hz);
5.61 - 5.75 (1H, multiplet);
5.78 - 5.89 (1H, multiplet);
6.09 - 6.34 (1H, broad);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 3350, 2925, 2800, 1670, 1610, 1560, 1520, 1480, 1420, 1400.

85(b) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(4-pyrimidinylthio)butyramide

A solution of 1.00 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(acetylthio)butyramide [prepared as described in step (a) above] in 10 ml of methanol was added to a mixture of 0.48 g of 28% w/v methanolic sodium methoxide and 5 ml of methanol, whilst ice-cooling, and the mixture was stirred at the same temperature for 20 minutes. At the end of this time, 0.28 g of 4-chloropyrimidine was added to the mixture and the mixture was heated under reflux for 2 hours. The solvent was then removed by evaporation under reduced pressure, and water was added to the resulting residue, which was then extracted with ethyl acetate. The solvent was removed from the extract by distillation under reduced pressure, and the residue was purified by column chromatography through silica gel, using a 1 : 9 by volume mixture of ethanol and chloroform as the eluent, to give 0.65 g (yield 60%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

1.36 - 1.50 (2H, multiplet);
1.50 - 1.65 (4H, multiplet);
2.06 (2H, quintet, J = 7.3 Hz);
2.29 - 2.43 (4H, multiplet);
2.37 (2H, triplet, J = 7.3 Hz);
3.24 (2H, triplet, J = 7.3 Hz);
3.41 (2H, singlet);
4.05 (2H, triplet, J = 5,9 Hz);
4.93 (2H, doublet, J = 6.6 Hz);
5.61 - 5.76 (1H, multiplet);
5.78 - 5.90 (1H, multiplet);
6.22 - 6.44 (1H, broad);
6.73 (1H, singlet);
6.88 (1H, doublet, J = 5.3 Hz);
7.17 (2H, doublet, J = 5.3 Hz);
8.03 (1H, doublet, J = 5.3 Hz);
8.32 (1H, doublet, J = 5.3 Hz);
8.91 (1H, singlet).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 3300, 2925, 1660, 1610, 1570, 1520, 1440, 1420, 1380.

EXAMPLE 86

N-[4-(4-Dimethylaminomethyl-2-pyridyloxy)-cis-2-butenyl]pyrazole-2-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-dimethylaminomethyl-2-pyridyloxy)-cis-2-butenylamine and 4-pyrazolecarboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 65% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
2.27 (6H, singlet);
3.40 (2H, singlet);
4.22 (2H, triplet, J = 5.9 Hz);
4.99 (2H, doublet, J = 6.6 Hz);
5.71 - 5.94 (2H, multiplet);
6.47 (1H, broad singlet);
6.74 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
7.97 (2H, singlet);
8.07 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 3170, 2980, 2940, 1640, 1615, 1565, 1510, 1415 1400, 1290.

EXAMPLE 87

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-3,5-dimethylpyrrole-2-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 3,5-dimethylpyrrole-2-carboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as crystals, melting 140 - 141°C, in a 58% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.48 (2H, multiplet);
1.50 - 1.61 (4H, multiplet);
2.23 (3H, singlet);
2.26 (2H, singlet);
2.30 - 2.42 (4H, multiplet);
3.40 (2H, singlet);
4.22 (2H, triplet, J = 5.6 Hz);
4.96 (2H, doublet, J = 6.6 Hz);
5.66 - 5.79 (3H, multiplet);
5.82 - 5.92 (1H, multiplet);
6.73 (1H, singlet);
6.87 (1H, doublet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz);
9.13 - 9.27 (1H, broad).

Infrared Absorption Spectrum (KBr), ν_{max} cm^{-1}:
3249, 1612, 1525, 1410, 1272, 1035, 826.

EXAMPLE 88

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-methylfuran-3-carboxamide

Following a procedure similar to that described in Example 13, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 2-methylfuran-3-carboxylic acid as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained as an oil in a 77% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.39 - 1.51 (2H, multiplet);
1.53 - 1.66 (4H, multiplet);
2.31 - 2.45 (4H, multiplet);

2.58 (3H, singlet);
3.42 (2H, singlet);
4.17 (2H, triplet, J = 6.4 Hz);
4.97 (2H, doublet, J = 6.4 Hz);
5.71 - 5.81 (1H, multiplet);
5.83 - 5.93 (1H, multiplet);
6.01 - 6.18 (1H, broad);
6.41 (1H, doublet, J = 2.2 Hz);
6.75 (1H, singlet);
6.90 (1H, doublet, J = 5.4 Hz);
7.23 (1H, doublet, J = 2.2 Hz);
8.03 (1H, doublet, J = 5.4 Hz).

Infrared Absorption Spectrum (liquid film), ν_{max} cm^{-1}:
3325, 2936, 1636, 1611, 1561, 1523, 1420, 1402, 1301, 1290, 1039.

The title compound, prepared as described above, was dissolved in ethyl acetate and treated with an equimolar amount of a 4 N solution of hydrogen chloride in ethyl acetate to give the hydrochloride of the title compound, melting at 258 - 261°C (with decomposition).

EXAMPLE 89

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(2-pyrimidinylsulfinyl)butyramide

Following a procedure similar to that described in Example 77, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(2-pyrimidinylthio)butyramide (prepared as described in Example 34) as a starting material, in a relative proportion similar to that used in that Example, the title compound was obtained as an oil in a 55% yield.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.50 (2H, multiplet);
1.52 - 1.63 (4H, multiplet);
1.99 - 2.12 (1H, multiplet);
2.20 - 2.45 (7H, multiplet);
3.10 - 3.32 (2H, multiplet);
3.41 (2H, singlet);
4.01 (2H, doublet, J = 6.3 Hz);
4.91 (2H, doublet, J = 6.6 Hz);
5.61 - 5.71 (1H, multiplet);
5.78 - 5.87 (1H, multiplet);
6.32 (1H, broad singlet);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
7.41 (1H, triplet, J = 4.6 Hz);
8.03 (1H, doublet, J = 5.3 Hz);
8.89 (2H, doublet, J = 4.6 Hz).

Infrared Absorption Spectrum (liquid film), ν_{max} cm^{-1}:
3302, 2936, 1657, 1612, 1561, 1420, 1403, 1384, 1312, 1300, 1289, 1062, 1040, 753.

EXAMPLE 90

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-propionyloxyethylthio)acetamide

Following a procedure similar to that described in Example 2, but using N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide (prepared as described in Example 1) and propionic anhydride as starting materials, in relative proportions similar to those used in that Example, the title compound was obtained in a 90% yield.

The nuclear magnetic resonance spectrum and the infrared spectrum of the title compound are identical with those of the compound prepared as described in Example 7.

PREPARATION 1

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-chloroacetamide

1.00 g of 4-(4-piperidinomethyl-2-pyridyloxy)-cis- butenylamine was dissolved in 20 ml of ethyl acetate. 0.54 ml of triethylamine was added to the solution, and the resulting mixture was cooled in an ice bath. 0.31 ml of 2-chloroacetyl chloride was added, and the mixture was stirred for 1 hour at room temperature. At the end of this time, water was added, and the reaction mixture was extracted with ethyl acetate. The extract was condensed by evaporation under reduced pressure, and the residue was purified by silica gel chromatography, eluted with a 1 : 19 by volume mixture of methanol and ethyl acetate, to give 0.94 g (yield 73%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.50 (2H, multiplet);
1.50 - 1.64 (4H, multiplet);
2.30 - 2.43 (2H, multiplet);
3.41 (2H, singlet);
4.06 (2H, singlet);
4.11 (2H, triplet, J = 6.6 Hz);
4.94 (2H, doublet, J = 6.6 Hz);
5.62 - 5.75 (1H, multiplet);
5.84 - 5.97 (1H, multiplet);
6.69 - 6.92 (1H, broad);
6.74 (1H, singlet);
6.88 (1H, doublet, J = 4.6 Hz);
8.06 (1H, doublet, J = 4.6 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3420, 2920, 1665, 1610, 1525, 1400, 1285.

PREPARATION 2

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-chlorobutyramide

Following a procedure similar to that described in Preparation 1, but using 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine and 4-chlorobutyryl chloride as starting materials, in relative proportions similar to those used in that Preparation, the title compound was obtained at a yield of 73%.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.35 - 1.53 (2H, multiplet);
1.53 - 1.78 (4H, multiplet);
2.06 - 2.17 (2H, multiplet);
2.33 - 2.41 (2H, multiplet);
2.41 - 2.52 (4H, multiplet);
3.50 (2H, singlet);
3.61 (2H, triplet, J = 6.1 Hz);
4.04 (2H, triplet, J = 6.1 Hz);
4.93 (2H, doublet, J = 6.8 Hz);
5.62 - 5.73 (1H, multiplet);
5.77 - 5.89 (1H, multiplet);
6.07 (1H, doublet, J = 4.9 Hz);
6.08 - 6.26 (1H, broad);
6.78 (1H, singlet);
6.95 (1H, doublet, J = 4.9 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3440, 2920, 1660, 1610, 1415, 1295.

PREPARATION 3

N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-3-mercaptopropionamide

3(a) N-[4-(4-Piperidinomethyl-2-pyridyloxy]-cis-2-butenyl]-3-(acetylthio)propionamide

1.00 g of 3-(acetylthio)propionic acid, 1.39 g of dicyclohexyl carbodiimide, 1.05 g of 1-hydroxybenzotriazole and 1.76 g of 4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenylamine were added to 45 ml of dimethylformamide, and the solution was stirred for 5 hours at room temperature. At the end of this time, ethyl acetate was added to the reaction mixture, insoluble matter was filtered off, and the filtrate was washed with a saturated aqueous solution of sodium hydrogencarbonate and then with water. The reaction mixture was then condensed by evaporation under reduced pressure, and the resulting residue was subjected to silica gel chromatography, eluted with a 1:19 by volume mixture of methanol and ethyl acetate, to give 1.27 g (yield 48%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.30 - 1.50 (2H, multiplet);
1.50 - 1.70 (4H, multiplet);
2.28 - 2.44 (4H, multiplet);
2.32 (3H, singlet);
2.50 (2H, triplet, J = 6.9 Hz);
3.16 (2H, triplet, J = 6.9 Hz);
3.41 (2H, singlet);
4.04 (2H, triplet, J = 6.3 Hz);
4.93 (2H, doublet, J = 6.6 Hz);
5.62 - 5.74 (1H, multiplet);
5.78 - 5.90 (1H, multiplet);
6.73 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.03 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3440, 2930, 1675, 1610, 1415, 1400, 1310, 1295, 1285, 1140

3(b) N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-3-(mercapto)propionamide

1.0 g of N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-3-(acetylthio)propionamide [prepared as described in step (a) above] and 0.49 g of a 28% w/v methanolic solution of sodium methoxide were added to 20 ml of methanol, whilst ice-cooling, and the mixture was stirred at the same temperature for 20 minutes. At the end of this time, 0.15 ml of acetic acid was added, and the solvent was removed by distillation under reduced pressure. The residue was dissolved in ethyl acetate, washed with water and condensed by evaporation under reduced pressure, to obtain 0.76 g (yield 85%) of the title compound as an oil.

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:
1.37 - 1.50 (2H, multiplet);
1.46 - 1.96 (1H, broad);
1.50 - 1.65 (4H, multiplet);
2.27 - 2.43 (4H, multiplet);
2.51 (2H, triplet, J = 6.9 Hz);
2.83 (2H, doublet of triplets, J = 6.9 & 7.9 Hz);
3.41 (2H, singlet);
4.06 (2H, triplet, J = 5.9 Hz);
4.94 (2H, doublet, J = 6.6 Hz);
5.63 - 5.77 (1H, multiplet);
5.79 - 5.90 (1H, multiplet);
6.74 (1H, singlet);
6.89 (1H, doublet, J = 5.3 Hz);
8.04 (1H, doublet, J = 5.3 Hz).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:
3450, 2940, 1665, 1612, 1418, 1400, 1300, 1290

PREPARATION 4

Ethyl 4-hydroxy-3-isoxazolecarboxylate

144 g of urea were added to 1 liter of a dimethylformam ide solution containing 72 g of ethyl 4-bromo-2-hydroxyimino-3-oxobutyrate. The reaction solution was heated for 15 minutes at 100°C and then cooled, after which water was added to the reaction solution, and the mixture was extracted with ethyl acetate. The extract was washed with dilute aqueous hydrochloric acid and with a saturated aqueous solution of sodium chloride, in that order, after which it was dried over anhydrous sodium sulphate. The solvent was then removed by distillation under reduced pressure, and a 1 : 1 by volume mixture of ethyl acetate and hexane was added to the residue, to remove insoluble materials. The solution thus obtained was purified by silica gel chromatography, eluted with a 1 : 4 by volume mixture of ethyl acetate and hexane, to give 19 g of the title compound, melting at 59 - 60°C (after recrystallisation from a mixture of ethyl acetate and hexane).

Nuclear Magnetic Resonance Spectrum ($CDC\ell_3$), δ ppm:

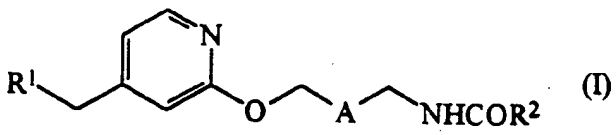
1.42 (3H, triplet, J = 8.0 Hz);
4.48 (2H, quartet, J = 8.0 Hz);
6.72 (1H, broad);
8.32 (1H, singlet).

Infrared Absorption Spectrum ($CHC\ell_3$), ν_{max} cm^{-1}:

3420, 1718, 1140.

Claims

1. A compound of formula (I) :



(I)

wherein:

R^1 represents

a cyclic amino group having from 3 to 7 ring atoms, of which from 1 to 3 are nitrogen atoms, 0 or 1 is an oxygen atom or a sulphur atom, and the remainder are carbon atoms, or

a dialkylamino group in which each alkyl group is independently selected from alkyl groups having from 1 to 4 carbon atoms;

R^2 represents

a group of formula -NHCHR^{3}R^4, wherein

R^3 and R^4 are independently selected from alkyl groups having from 1 to 6 carbon atoms, aryl groups as defined below and aralkyl groups as defined below,

or

R^3 and R^4, together with the carbon atom to which they are attached, represent a cycloalkyl group having from 3 to 8 ring carbon atoms, which group is unsubstituted or is substituted by at least one substituent selected from substituents α,

an aromatic heterocyclic group having 5 ring atoms, of which from 1 to 3 are hetero-atoms selected from nitrogen, oxygen and sulphur hetero-atoms, said group being unsubstituted or having at least one substituent selected, in the case of substituents on carbon atoms, from substituents α and, in the case of substituents on nitrogen atoms, from substituents β,

or a group of formula -B-S(O)$_m$-R^5, wherein

R^5 represents: a substituted alkyl group which has from 1 to 4 carbon atoms and which is substituted by at least one substituent selected from substituents γ; or an aromatic heterocyclic group which has 5 or 6 ring atoms of which from 1 to 4 are hetero-atoms selected from nitrogen, oxygen and sulphur hetero-atoms, said group being unsubstituted or having at least one substituent selected, in the case of substituents on carbon atoms, from substituents α and, in the case of substituents on nitrogen atoms, from substituents ε,

B represents an alkylene or alkylidene group having from 1 to 6 carbon atoms,

and m is 0, 1 or 2;
A represents a group of formula -CH=CH- or $-(CH_2)_n-$, where n is 1, 2 or 3;
aryl groups are carbocyclic aromatic groups having from 6 to 10 ring carbon atoms which are unsubstituted or which are substituted by at least one substituent selected from substituents ζ;
aralkyl groups are alkyl groups which have from 1 to 4 carbon atoms and which are substituted by from 1 to 3 aryl groups as defined above;
substituents α are selected from: alkyl groups having from 1 to 4 carbon atoms; alkoxy groups having from 1 to 4 carbon atoms; hydroxy groups; halogen atoms; amino groups; monoalkyl- amino groups in which the alkyl part has from 1 to 4 carbon atoms; dialkylamino groups in which each alkyl part is independently selected from alkyl groups having from 1 to 4 carbon atoms; alkanoylamino groups having from 1 to 5 carbon atoms; arylcarbonylamino groups in which the aryl part is as defined above; and aryl groups as defined above;
substituents α are selected from alkyl groups having from 1 to 4 carbon atoms;
substituents γ are selected from: hydroxy groups; alkanoyloxy groups having from 1 to 5 carbon atoms; substituted alkanoyloxy groups which have from 2 to 5 carbon atoms and which are substituted by at least one substituent selected from substituents δ; arylcarbonyloxy groups in which the aryl part is as defined above; and cycloalkylcarbonyloxy groups in which the cycloalkyl part has from 3 to 6 ring carbon atoms and is unsubstituted or is substituted by at least one substituent selected from substituents α;
substituents δ are selected from: carboxy groups; alkoxycarbonyl groups in which the alkoxy part has from 1 to 4 carbon atoms; aryloxycarbonyl groups in which the aryl part is as defined above; and aryl groups as defined above;
substituents ε are selected from: alkyl groups having from 1 to 4 carbon atoms; and hydroxyalkyl groups having from 2 to 4 carbon atoms;
substituents ζ are selected from substituents α, provided that any aryl group in substituents α is not further substituted by an aryl group;
PROVIDED THAT, when m is 1, R^5 represents: said substituted alkyl group having from 1 to 4 carbon atoms; an aromatic heterocyclic group which has 5 ring atoms of which from 2 to 4 are hetero-atoms selected from nitrogen, oxygen and sulphur hetero-atoms, said group being unsubstituted as defined above or an aromatic heterocyclic group which has 6 ring atoms of which from 1 to 4 are hetero-atoms selected from nitrogen, oxygen and sulphur hetero-atoms, said group being unsubstituted as defined above;
and pharmaceutically acceptable salts thereof.

2. The compound of Claim 1, wherein R^1 represents a cyclic amino group having from 3 to 7 ring atoms, of which 1 is a nitrogen atom and the remainder are carbon atoms, or said dialkylamino group.

3. The compound of Claim 2, wherein R^1 represents a cyclic amino group having 5 or 6 ring atoms, of which 1 is a nitrogen atom and the remainder are carbon atoms, or said dialkylamino group.

4. The compound of Claim 3, wherein R^1 represents a 1-pyrroldinyl, piperidino, dimethylamino or diethylamino group.

5. The compound of Claim 1, wherein R^2 represents a group of formula $-NHCHR^3R^4$, wherein R^3 and R^4 are independently selected from:
alkyl groups having from 1 to 4 carbon atoms,
phenyl groups which are unsubstituted or have at least one substituent selected from substituents ζ, defined in Claim 1, and
benzyl and phenethyl groups;
or
R^3 and R^4, together with the carbon atom to which they are attached, represent a cycloalkyl group having from 3 to 6 ring carbon atoms,

6. The compound of Claim 1, wherein R^2 represents an aromatic heterocyclic group having 5 ring atoms, of which 1 is a hetero-atom selected from nitrogen, oxygen and sulphur hetero-atoms, there are 0, 1 or 2 additional nitrogen hetero-atoms, and the remaining ring atoms are carbon atoms, said group being unsubstituted or having at least one substituent selected, in the case of substituents on carbon atoms, from substituents α and, in the case of substituents on nitrogen atoms, from substituents β, as defined in Claim 1.

7. The compound of Claim 6, wherein said aromatic heterocyclic group is selected from furyl, thienyl, pyrrolyl,

oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl and thiadiazolyl groups, which are unsubstituted or are substituted as defined in Claim 6.

8. The compound of Claim 1, wherein R^2 represents a group of formula $-B-S(O)_m-R^5$, wherein:
B represents an alkylene or alkylidene group having from 1 to 3 carbon atoms;
m is 0, 1 or 2; and
R^5 represents: a substituted alkyl group which has from 2 to 4 carbon atoms and which is substituted at its 2-position by at least one substituent selected from substituents γ; or an aromatic heterocyclic group which has 5 or 6 ring atoms of which 1 is a hetero-atom selected from nitrogen, oxygen and sulphur hetero-atoms, there are 0, 1, 2 or 3 additional nitrogen hetero-atoms, and the remaining ring atoms are carbon atoms, said group being unsubstituted or having at least one substituent selected, in the case of substituents on carbon atoms, from substituents α and, in the case of substituents on nitrogen atoms, from the group consisting of substituents ε, as defined in Claim 1.

9. The compound of Claim 1, wherein A represents a group of formula -CH=CH- or $-(CH_2)_n-$, where n is 1 or 2.

10. The compound of Claim 1, wherein:
R^1 represents a 1-pyrrolidinyl, piperidino, dimethylamino or diethylamino group;
R^2 represents
a group of formula $-NHCHR^3R^4$, wherein
R^3 and R^4 are independently selected from alkyl groups having from 1 to 4 carbon atoms, benzyl groups, phenethyl groups and phenyl groups which are unsubstituted or which are substituted by at least one substituent selected from methyl, methoxy, fluorine atoms and chlorine atoms,
or
R^3 and R^4, together with the carbon atom to which they are attached, represent a cycloalkyl group having from 3 to 6 ring carbon atoms,
a furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, imidazolyl or thiadiazolyl group, which is unsubstituted or is substituted by at least one substituent selected, in the case of substituents on carbon atoms, from substituents α^1 and, in the case of substituents on nitrogen atoms, from the group consisting of methyl and ethyl groups,
or a group of formula $-B-S(O)_m-R^5$, wherein
R^5 represents: a substituted ethyl or propyl group which is substituted at its 2-position by at least one substituent selected from the group consisting of substituents γ^1; or an imidazolyl, 1,2,4-triazolyl, 1,3,4-oxadiazolyl, 1,3,4-thiadiazolyl, tetrazolyl, pyridyl or pyrimidinyl group which is unsubstituted or has at least one substituent selected, in the case of substituents on carbon atoms, from substituents α^1 and, in the case of substituents on nitrogen atoms, from substituents ε^1,
B represents an alkylene or alkylidene group having from 1 to 3 carbon atoms,
and m is 0, 1 or 2;
A represents a group of formula -CH=CH- or $-(CH_2)_n-$, where n is 1 or 2;
substituents α^1 are selected from: methyl groups, ethyl groups, methoxy groups, ethoxy groups, hydroxy groups, chlorine atoms, amino groups; methylamino groups, ethylamino groups, dimethylamino groups, diethylamino groups, alkanoylamino groups having from 1 to 3 carbon atoms, phenyl groups, and substituted phenyl groups in which the substituent is selected from methyl groups, methoxy groups, chlorine atoms and fluorine atoms;
substituents γ^1 are selected from: hydroxy groups; alkanoyloxy groups having from 1 to 5 carbon atoms; substituted alkanoyloxy groups which have 3 or 4 carbon atoms and which are substituted by at least one substituent selected from carboxy, methoxycarbonyl and ethoxy- carbonyl groups; phenylacetoxy groups; benzoyloxy groups; and cycloalkylcarbonyloxy groups in which the cycloalkyl part has from 3 to 6 ring carbon atoms;
substituents ε^1 are selected from: methyl groups, ethyl groups, and hydroxyalkyl groups having from 2 to 4 carbon atoms.

11. The compound of Claim 1, wherein:
R^1 represents a 1-pyrrolidinyl or piperidino group;
R^2 represents
a group of formula $-NHCHR^3R^4$, wherein
R^3 and R^4 are independently selected from methyl, ethyl, phenyl and benzyl groups,

or

R^3 and R^4, together with the carbon atom to which they are attached, represent a cycloalkyl group having from 3 to 5 ring carbon atoms,

a furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl or 1,2,3-thiadiazolyl group, which is unsubstituted or is substituted by at least one substituent selected, in the case of substituents on carbon atoms, from substituents α^2 and, in the case of substituents on nitrogen atoms, from the group consisting of methyl and ethyl groups,

or a group of formula $-B-S(O)_m-R^5$, wherein

R^5 represents: a substituted ethyl or propyl group which is substituted at its 2-position by at least one substituent selected from substituents γ^2; or a 1,2,4-triazolyl, 1,3,4-oxadiazolyl or pyrimidinyl group which is unsubstituted or has at least one substituent selected, in the case of substituents on carbon atoms, from substituents α^3 and, in the case of substituents on nitrogen atoms, from methyl and ethyl groups,

B represents an alkylene or alkylidene group having from 1 to 3 carbon atoms,

and m is 0 or 1;

A represents a group of formula -CH=CH- or $-(CH_2)_2-$; substituents α^2 are selected from: methyl groups, ethyl groups, methoxy groups, ethoxy groups, hydroxy groups, chlorine atoms, amino groups, acetamido groups and phenyl groups;

substituents α^3 are selected from: methyl groups, ethyl groups, methoxy groups, ethoxy groups, hydroxy groups, chlorine atoms, amino groups, and acetamido groups;

substituents γ^2 are selected from: hydroxy groups; acetoxy groups; propionyloxy groups; substituted alkanoyloxy groups which have 3 or 4 carbon atoms and which are substituted by at least one substituent selected from carboxy, methoxycarbonyl and ethoxycarbonyl groups; phenylacetoxy groups; benzoyloxy groups; and cycloalkylcarbonyloxy groups in which the cycloalkyl part has from 3 to 6 ring carbon atoms.

12. The compound of Claim 1, wherein:

R^1 represents a piperidino group;

R^2 represents

a group of formula $-NHCHR^3R^4$, wherein

R^3 and R^4 are independently selected from methyl, ethyl, phenyl and benzyl groups,

or

R^3 and R^4, together with the carbon atom to which they are attached, represent a cycloalkyl group having 3 or 4 ring carbon atoms,

a thienyl, pyrrolyl, thiazolyl, pyrazolyl or 1,2,3-thiadiazolyl group, which is unsubstituted or is substituted by at least one substituent selected, in the case of substituents on carbon atoms, from substituents α^4 and, in the case of substituents on nitrogen atoms, from methyl groups,

or a group of formula $-B-S(O)_m-R^5$, wherein

B represents a methylene group and R^5 represents: a substituted ethyl or propyl group which is substituted at its 2-position by at least one substituent selected from substituents γ^3;

or

B represents a trimethylene group and R^5 represents: a 1,2,4-triazolyl, 1,3,4-oxadiazolyl or pyrimidinyl group which is unsubstituted or has at least one substituent selected, in the case of substituents on carbon atoms, from methyl, hydroxy and amino groups, and, in the case of substituents on nitrogen atoms, from methyl groups,

and m is 0;

A represents a group of formula -CH=CH-;

substituents α^4 are selected from: methyl groups, methoxy groups, hydroxy groups, chlorine atoms and amino groups;

substituents γ^3 are selected from: hydroxy groups; acetoxy groups; propionyloxy groups; substituted propionoyloxy groups which are substituted by at least one substituent selected from carboxy, methoxycarbonyl and ethoxycarbonyl groups; benzoyloxy groups; and cycloalkylcarbonyloxy groups in which the cycloalkyl part has 5 or 6 ring carbon atoms.

13. The compound of Claim 1, wherein:

R^1 represents a piperidino group;

R^2 represents:

a pyrazolyl group, which is unsubstituted or is substituted on a carbon atom by at least one amino substituent,

or a group of formula -B-S(O)$_m$-R^5, wherein

B represents a methylene group and R^5 represents: a substituted ethyl group which is substituted at its 2-position by at least one substituent selected from substituents hydroxy, acetoxy, propionyloxy, benzoyloxy, cyclopentylcarbonyloxy and cyclohexylcarbonyloxy groups;

or

B represents a trimethylene group and R^5 represents: a 2-pyrimidinyl group;

and m is 0;

A represents a group of formula -CH=CH-.

14. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]pyrazole-4-carboxamide and pharmaceutically acceptable salts thereof.

15. The compound of Claim 1, selected from 3-amino-N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]pyrazole-4-carboxamide and pharmaceutically acceptable salts thereof.

16. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylthio)acetamide and pharmaceutically acceptable salts thereof.

17. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-acetoxyethylthio)acetamide and pharmaceutically acceptable salts thereof.

18. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-propionyloxyethylthio)acetamide and pharmaceutically acceptable salts thereof.

19. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-butyryloxyethylthio)acetamide and pharmaceutically acceptable salts thereof.

20. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-isobutyryloxyethylthio)acetamide and pharmaceutically acceptable salts thereof.

21. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-isovaleryloxyethylthio)acetamide and pharmaceutically acceptable salts thereof.

22. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-phenylacetoxyethylthio)acetamide and pharmaceutically acceptable salts thereof.

23. The compound of Claim 1, selected from 2-{N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]carbamoylmethylthio}ethyl hydrogen succinate and pharmaceutically acceptable salts thereof.

24. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-benzoyloxyethylthio)acetamide and pharmaceutically acceptable salts thereof.

25. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-cyclopentylcarbonyloxyethylthio)acetamide and pharmaceutically acceptable salts thereof.

26. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-cyclohexylcarbonyloxyethylthio)acetamide and pharmaceutically acceptable salts thereof.

27. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-hydroxyethylsulphinyl)acetamide and pharmaceutically acceptable salts thereof.

28. The compound of Claim 1, selected from N-[4-(4-piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-(2-propionyloxyethylsulphinyl)acetamide and pharmaceutically acceptable salts thereof.

29. The compound of Claim 1, selected from N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-[2-(3,3-dimethylbutyryloxy)ethylthio]acetamide and pharmaceutically acceptable salts thereof.

30. The compound of Claim 1, selected from N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-2-[2-(2,2-dimethylpropionyloxy)ethylthio]acetamide and pharmaceutically acceptable salts thereof.

31. The compound of Claim 1, selected from N-[4-(4-Piperidinomethyl-2-pyridyloxy)-cis-2-butenyl]-4-(2-pyr-

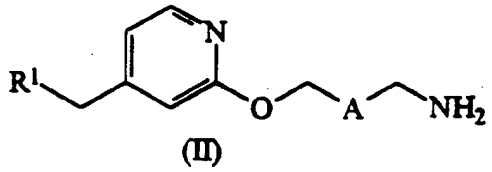
imidinylthio)butyramide and pharmaceutically acceptable salts thereof.

32. A pharmaceutical composition for the treatment and prophylaxis of ulcerous conditions, which comprises an anti-ulcer compound in admixture with a pharmaceutically acceptable carrier or diluent, wherein the anti-ulcer compound is selected from compounds of formula (I) and pharmaceutically acceptable salts thereof, as claimed in Claim 1.

33. The use of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as a pharmaceutical.

34. A process for the preparation of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of Claims 1 to 31, which process comprises the steps:

(1) when R^2 represents a group of formula $-NHCHR^3R^4$ (in which R^3 and R^4 are as defined in claim 1), reacting a compound of formula (II) :



(II)

(in which R^1 and A are as defined in claim 1)
with either:

(a) a compound of formula (III) :

$$NH_2CHR^3R^4 \qquad (III)$$

(in which R^3 and R^4 are as defined in claim 1) in the presence of carbonyldiimidazole; or

(b) a compound of formula (IV) :

$$O=C=NCHR^3R^4 \qquad (IV)$$

(in which R^3 and R^4 are as defined in claim 1);

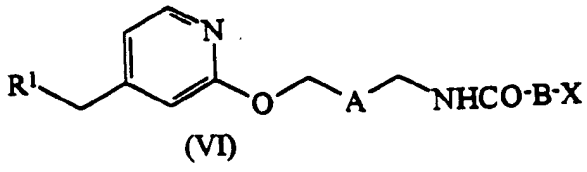
(2) when R^2 represents the group R^{2b} (R^{2b} represents any of the groups represented by R^2, as defined in claim 1, except groups of formula $-NHCHR^3R^4$), reacting a compound of formula (II), as defined above, with a compound of formula (V) or a reactive derivative thereof:

$$HOOC\text{-}R^{2a} \qquad (V)$$

(in which R^{2a} represents any of the groups defined in claim 1 for R^2, except groups of formula $-NHCHR^3R^4$, provided that any hydroxy group in the group represented by R^2 is protected) and, if desired, removing any hydroxy-protecting group;

(3) when R^2 represents a group of formula $CO\text{-}B\text{-}S(O)_m\text{-}R^5$ (in which R^5, B and m are as defined in claim 1),

(a) reacting a compound of formula (VI) :



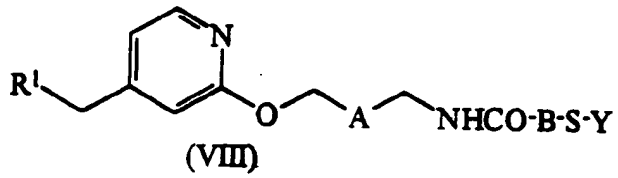
(VI)

(in which R^1, A and B are as defined in claim 1, and X represents a halogen atom) with a compound of formula (VII) :

$$Y\text{-}S\text{-}R^5 \qquad (VII)$$

(in which Y represents a hydrogen atom or an alkali metal and R^5 is as defined in claim 1) in the presence of a base and, if desired, oxidizing the resulting thioether, and, if desired, acylating compounds in which R^5 represents a hydroxyalkyl group; or

(b) reacting a compound of formula (VIII) :



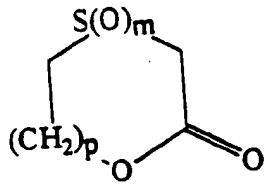
(VIII)

(in which R^1, A and B are as defined in claim 1, and Y is as defined above) with a compound of formula (IX) :

$$X\text{-}R^5 \qquad (IX)$$

(in which X is as defined above, and R^5 is as defined in claim 1) and, if desired, oxidizing the thioether compound obtained;

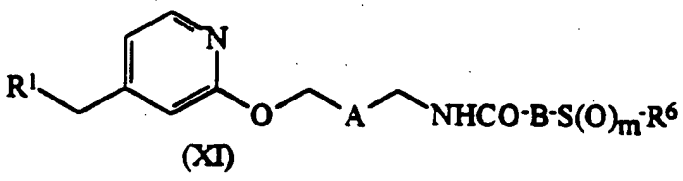
(4) when R^2 represents a group of formula $-CH_2S(O)_m(CH_2)_{p+1}OH$ (in which m and p are as defined in claim 1), reacting a compound of formula (II), as defined above, with a compound of formula (X) :



(X)

(in which m and p are as defined in claim 1); and

(5) when R^2 represents a group of formula $-B\text{-}S(O)_m\text{-}R^{5a}$ (in which B and m are as defined in claim 1 and R^{5a} represents a hydroxyalkyl group having from 2 to 4 carbon atoms, with the proviso that the group must include a moiety having the formula $-CH_2OH$), reducing a compound of formula (XI) :



(XI)

(in which R^1, A, B and m are as defined in claim 1 and R^6 represents an alkyl group having from 1 to 3 carbon atoms and substituted with a carboxy or alkoxycarbonyl group having from 1 to 6 carbon atoms in the alkoxy moiety); and

(6) optionally salifying any product obtained from steps (1) to (5), above.

European Patent Office

EUROPEAN SEARCH REPORT

Application Number
EP 93 30 2221

DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. Cl.5)
D,Y	EP-A-0 282 077 (FUJIREBIO KABUSHIKI KAISHA) 14 September 1988 *see whole document* ---	1-34	C07D213/64 C07D401/12 C07D405/12 C07D413/12 C07D417/12 C07D409/12 A61K31/44 A61K31/495
D,Y	EP-A-0 404 949 (ZERIA PHARMACEUTICAL CO. LTD.) 2 January 1991 *see especially definition b for Z* ---	1-34	
Y	EP-A-0 023 578 (SHIONOGI & CO. LTD.) 3 July 1979 *see especially definitions of R and compound nos 3,8 in Table 1, page 11* ---	1-34	
Y	EP-A-0 302 422 (KYORIN PHARMACEUTICAL CO. LTD.) 8 February 1989 *see especially defintion of R2* ---	1-34	
Y	EP-A-0 214 823 (FUJIREBIO KABUSHIKI KAISHA) 18 March 1987 *see particularly examples 1,3, 9 and 18* ---	1-34	TECHNICAL FIELDS SEARCHED (Int. Cl.5) C07D A61K
D,P, Y	PATENT ABSTRACTS OF JAPAN vol. 17, no. 42 (C-1020)1993 & JP-A-42 57 581 (KYORIN PHARMACEUT. CO. LTD.) 11 September 1992 * abstract * -----	1-34	

The present search report has been drawn up for all claims

Place of search	Date of completion of the search	Examiner
MUNICH	01 JUNE 1993	SCRUTON-EVANS I.

CATEGORY OF CITED DOCUMENTS

X : particularly relevant if taken alone
Y : particularly relevant if combined with another document of the same category
A : technological background
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E : earlier patent document, but published on, or after the filing date
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